(54)Title: BICYCLIC AMINO DERIVATIVES AND PGD₂ ANTAGONIST CONTAINING THE SAME

(54)発明の名称　　ビシクロ環系アミノ誘導体およびそれを含有するPGD2拮抗剤
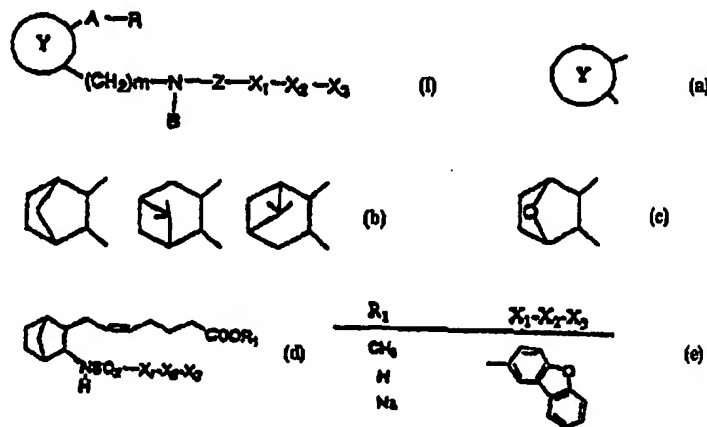
(57) Abstract

Compounds of general formula (I), salts thereof or hydrates thereof wherein (a) represents (b) or (c), for example, the compounds (d) and (e), which are useful as a PGD₂ antagonist and thus usable in, for example, a remedy for systemic mastocytosis or systemic mast cell activatin disorders, a drug for bronchoconstrictin, an antiasthmatic, a drug for allergic rhinitis agent, a drug for allergic conjunctivitis, a drug for urticaria, a remedy for ischemia reflow disorders or an antiinflammatory agent. It is particularly useful in the treatment of nasal occlusion.

（５７）要約

式（Ｉ）：

$$Y \begin{array}{l} A-R \\ (CH_2)m-N-Z-X_1-X_2-X_3 \\ \quad\quad\quad | \\ \quad\quad\quad B \end{array} \quad (I)$$

（式中、

Y

は、

または

を表し、一例として、

R_1	X_1-X_2-X_3
CH_3	
H	
Na	
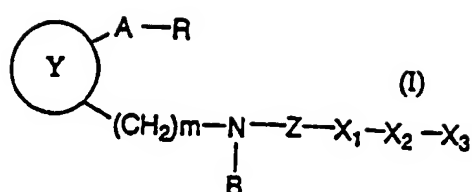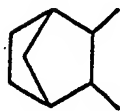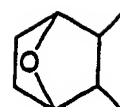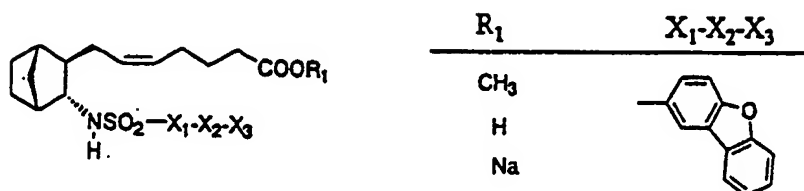
である化合物またはその塩もしくは水和物は、ＰＧＤ₂拮抗剤として有用であり、例えば全身性肥満細胞症や全身性肥満細胞活性化障害の治療剤、抗気管収縮剤、抗喘息剤、抗アレルギー性鼻炎剤、抗アレルギー性結膜炎剤、抗蕁麻疹剤、虚血再灌流傷害治療薬、抗炎症剤として用いることができる。特に鼻閉症の治療に有用である。

ABSTRACT

A compound of th formula (I):

$$(I)$$

5   wherein

is

                or   ,
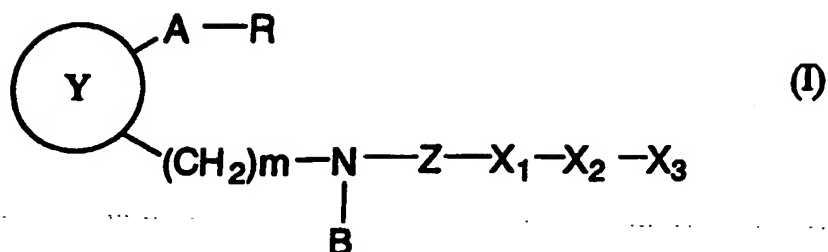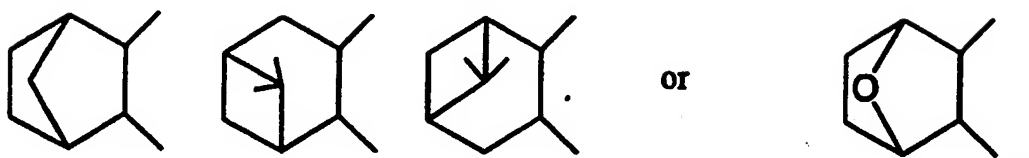
for example, a compound below:
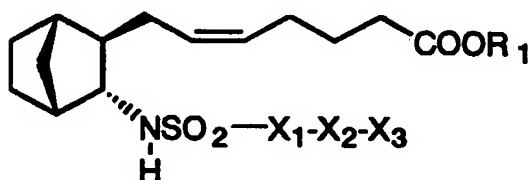
10   wherein

R_1 is CH_3, H or Na; and X1-X2-X3 is
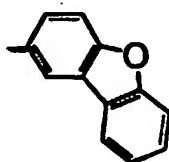
or its salt or a hydrate ther of is us ful as PGD_2 antagonist
15   and can be used as a drug for tr ating diseases in which mast
c 11 dysfunction is involv d, for example, systemic
mastocytosis and disorder f syst mic mast c 11 activation, and

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DESCRIPTION

BICYCLIC AMINO DERIVATIVES AND PGD₂ ANTAGONIST CONTAINING THEM

5 ## FIELD OF THE INVENTION

The present invention relates to bicyclic amino derivatives and prostaglandin D_2 (hereinafter, referred to as PGD_2) antagonist containing them.

10 ## BACKGROUND OF THE INVENTION

Some of bicyclic amino derivatives of the present invention have known to be useful as thromboxane A_2 (TXA_2) antagonists (Japanese Patent Publication (KOKOKU) No. 79060/1993). However, the Japanese Patent Publication (KOKOKU) No. 79060/1993 only

15 describes that the compounds are useful as TXA_2 antagonist, and does not suggest the usefulness thereof as PGD_2 antagonist as disclosed by the present invention.

Namely, the TXA_2 is known to have activities such as action against platelet agglutination, thrombogenesis, etc. The TXA_2

also trach al c ntraction, asthma, allergic rhinitis, allergic conjunctivitis, urticaria, injury due to ischemic rep rfusion, and as an anti-inflammatory agent. It is particularly useful in the treatment of nasal occlusion.

inflammation.

As is apparent from the above, the TXA_2 antagonist and the PGD_2 antagonist are completely different from each other in terms of the active site, mechanism of action, and application, and hav

5 quite different characteristics. Accordingly, it has never been expected that any compound could possess these activities simultaneously.

PGD_2 is produced through PGG_2 and PGH_2 from arachidonic acid by the action of cyclooxygenase activated by immunological or

10 unimmunological stimulation and is the major prostanoid that is produced and released from mast cells. PGD_2 has various potent physiological and pathological activities. For example, PGD_2 can cause strong tracheal contraction, which leads to bronchial asthma, and, in a systemic allergic state, it can dilate the peripheral

15 vessels, which leads to an anaphylactic shock. Especially, much attention has been paid on the idea that PGD_2 is one of the causal substances responsible to the onset of nasal occlusion in the allergic rhinitis. Therefore, it has been proposed to develop an inhibitor against the biosynthesis of PGD or an antagonist of PGD rece t

and biochemically stable.

Accordingly, the present invention provides a compound of the general formula (I) below or its salt or a hydrate thereof as an active ingredient:

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wherein

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is

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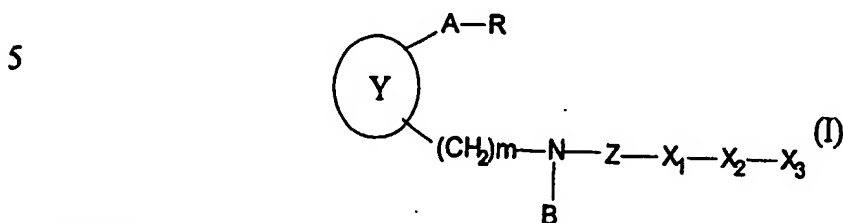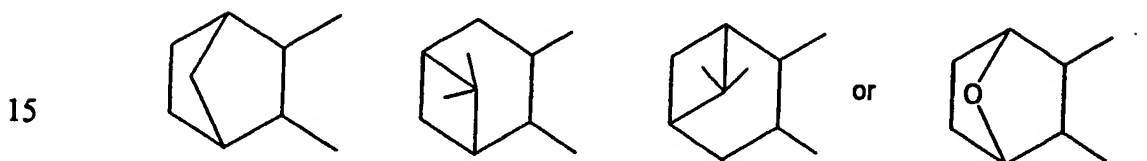
A is alkylene which optionally:

(i)   is intervened by hetero atom or phenylene,

(ii)   contains a carbonyl group, and/or

20   (iii)   has one or more double- or triple- bonds at any one or more positions on the chain;

B is hydrogen, alkyl, aralkyl or acyl;

R is $COOR_1$, CH_2OR_2 or $CON(R_3)R_4$;

R_1 is hydrogen or alkyl;

25 R_2 is hydrogen or alkyl;

R_3 and R_4 each are independently hydrogen, alkyl, hydroxy or alkylsulfonyl;

X_1 is a single bond, phenylene, naphtylene, thiophenediyl, indolediyl, or oxazolediyl;

30

X_2 is a single bond, -N=N-, -N=CH-, -CH=N-, -CH=N-N-, -CH=N-O-, -C=NNHCSNH-, -C=NNHCONH-, -CH=CH-, -CH(OH)-, -C(Cl)=C(Cl)-, -

$(CH_2)n-$, ethynylene, $-N(R_5)-$, $-N(R_{51})CO-$, $-N(R_{52})SO_2-$, $-N(R_{53})CON(R_{54})-$, $-CON(R_{55})-$ $-SO_2N(R_{56})-$, $-O-$, $-S-$, $-SO-$, $-SO_2-$, $-CO-$, oxadiazolediyl, thiadiazolediyl or tetrazolediyl;

X_3 is alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclic group,

5 cycloalkyl, cycloalkenyl, thiazolinylidenemethyl,

thiazolidinylidenemethyl, $-CH=NR_6$ or $-N=C(R_7)R_8$;

R_5, R_{51}, R_{52}, R_{53}, R_{54}, R_{55} and R_{56} each are hydrogen or alkyl;

R_6 is hydrogen, alkyl, hydroxy, alkoxy, carbamoyloxy,

thiocarbamoyloxy, ureido or thioureido;

10

R_7 and R_8 each are independently alkyl, alkoxy or aryl;

n is 1 or 2;

Z is $-SO_2-$ or $-CO-$; and

m is 0 or 1;

15 wherein a cyclic substituent may has one to three substituents

selected from the group consisting of nitro, alkoxy, sulfamoyl,

substituted- or unsubstituted-amino, acyl, acyloxy, hydroxy,

halogen, alkyl, alkynyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl,

aryloxycarbonyl, mesyloxy, cyano, alkenyloxy, hydroxyalkyl,

20

trifluoromethyl, alkylthio, $-N=PPh_3$, oxo, thioxo, hydroxyimino,

alkoxyimino, phenyl, substituted

;

m is 0; and when Z is SO_2, both X_1 and X_2 are a single bond; X_3 is alkyl, phenyl, naphthyl, stylyl, quinolyl or thienyl; and a cyclic substituent among these substituents optionally has one to three substituents selected from a group consisting of nitro, alkoxy, substituted- or unsubstituted-amino, halogen, alkyl and hydroxyalkyl, or its salt or hydrate thereof.

Similarly, specific examples include a compound of the formula (I) wherein

is

;

when m is 1, both X_1 and X_2 are a single bond; and X_3 is phenyl optionally substituted with halogen, or its salt or hydrate thereof.

Similarly, specific examples include a compound of the formula (I) wherein

is

;

when m is 1, X_1 is phenyl, X_2 is $-CH_2-$ or $-N=N-$ and X_3 is phenyl, or its salt or hydrate thereof.

Similarly, examples of compounds of the formula (I) include those of the formula (Ia):

$$\text{A}-\text{R}$$
$$\text{N}-\text{SO}_2 - X_1-X_2-X_3 \qquad \text{(Ia)}$$
$$\text{B}$$
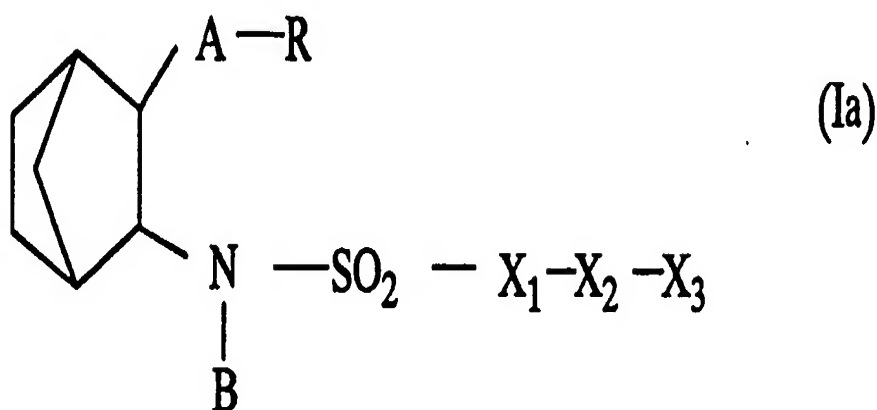
wherein A, B, R, X_1, X_2 and X_3 are as defined above, or its salt or hydrate thereof, provided that those wherein (1) X_1 and X_2 are a single bond, and X_3 is substituted- or unsubstituted-phenyl, or naphthyl; and (2) A is 5-heptenylene, R is $COOR_1$ (R_1 is hydrogen or methyl), X_1 is 1,4-phenylene, X_2 is a single bond, and X_3 is phenyl are excluded.

Similarly, examples of compounds of the formula (I) include those of the formula (Ib):

$$\text{A}-\text{R}$$
$$\text{Y}' \qquad \text{(Ib)}$$
$$\text{N}-\text{CO}-X_1-X_2-X_3$$

A, B, R, X_1, X_2 and X_3 ar as defined abov , or its salt or hydrate thereof, provided that those wherein X_1 and X_2 ar a singl bond, and X_3 is phenyl, and wh rein X_1 is a single bond, X_2 is -O-, and X_3 is benzyl are excluded.

More specifically, examples of compounds of the formula (I) include those of the formula (Ia) wherein X_1 and X_2 are a single bond, X_3 is isoxazolyl, thiadiazolyl, isothiazolyl, morpholyl, indolyl, benzofuryl, dibenzofuryl, dibenzodioxinyl, benzothienyl, dibenzothienyl, carbazolyl, xanthenyl, phenanthridinyl, dibenzoxepinyl, dibenzothiepinyl, cinnolyl, chromenyl, benzimidazolyl or dihydrobenzothiepinyl, or its salt or hydrate thereof.

Similarly, examples of compounds of the formula (I) include those of the formula (Ia) wherein X_1 is a single bond, X_2 is phenylene, X_3 is alkenyl, alkynyl, -CH=NR$_6$ or -N=C(R$_7$)R$_8$, or its salt or hydrate thereof.

Similarly, examples of compounds of the formula (I) include those of the formula (Ia) wherein R is COOR$_1$, X_1 is phenylene or thiophenediyl, X_2 is a single bond, -N=N-, -CH=CH-, -CONH-, - NHCO- or ethynylene and X_3 is phenyl, thiazolinylidenemethyl, thiazolidinylidenemethyl or thienyl, or its salt or hydrate thereof.

More specifically, examples of the compound (I) of the present invention include those of the formula (Ib) wherein
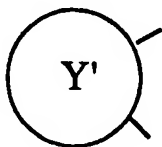
is

;
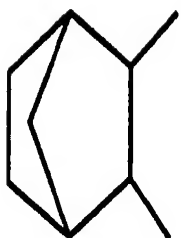
or its salt or hydrate thereof.   Examples of more preferred compounds include those of the formula (Ib) wherein R is $COOR_1$ (R_1 is as defined above) or its salt or hydrate thereof.

5   Similarly, examples of compound (I) include those of the formula (Ib) wherein X_1 is phenylene or thiophenediyl, X_2 is a single bond, -N=N-, -CH=CH-, ethynylene, -O-, -S-, -CO-, -CON(R_{55})- (R_{55} is as defined above), -N(R_{51})CO- (R_{51} is as defined above) and X_3 is phenyl, or its salt or hydrate thereof.

10   More specifically, examples of compound (I) include thos of the formula (Ib) wherein
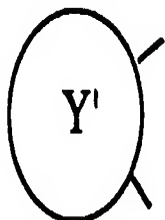
is

The compounds of th general formula (Ia) and (Ib) are novel compounds synthesized by the present inventors.

The terms used throughout the present specification are as defined below.

5   The term "alkylene" means $C_1 - C_9$ straight or branched chain alkylene, for example, methylene, methylmethylene, dimethylmethylene, methylethylmethylene, ethylene, trimethylene, tetramethylene, pentamethylene, hexamethylene, heptamethylene, octamethyene, nonamethylene , or the like. The alkylene above can

10   be intervened by a hetero atom(s) (oxygen, sulfur, nitrogen atom, or the like) or phenylene (e.g., 1,4-phenylene, 1,3-phenylene, 1,2-phenylene, or the like), contain an oxo group, and/or have on or more double- or triple-bonds at any positions on the chain. Examples include $-(CH_2)_2-O-CH_2-$, $-(CH_2)_2-O-(CH_2)_2-$, $-(CH_2)_2-O-(CH_2)_3-$,

15     $-(CH_2)_2-O-(CH_2)_4-$, $-(CH_2)_2-O-(CH_2)_5-$, $-(CH_2)_2-O-(CH_2)_6-$, $-(CH_2)_2-S-(CH_2)_2-$, $-(CH_2)_3-S-(CH_2)_2-$, $-CH_2-S-CH_2-$, $-CH_2-S-(CH_2)_4-$, $-CH_2-N(CH_3)-CH_2-$, $-CH_2-NH-(CH_2)_2-$, $-(CH_2)_2-N(CH_2CH_3)-(CH_2)_3-$, $-(CH_2)_2-1,4-$phenylene-CH_2-, $-(CH_2)_2-O-1,3$-phenylene-CH_2-, $-(CH_2)_2-O-1,2-$phenylene-CH_2-, $-(CH_2)_2-O-1,4$-phenylene-CH_2-, $-CH=CH-S-CH_2-1,4-$

20   phenylene-CH_2-, $-CH=CH-S-1,3$-phenylene-$(CH_2)_2-$, 2-oxopropylene, 3-oxopentylene, 5-oxohexylene, vinylene, 1-propenylene, 2-propenylene, 1-butenylene, 2-butenylene, 3-butenylene, 1, 2-butadienylene, 1,3-butadienylene, 1-pentenylene, 2-pentenylene, 3-pentenylene, 4-pentenylene, 1,2-pentadienylene, 1, 3-

25   pentadienylene, 1,4-pentadienylene, 2,3-pentadienylene, 2, 4-pentadienylene, 1-hexyenylene, 2-hexenylene, 3-hexenylene, 4-h xenylene, 5-hexenylene, 1,2-hexadienylene, 1,3-hexadienylene 1,4-hexadienylene, 1,5-hexadienylene, 2,3-hexadienylene, 2,4-hexadienylene 2,5-hexadienylene, 3,4-h xadienylene, 3,5-

hexadienyl n , 4,5-hexadienylen, 1,1-dimethyl-4-hexenylen, 1-heptenylene, 2-heptenylene, 3-heptenylene, 4-heptenylene, 5-heptenylene, 2,2-dimethyl-5-heptenylene, 6-heptenylene, 1,2-heptadienylne, 1,3-heptadienylene, 1,4-heptadienylene, 1,5-heptadienylene, 1,6-heptadienylene, 2,3-heptadienylene, 2,4-heptadienylene, 2,5-heptadienylene, 2,6-heptadienylene, 3,4-heptadienylene, 3,5-heptadienylene, 3, 6-heptadienylene, 4,5-heptadienylene, 4,6-heptadienylene or 5,6-heptadienylene, 1-propynylene, 3-butynylene, 2-pentynylene, 5-hexynylene, 6-heptynylene, $-(CH_2)-CH=CH-O-(CH_2)_2-$, $-CH_2-S-(CH_2)_3-$, $-CH_2-cis-CH=CH-1,2-phenylene-CH_2-$, $-CH=CH-1,4-phenylene-(CH_2)_2-$, -4-oxo-4,5-hexenylene-, and the like.

The term "alkyl" means $C_1 - C_{20}$ straight or branched chain alkyl, for example, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, i-pentyl, neopentyl, t-pentyl, hexyl, heptyl, octyl, nonyl, decyl, undecyl, dodecyl, tridecyl, tetradecyl, pentadecyl, hexadecyl, heptadecyl, octadecyl, nonadecyl, icosyl, and the like.

The term "aryl" means $C_6 - C_{14}$ monocyclic or condensed ring, for example, phenyl, naphthyl (e.g., 1-naphthyl, 2-naphtyl), anthryl (e.g., 1-anthryl, 2-anthryl, 9-anthryl), phenanthryl (e.g., 2-phenanthryl, 3-phenanthryl, 9-phenanthryl), fluorenyl (e.g., 2-fluorenyl), and the like. Phenyl is especially preferred.

The term "aralkyl" means a group formed by substituting an alkyl as defined above with an aryl above at any substitutable positions on the alkyl. Examples include benzyl, phenethyl, phenylpropyl (e.g., 3-phenylpropyl), naphtylmethyl (e.g., α-naphtylmethyl), anthrylmethyl (e.g., 9-anthrylmethy),

phenanthrylmethyl (e.g., 3-phenanthrylmethyl), and th like.

The t rm "acyl" means C_1 - C_9 acyl derived from aliphatic carboxylic acid, for example, formyl, acetyl, propionyl, butyryl, valeryl, and the like.

5 The term "alkylsulfonyl" means a group formed by substituting a sulfonyl with an alkyl above, for example, methylsulfonyl, ethylsulfonyl, propylsulfonyl, and the like.

The term "alkenyl" is C_2 - C_{20} straight or branched chain alkenyl, which corresponds to an alkyl above containing one or more
10 double bonds. Examples include vinyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1,2-butadienyl, 1-pentenyl, 1,2-pentadienyl, 2-hexyenyl, 1,2-hexadienyl, 3-heptenyl, 1,5-heptadienyl, and the like.

The term "alkynyl" is C_2 - C_{20} straight or branched chain,
15 alkynyl, which corresponds to an alkyl above containing one or more triple bonds. Examples include ethynyl, 1-propynyl, 2-propynyl, 1-butynyl, 2-butynyl, 3-butynyl, and the like.

The term "heterocyclic group" means 5 - 7 membered cyclic group containing one or more hetero atoms selected independently
20 from the group consisting of oxygen, sulfur and/or nitrogen atom on the ring, and is optionally condensed with a carbon ring or other heterocyclic group at any substitutable positions. Examples include pyrrolyl (e.g., 1-pyrrolyl, 3-pyrrolyl), indolyl (e.g., 2-indolyl, 3-indolyl, 6-indolyl), carbazolyl (e.g., 2-carbazolyl,
25 3-carbazolyl), imidazolyl (e.g., 1-imidazolyl, 4-imidazolyl), pyrazolyl (e.g., 1-pyrazolyl, 3-pyrazolyl), benzimidazolyl (e.g., 2-benzimidazolyl, 5-benzimidazolyl), indazolyl (e.g., 3-indazolyl), indolizinyl (e.g., 6-indolyzinyl), pyridyl (e.g., 2-pyridyl, 3-pyridyl, 4-pyridyl), quinolyl (e.g., 8-quinolyl),

English Translation of Amendment under Article 34
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isoquinolyl (e.g., 3-isoquinolyl), acridyl (e.g., 1-acridyl),

phenanthrydinyl (e.g., 2-phenanthrydinyl, 3-phenanthrydinyl),

pyridazinyl (e.g., 3-pydidazinyl), pyrimidinyl (e.g., 4-

pyrimidinyl), pyrazinyl (e.g., 2-pyrazinyl), cinnolinyl (e.g.,

5   3-cinnolinyl), phthaladinyl (e.g., 5-phthaladinyl), quinazolinyl

(e.g., 2-quinazolinyl), isoxazolyl (e.g., 3-isoxazolyl, 4-

isoxazolyl), benzisoxazolyl (e.g., 1,2-benzisoxazol-4-yl, 2,1-

benzisoxazol-3-yl), oxazolyl (e.g., 2-oxazolyl, 4-oxazolyl, 5-

oxazolyl), benzoxazolyl (e.g., 2-benzoxazolyl), benzoxadiazolyl

10   (e.g., 4-benzoxadiazolyl), isothiazolyl (e.g., 3-isothiazolyl,

4-isothiazolyl) benzisothiazolyl (e.g., 1,2-benzisothiazol-3-yl,

2,1-benzisothizol-5-yl), thiazolyl (e.g., 2-thiazolyl),

benzothiazolyl (e.g., 2-benzothiazolyl), thiadiazolyl (e.g.,

1,2,3-thiadiazol-4-yl), oxadiazolyl (e.g., 1,3,4-oxadiazol-2-yl),

15   dihydroxadiazolyl (e.g., 4,5-dihydro-1,2,4-oxadiazol-3-yl), furyl

(e.g., 2-furyl, 3-furyl), benzofuryl (e.g., 3-benzofuryl),

isobenzofuryl (e.g., 1-isobenzofuryl), thienyl (e.g., 2-thienyl,

3-thienyl), benzothienyl (1-benzothiophen-2-yl, 2-

benzothiophen-1-yl), tetrazolyl (e.g., 5-tetrazolyl),

20   benzodioxolyl (e.g., 1,3-benzodioxol-5-yl), dibenzofuryl (e.g.,

2-dibenzofuryl, 3-dibenzofuryl), dibenzoxepinyl (e.g.,

dibenz[b,f]oxepin-2-yl), dihydrodibenzoxepinyl (e.g.,

dihydrodibenz[b,f]oxepin-2-yl, chromenyl (e.g., 2H-chromen-3-yl,

4H-chromen-2-yl), dibenzothiepinyl (e.g., dibenzo[b,f]thiepin-

25   3-yl, dihydrodibenzo[b,f]thiepin-3-yl), morpholinyl (e.g., 1,4-

morpholin-4-yl), phenothiadinyl (2-phenothiadinyl),

cyclopentathienyl (e.g., cyclop nta[b]thioph n-3-yl),

cyclohexathienyl (e.g., cyclohexa[b]thiophen-3-yl),

cyclohexathienyl (e.g., cyclohexa[b]thiophen-3-yl),

cycloheptathienyl (.g., cyclohepta[b]thiophen-3-yl),

dibenzothienyl (e.g., 2-dibenzothienyl), dibenzopyranyl (e.g.,

2-dibenzopyranyl), dibenzo-p-dioxyl (e.g., 2-dibenzo-p-dioxyl),

5   and the like.

The term "cycloalkyl" means C_3 - C_8 cyclic alkyl, for

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example, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and the like.

The term "cycloalkenyl" means C_3 - C_8 cyclic alkenyl, for example, cyclopropenyl (e.g., 1-cyclopropenyl), cyclobutenyl (e.g., 2-cyclobuten-1-yl), cyclopentenyl (1-cyclopenten-1-yl), cyclohexenyl (1-cyclohexen-1-yl), and the like.

The term "alkoxy" means C_1 - C_6 alkoxy, for example, methoxy, ethoxy, n-propoxy, i-propoxy, n-butoxy, and the like.

Examples of the substituted amino in the definition of "substituted- or un-substituted-amino" include mono- or di-substituted amino such as methylamino, ethylamino, dimethylamino, cyclohexylamino, phenylamino, diphenylamino, or cyclic amino such as piperidino, piperadino or morpholino.

The term "acyloxy" means an acyloxy derived from the "acyl" above, for example, acetyloxy, propionyloxy, butyryloxy, valeryloxy, and the like.

The term "halogen" means fluorine, chlorine, bromine and iodine.

The term "alkoxycarbonyl" means an alkoxycarbonyl group derived from the "alkoxy" above, for example, methoxycarbonyl, ethoxycarbonyl, phenyloxycarbonyl, and the like.

The term "aralkyloxycarbonyl" means an aralkyloxycarbonyl group derived from the "aralkyl" above, for example, benzyloxycarbonyl, phenethyloxycarbonyl, and the like.

The term "aryloxycarbonyl" means an aryloxycarbonyl group derived from the "aryl" above, for example, phenyloxycarbonyl,

2-butenyloxy, and the like.

The term "hydroxyalkyl" means a hydroxyalkyl group deriv d from the "alkyl" abov , for example, hydroxymethyl, hydroxyethyl, hydroxypropyl, and the like.

5　　　The term "alkylthio" means an alkylthio group derived from the "alkyl" above, for example, methylthio, ethylthio, propylthio, and the like.

The term "alkylenedioxy" means $C_1 - C_3$ alkylenedioxy, for example, methylenedioxy, ethylenedioxty, propylenedioxy, and the like.

10　like.

In the case of "phenylene, "naphtylene", "thiophenediyl", "indolediyl", "oxazolediyl", "oxadiazolediyl" and tetrazolediyl", the said group can bind to the neighboring groups at any two substitutable sites.

15　　　In the definitions above, when a substituent(s) is cyclic, it may be substituted by one to three substituents selected from nitro, alkoxy, sulfamoyl, substituted- or un-substituted-amino, acyl, acyloxy, hydroxy, halogen, alkyl, alkynyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, aryloxycarbonyl, mesyloxy,

20　cyano, alkenyloxy, hydroxyalkyl, trifluoromethyl, alkylthio, - $N=PPh_3$, oxo, thioxo, hydroxyimino, alkoxyimino, phenyl and alkylenedioxy. The substituent(s) may bind to any substitutable positions on the ring.

Examples of salts of the compound (I) include those formed

25　with an alkali metal (e.g., lithium, sodium or potassium), an alkali earth metal (e.g., calcium), an organic base (.g., tromethamine, trimethylamine, triethylamine, 2-aminobutane, t-butylamine, diisopropylethylamine, n-butylmethylamine, cyclohexylamine, dicyclohexylamine, N-isopropylcyclohexylamine, furfurylamine,
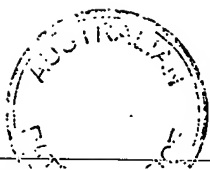
benzylamine, methylbenzylamine, dibenzylamine, N,N-

dimethylbenzylamine, 2-chlorobenzylamine, 4-methoxybenzylamine,

1-naphthylenemethylamine, diphenylbenzylamine, triphenylamine,

1-naphthylamine, 1-aminoanthoracene, 2-aminoanthoracene,

5   dehydroabiethylamine, N-methylmorpholine or pyridine), an amino

acid (e.g., lysine, or arginine), and the like.

        The term "hydrate" means a hydrate of the compound of the

formula (I) or its salt. Examples include mono- and dihydrates.

        The present compounds are shown by the formula (I) and

10   are inclusive of the form of any types of stereoisomers (e.g.,

diastereomer, epimer, enantiomer) and racemic compounds.

        Among the compounds of the general formula (I), those

wherein m=1, especially, those shown in Tables 3b and 3c below are

known compounds described in Japanese Patent Publication (KOKAI)

15   No. 180862/1990.

        Among the compounds of the general formula (I), those

wherein m=0, [i.e., those shown by the general formula (I')], can

be prepared by reacting an amino compound of the general formula

(II) with a reactive derivative of sulfonic acid

carboxylic acid corresponding to th said partial structure is a compound of the general formula $X_3-X_2-X_1-COOH$. Reactive derivative of these sulfonic or carboxylic acids means a corresponding halide (e.g., chloride, bromide, iodide), acid anhydride (e.g., mixed acid

5 anhydride with formic acid or acetic acid), active ester (e.g., succinimide ester), and examples thereof generally include acylating agents used for the acylation of amino group. The carboxylic acid $X_3-X_2-X_1-COOH$ can be used in the reaction as it is without converting into a reactive derivative, in the presence of a condensing agent

10 (e.g., dicyclohexylcarbodiimide (DCC), 1-ethyl-3-(3-dimetylaminopropyl)carbodiimide, N,N'-carbonyldiimidazole) which are used in the condensing reaction between amine and carboxylic acid.

The reaction can be conducted under the conditions

15 generally used for the acylation of amino group. For example, in the case of condensation using an acid halide, the reaction is carried out using a solvent such as an ether solvent (e.g., diethylether, tetrahydrofuran, dioxane), benzene solvent (e.g., benzene, toluene, xylene), halogenated hydrocarbon solvent (e.g., dichloromethane,

20 dichloroethane, chloroform), ethyl acetate, dimethylformamide, dimethyl sulfoxide, acetonitrile, or the like, if necessary, in the presence of a base (e.g., organic base such as triethylamine, pyridine, N,N-dimethylaminopyridine, N-methylmorpholine; inorganic base such as sodium hydroxide, potassium hydroxide,

25 potassium carbonate, or the like) under cooling, at room temperature or under heating, preferably at temperature ranging from −20°C to a temperature under cooling, or from room temperature to a refluxing temperature of the reaction system, for several min to several hr,

preferably for 0.5 hr to 24 hr, mor preferably, for 1 hr to 12 hr.

The reaction conditions for the reaction between other reactive derivative or a free acid and an amine (II) can be determined in a conventional manner depending on the characteristics of the respective reactive derivative or free acid.

The reaction product can be purified by conventional purification methods, for example, the extraction with a solvent, chromatography, recrystallization, or the like.

Specific examples of the compound (II) as a starting material for the present method are as follows. Examples of 3-amino[2.2.1]bicyclic compound include 7-(3-aminobicyclo[2.2.1]hept-2-yl)-5-heptenoic acid, 7-(3-aminobicyclo[2.2.1]hept-2-yl)-2,2-dimethyl-5-heptenoic acid, 7-(N-metnyl-3-aminobicyclo[2.2.1]hept-2-yl)-5-heptenoic acid, 6-(3-aminobicyclo[2.2.1]hept-2-yl)-5-hexenoic acid. Specific examples of 2-amino-6,6-dimethyl[3.1.1]bicyclic compound include 7-(2-amino-6,6-dimethylbicyclo[3.1.1]hept-3-yl)-5-h ptenoic acid. In these starting compounds, the heptenoic acid chain may be saturated to form heptanoic acid chain, intervened by a hetero atom(s)

sulfonic acid or carboxylic acid having substitu nts corresponding to the Xs above. That is, examples includ alkane-sulfonic acid or -carboxylic acid, alk ne-sulfonic acid or -carboxylic acid, alkyne-sulfonic acid or -carboxylic acid, cycloalkane-sulfonic acid

5 or -carboxylic acid, cycloalkene-sulfonic acid or -carboxylic acid, aryl-sulfonic acid or -carboxylic acid, aralkyloxy-sulfonic acid or -carboxylic acid, heterocyclic-substituted-sulfonic acid or -carboxylic acid, heteroarylalkyl-sulfonic acid or -carboxylic acid, and substituted-amino-sulfonic acid or -carboxylic acid.

10 Each of sulfonic and carboxylic acids may have a substituent(s) above. These sulfonic acids and carboxylic acids are commercially available or can be easily synthesized from a known compound(s) in accordance with a known method. Upon reaction, the sulfonic or carboxylic acid can be converted into the corresponding reactive derivative above,

15 if necessary. For example, when an acid halide is needed, the compound is reacted with thionyl halide (e.g., thionyl chloride), phosphorous halide (e.g., phosphorous trichloride, phosphorous pentachloride) or oxalyl halide (e.g., oxalyl chloride) in accordance with a known method such as those described in a literature

20 (e.g., Shin-Jikken-Kagaku-Koza, vol. 14, pp. 1787 (1978); Synthesis, 852-854 (1986); Shin-Jikken-Kagaku-Koza, vol. 22, pp. 115 (1992)). The other reactive derivatives can also be prepared in accordance with a known method.

Among the objective compounds (I), those wherein the side

25 chain A contains an unsaturated bond, especially, a double bond, can also be prepared by reacting an aldehyde derivative of the general formula (III) below with an ylide compound corresponding to the r st part of the side chain A-R under the conditions for the Wittig reaction:

$$\text{(III)} \quad \longrightarrow \quad \text{(I')}$$
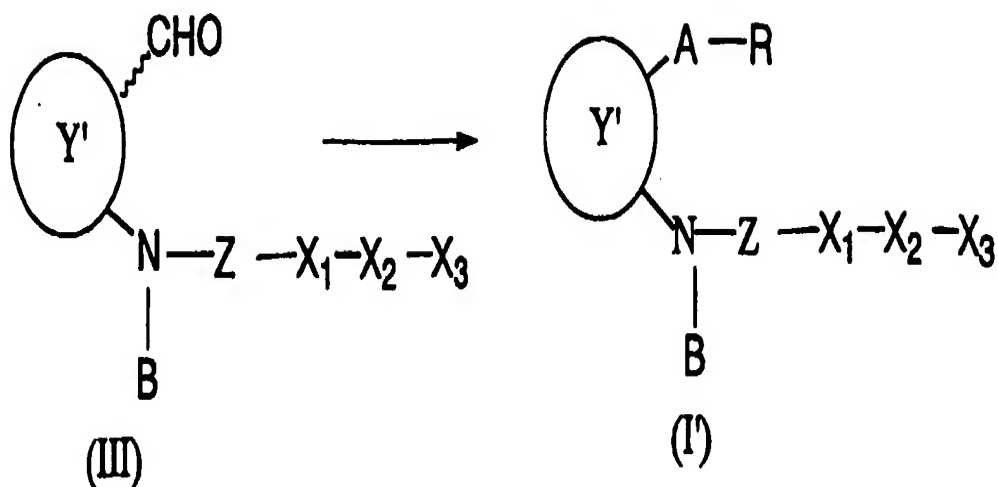
wherein A, B, R, X_1, X_2, X_3, Y and Z are as defined above.

The starting compound (III) can be prepared in accordance with a method described in, for example, Japanese Patent Publication

5   (KOKAI) No. 256650/1990. Further, an ylide compound corresponding to the rest part of the side chain A-R can be synthesized by reacting triphenylphosphine with a corresponding halogenated alkanoic acid, or an ester derivative, ether derivative or amide derivative thereof in the presence of a base according to a known method.

10   Among the objective compounds (I), those wherein R is COOH can be converted into a corresponding ester derivative, alcohol derivative, ether derivative, amide derivative, if desired. For example, ester derivatives can be prepared by esterifying a carboxylic acid in a conventional manner. An ester derivative, when

allergic rhinitis; allergic conjunctivitis, urticaria, injury due to ischemic reperfusion, and inflammation. The compound (I) shows prev ntiv eff ct on nasal occlusion in vivo, and therefore is especially useful as a drug for treating them.

5   When using a compound (I) of the present invention in treatment, it can be formulated into ordinary formulations for oral and parenteral administration. A pharmaceutical composition containing a compound (I) of the present invention can be in the form for oral and parenteral administration. Specifically, it can

10 be formulated into formulations for oral administration such as tablets, capsules, granules, powders, syrup, and the like; those for parenteral administration such as injectable solution or suspension for intravenous, intramuscular or subcutaneous injection, inhalant, eye drops, nasal drops, suppositories, or

15 percutaneous formulations such as ointment.

        In preparing the formulations, carriers, excipients, solvents, and bases known to one ordinary skilled in the art may be used. In case of tablets, they are prepared by compressing or fomulating an active ingredient together with auxiliary components.

20 Examples of usable auxiliary components include pharmaceutically acceptable excipients such as binders (e.g., cornstarch), fillers (e.g., lactose, microcrystalline cellulose), disintegrants (e.g., starch sodium glycolate) or lubricants (e.g., magnesium stearate). Tablets may be coated appropriately. In the case of liquid

25 formulations such as syrups, solutions, or suspensions, they may contain suspending agents (e.g., methyl cellulose), emulsifiers (e.g., lecithin), preservatives, and the like. In the case of injectable formulations, it may be in the form of solution or suspension, or oily or aqueous emulsion, which may contain

suspension-stabilizing agent or dispensing agent, and the like. In the case of an inhalant, it is formulated into a liquid formulation applicable to an inhaler. In the case of ey drops, it is formulated into a solution or a suspension. Especially, in the case of nasal

5 drug for treating nasal occlusion, it can be used as a solution or suspension prepared by a conventional formulating method, or as a powder formulated using a powdering agent (e.g., hydroxypropyl cellulose, carbopole), which are administered into the nasal cavity. Alternatively, it can be used as an aerosol after filling into a

10 special container together with a solvent of low boiling point.

Although an appropriate dosage of the compound (I) varies depending on the administration route, age, body weight, sex, or conditions of the patient, and the kind of drug(s) used together, if any, and should be determined by the physician in the end, in

15 the case of oral administration, the daily dosage can generally be between about 0.01 - 100 mg, preferably about 0.01 - 10 mg, more preferably about 0.1 - 10 mg, per kg body weight. In the case of parenteral administration, the daily dosage can generally be between about 0.001 - 100 mg, preferably about 0.001 - 1 mg, more preferably

20 about 0.01 - 1 mg, per kg body weight. The daily dosage can be administered in 1 - 4 divisions.

The following Examples are provided to further illustrate the present invention and are not to be construed as limiting the scope thereof.

Example 1
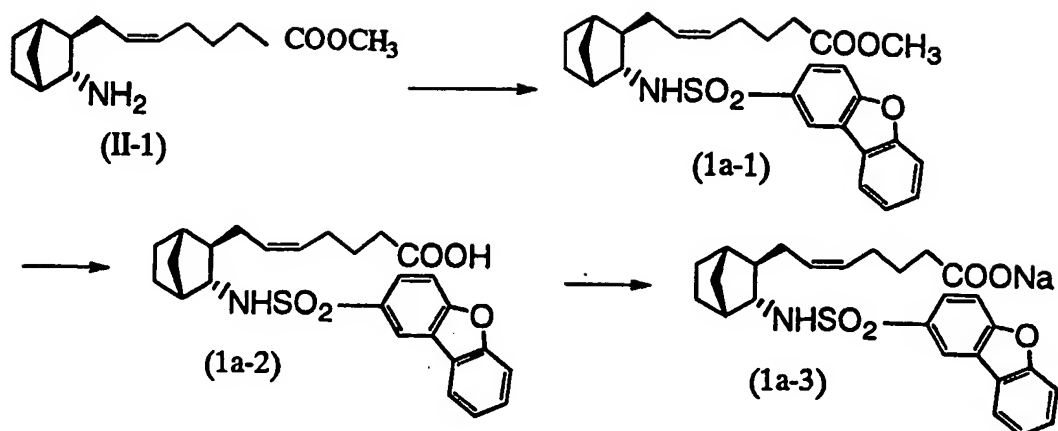
Methyl (Z)-7-[(1S,2R,3R,4R)-3-

aminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (II-1) (251 mg, 1.00

mmol) was dissolved in methylene chloride (8 ml) and triethylamine

(0.238 ml, 2.00 mmol) was added thereto under a nitrogen atmospher .

To the mixture was added 2-chlorosulfonyldibenzofuran (350 mg, 1.31

mmol) under ice-cooling, and the mixture was stirred for 30 min and

allowed to warm up to room temperature. The reaction mixture was

purified by column chromatography on silica gel (n-hexane/ethyl

acetate (1:4)) and recrystallized from n-hexane (10 ml) to yield

methyl (Z)-7-[(1S,2R,3R,4R)-3-(2-

dibezofuryl)sulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoate

(1a-1) (342 mg, 0.710 mmol). Yield 71 %, mp 115-116 ℃.

Elemental analysis ($C_{27}H_{31}NO_5S$)

Calcd. (%):C, 67.34; H, 6.49; N, 2.91; S, 6.66

Found (%) :C, 67.16; H, 6.47 ;N, 2.99; S, 6.66

IR (CHCl$_3$):3382,3024,2952,2874,1726,1583,1465,1442,1319,1245,1154

,1121,1104,1071,1019,890,840,817 /cm.

^{1}H NMR(CDCl$_3$)δ: 0.94-1.92(14H,m),2.15-2.24(3H,m),2.99-3.07(1H,m),

3.66(3H,s),4.98(1H,d,J=6.6Hz),5.10-5.22(2H,m),7.39-

7.46(1H,m),7.51-7.70(3H,m),7.87-8.13(2H,m),8.53(1H,d,J=2.1Hz)

$[\alpha]_D = -0.6°$ (CHCl$_3$, c=1.01%, 23℃).

$([\alpha]_{365} = +37.0°$ (CHCl$_3$, c=1.01%, 23℃).

Methyl (Z)-7-[(1S,2R,3R,4R)-3-(2-dibezofuryl)-

5   sulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (1a-1) (234

mg, 0.50 mmol) was dissolved in methanol (6 ml)/tetrahydrofuran (4

ml). To the solution was added 1 N potassium hydroxide (1.50 ml,

1.50 mmol) under ice-cooling. After the reaction mixture was warmed

up to room temperature, it was allowed to react for 16 hr and

10   concentrated to remove the solvent. To the residue were added ethyl

acetate (50 ml) and water (10 ml), and then 1 N HCl (2.00 ml, 2.00

mmol), and the organic layer was separated. The organic layer was

washed with saturated brine, dried over anhydrous sodium sulfate

and concentrated. The residue was purified by column chromatography

15   on silica gel (n-hexane/ethyl acetate (1:1) containing 0.2 % acetic

acid) to yield (Z)-7-[(1S,2R,3R,4R)-3-(2-dibezofuryl)-

sulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoic acid (1a-2)

(203 mg, 0.434 mmol). Yield 87 %, oil.

mmol) was dissolved in methanol (5 ml). After addition of 1 N sodium methoxide/methanol (1.034 N, 0.937 ml, 0.97 mmol), the mixture was allowed to warm up to room t mperature and to react for 1 hr. The solvent was removed by distillation to yield the sodium salt (1a-3)

5 (457 mg, 0.933 mmol). Yield 96 %.

Amorphous powder.

Elemental analysis ($C_{26}H_{28}NO_5SNa$ $0.6H_2O$)
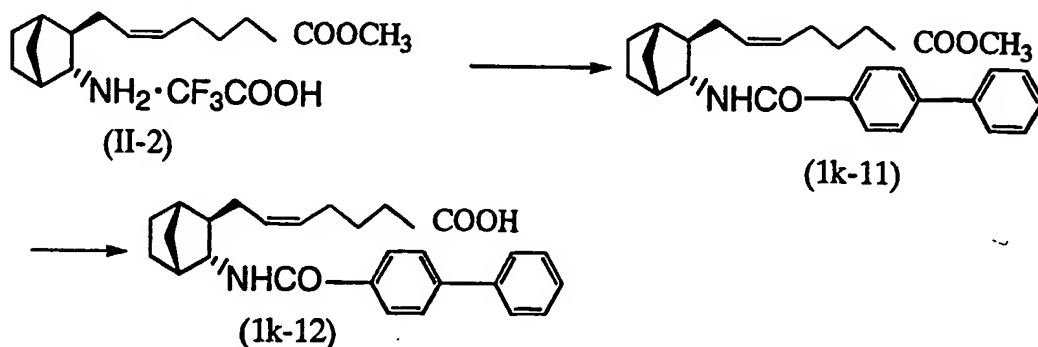
Calcd.(%) :C,62.41;H,5.88;N,2.80;S,6.41;Na,4.59

Found (%) :C,62.45;H,5.92;N,2.99;S,6.49;Na,4.46

10 IR (KBr) : 434, 3280, 3074, 3007, 2952, 2873, 1566, 1467, 1444, 1417, 1344, 1315, 1270, 1248, 1200, 1189, 1154, 1124, 1107, 1075, 1058, 895, 842, 818 /cm.

^1H NMR(CD_3OD)δ: 1.02-2.05(16H, m), 2.16-2.23(1H, m), 2.94-3.00(1H, m), 4.98-5.05(2H, m), 7.41-7.48(1H, m), 7.53-7.62(1H, m), 7.66(1H,

15 d, J=8.4Hz), 7.77(1H, d, J=8.4Hz), 8.57(1H, d, J=2.1Hz).

$[α]_D$=-15.2° (CH$_3$OH, c=1.07%, 22℃).

Example 2

(II-2)

(1k-11)

(1k-12)

20

Methyl (Z)-7-[(1S,2R,3R,4R)-3-

aminobicyclo[2.2.1]hept-2-yl]-5-heptenoate trifrluroroacetate

(II-2) (232 mg, 0.636 mmol), which was prepared by the method

described in R ference Example 4 of the Japanese Patent Publication

(KOKOKU) No. 79060/1993, was dissolved in methylene chloride (5 ml).

To the solution were added triethylamine (0.279 ml, 2.00 mmol) and

4-biphenylcarbonyl chloride under ice-cooling and stirred for 7 hr

5　at the same temperature. The reaction mixture was purified by column

chromatography on silica gel (ethyl acetate/n-hexane (1:4)) to

yield methyl (Z)-7-[(1S,2R,3R,4R)-3-(4-

biphenyl)carbonylaminobicyclo[2.2.1]hept-2-

yl]-5-heptenoate (1k-11) (221 mg, 0.512 mmol). The compound (1k-11)

10　(190 mg, 0.440 mmol) was dissolved in methanol (6 ml). To the solution

was added 1 N KOH (1.10 ml, 1.10 mmol) under ice-cooling and stirred

for 15 hr at room temperature. The reaction mixture was concentrated

in vacuo. The residue, after the addition of water (20 ml) and 1

N HCl (2 ml), was extracted with ethyl acetate. The organic layer

15　was washed with saturated brine, dried over anhydrous sodium sulfate

and concentrated. The residue was purified by column chromatography

on silica gel (ethyl acetate/hexane (1:1) containing 0.3 % acetic

acid) to yield (Z)-7-[(1S,2R,3R,4R)-3-(4-

biphenyl)carbonylamino bi

bromide (14.8 g, 33.3 mmol) and tetrahydrofuran (80 ml) was added potassium t-butyrate (7.55 g, 67.3 mmol) at room temperature under a nitrogen atmosph re. After stirring for 1 hr at room temperature, the mixture was cooled to -20°C and a solution of N-[(1S,2S,3S,4R)-

5   3-formylmethylbicyclo[2.2.1]hept-2-yl]benzenesulfonamide (III-1) (Japanese Patent Publication (KOKAI) No. 256650/1990, Reference Example 2) (3.25 g, 11.1 mmol) in tetrahydrofuran (20 ml) was added slowly. After stirring for about 1 hr at -20 °C, the ice bath was removed and the mixture was further stirred for 1 hr. To the reaction

10   solution was added 2 N HCl and the mixture was extracted with ethyl acetate, washed with water and brine, and concentrated. After the addition of toluene and 1 N sodium hydroxide to the resultant crude product, aqueous layer was separated. The organic layer was washed with water again and the washing was combined with the previously

15   obtained aqueous layer. After the addition of 2 N HCl, the aqueous solution was extracted with ethyl acetate. The extract was washed with water and brine, dried over sodium sulfate, and concentrated. The residue was purified by column chromatography on silica gel to obtain calcium (Z)-7-[(1R,2S,3S,4S)-3-

20   phenylsulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (1d-1) (3.29 g, yield 79 %, mp 62°C).

Elemental analysis ($C_{20}H_{27}NO_4S$)

Calcd.(%) :C, 63.63; H, 7.21; N, 3.71; S, 8.49

Found (%) :C, 63.56; H, 7.21; N, 3.83; S, 8.43

25   $[\alpha]_D$=+ 5.3 ± 0.5° (CHCl$_3$, c=1.003 %, 22°C)

$[\alpha]_D$=+27.1 ± 0.7° (MeOH, c=1.015 % 24 °C)

IR(Nujol) 3282, 3260, 3300, 2400, 1708, 1268, 1248, 1202, 1162, 1153,

1095, 1076/cm.

^{1}H NMR δ 0.88-2.10(m,14H), 2.14(br S, 1H), 2.34(t, J=7.2Hz, 2H), 2.95-3.07(m, 1H), 5.13-5.35(m, 3H), 7.45-7.64(m, 3H), 7.85-7.94(m , 2H), 9.52(brS, 1H).

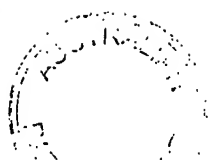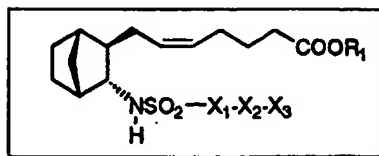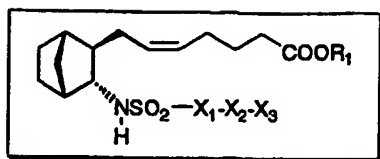5   Compounds prepared in accordance with a method described in Examples above are shown in Tables below.
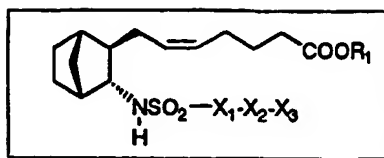
Table 1a

No.	R_1	X_1-X_2-X_3
1a-1	CH$_3$	
1a-2	H	
1a-3	Na	
1a-4	CH$_3$	
1a-5	H	
1a-6	CH$_3$	
1a-7	H	
1a-8	CH$_3$	
1a-9	H	
1a-10	CH$_3$	
1a-11	H	
1a-12	CH$_3$	
1a-13	H	
1a-14	CH$_3$	
1a-15	H	
1a-16	CH$_3$	
1a-17	H	
1a-18	CH$_3$	
1a-19	H	
1a-20	CH$_3$	
1a-21	H	
1a-22	H	
1a-23	H	

No.	R_1	X_1-X_2-X_3
1a-24	CH_3	
1a-25	H	
1a-26	Na	
1a-27	CH_3	
1a-28	H	
1a-29	Na	
1a-30	CH_3	
1a-31	H	
1a-32	CH_3	
1a-33	H	
1a-34	CH_3	
1a-35	CH_3	
1a-36	H	
1a-37	CH_3	
1a-38	H	
1a-39	CH_3	
1a-40	H	
1a-41	H	
1a-42	CH_3	
1a-43	H	
1a-44	CH_3	
1a-45	H	

No.	R_1	X_1-X_2-X_3
1a-46	CH_3	
1a-47	H	
1a-48	Na	
1a-49	CH_3	
1a-50	H	
1a-51	CH_3	
1a-52	H	
1a-53	CH_3	
1a-54	H	
1a-55	CH_3	
1a-56	H	
1a-57	CH_3	
1a-58	H	
1a-59	CH_3	
1a-60	H	
1a-61	CH_3	
1a-62	H	
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1a-66	H	
1a-67	CH_3	
1a-68	H	
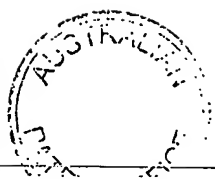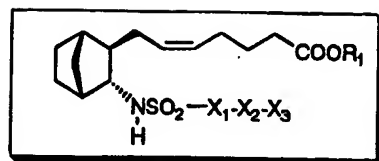
No.	R₁	X₁-X₂-X₃
1a-69	CH₃	
1a-70	H	
1a-71	CH₃	
1a-72	H	
1a-73	CH₃	
1a-74	H	
1a-75	CH₃	
1a-76	H	
1a-77	CH₃	
1a-78	H	
1a-79	H	
1a-80	CH₃	
1a-81	H	
1a-82	CH₃	
1a-83	H	
1a-84	H	
1a-85	H	
1a-86	H	
1a-87	H	
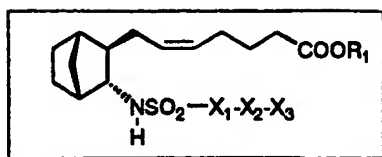
No.	R_1	X_1-X_2-X_3
1a-88	CH₃	
1a-89	H	
1a-90	CH₃	
1a-91	H	
1a-92	CH₃	
1a-93	H	
1a-94	H	
1a-95	H	
1a-96	H	
1a-97	H	
1a-98	H	
1a-99	Na	
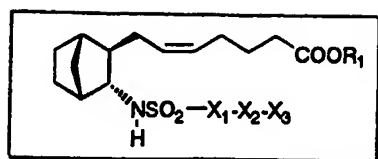
No.	R_1	X_1-X_2-X_3
1a-100	CH_3	
1a-101	H	
1a-102	CH_3	
1a-103	CH_3	
1a-104	H	
1a-105	CH_3	
1a-106	H	
1a-107	CH_3	
1a-108	H	
1a-109	CH_3	
1a-110	H	
1a-111	CH_3	
1a-112	H	
1a-113	CH_3	
1a-114	H	
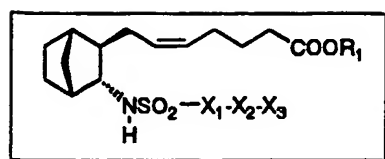
No.	R₁	X₁-X₂-X₃
1a-115	CH₃	
1a-116	H	
1a-117	Na	
1a-118	*i*-Pr	
1a-119	CH₃	
1a-120	Na	
1a-121	H	
1a-122	CH₃	
1a-123	H	
1a-124	CH₃	
1a-125	CH₃	
1a-126	H	
1a-127	CH₃	
1a-128	H	
1a-129	CH₃	
1a-130	CH₃	
1a-131	H	
1a-132	CH₃	
1a-133	H	
1a-134	H	
1a-135	CH₃	
1a-136	H	
1a-137	CH₃	
1a-138	H	
1a-139	CH₃	
1a-140	H	
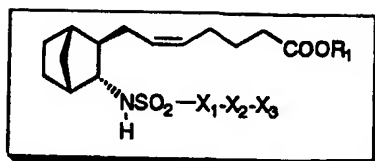
No.	R₁	X₁-X₂-X₃
1a-141	CH_3	
1a-142	H	
1a-143	H	
1a-144	H	
1a-145	H	
1a-146	H	
1a-147	H	
1a-148	H	
1a-149	H	
1a-150	H	
1a-151	H	

No.	R₁	X₁-X₂-X₃

$$R_1$$
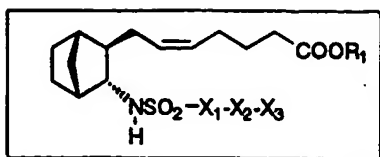
No.	R_1	$X_1\text{-}X_2\text{-}X_3$
1a-152	H	
1a-153	H	
1a-154	H	
1a-155	H	
1a-156	H	
1a-157	H	
1a-158	H	
1a-159	H	
1a-160	H	
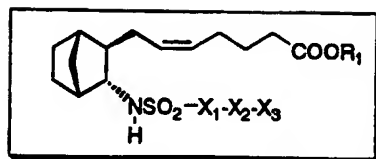
No.	R₁	X₁-X₂-X₃
1a-161	H	
1a-162	H	
1a-163	H	
1a-164	H	
1a-165	H	
1a-166	H	
1a-167	H	
1a-168	H	
1a-169	H	
1a-170	H	
1a-171	CH_3	
1a-172	H	
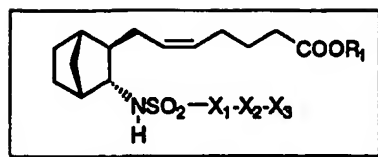
No.	R_1	X_1-X_2-X_3
1a-173	H	
1a-174	H	
1a-175	CH₃	
1a-176	H	
1a-177	CH₃	
1a-178	H	
1a-179	CH₃	
1a-180	H	
1a-181	H	
1a-182	CH₃	
1a-183	H	
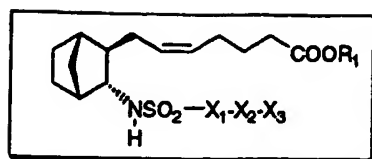
No.	R_1	X_1-X_2-X_3
1a-184	H	
1a-185	H	
1a-186	CH$_3$	
1a-187	H	
1a-188	CH$_3$	
1a-189	H	
1a-190	CH$_3$	
1a-191	H	
1a-192	CH$_3$	
1a-193	H	
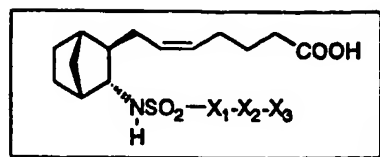
No.	X_1-X_2-X_3
1a-194	
1a-195	
1a-196	
1a-197	
1a-198	
1a-199	
1a-200	
1a-0201	
1a-202	
1a-203	
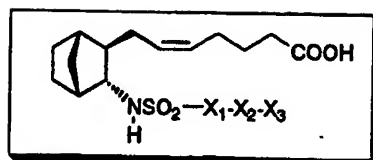
No.	$X_1\text{-}X_2\text{-}X_3$
1a-204	
1a-205	
1a-206	
1a-207	
1a-208	
1a-209	
1a-210	
1a-211	
1a-212	
1a-213	
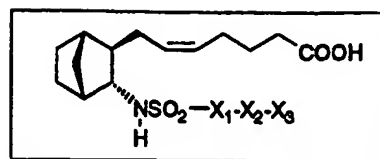
No.	X₁-X₂-X₃
1a-214	
1a-215	
1a-216	
1a-217	
1a-218	
1a-219	
1a-220	
1a-221	
1a-222	
1a-223	
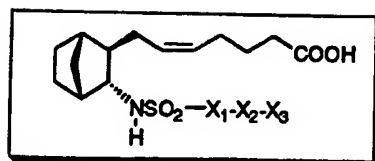
No.	X_1-X_2-X_3
1a-224	
1a-225	
1a-226	
1a-227	
1a-228	
1a-229	
1a-230	
1a-231	
1a-232	
1a-233	
1a-234	
1a-235	
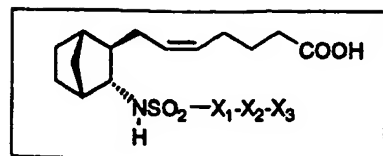
No.	X_1-X_2-X_3
1a-236	
1a-237	
1a-238	
1a-239	
1a-240	
1a-241	
1a-242	
1a-243	
1a-244	
1a-245	
1a-246	

The common structure shows a bicyclic (norbornane) ring bearing a —CH2—CH=CH—(CH2)3—COOH chain and an —NH—SO2—X1-X2-X3 substituent.

No.	X1-X2-X3
1a-247	CH3O, CH3— (benzoyl)—C(=O)—NH—, OCH3, OCH3, OCH3 (2,4,5-trimethoxyphenyl)
1a-248	CH3O, CH3— benzoyl —C(=O)—NH—, OCH3
1a-249	CH3O, CH3— benzoyl —C(=O)—NH—, N(CH3)2
1a-250	CH3O, CH3— benzoyl —C(=O)—NH—, OCH3, OCH3
1a-251	CH3O, CH3— benzoyl —C(=O)—NH—, OCH3, OCH3
1a-252	CH3O, CH3—, CH3O benzoyl —C(=O)—NH—, OCH3, OCH3, OCH3
1a-253	CH3— benzoyl —C(=O)—N(CH3)—, OCH3, OCH3, OCH3
1a-254	CH3O, CH3— benzoyl —C(=O)—N(CH3)—, OCH3, OCH3, OCH3
1a-255	CH3— benzoyl —C(=O)—NH—, CH3, CH3, CH3
1a-256	CH3— benzoyl —C(=O)—NH—, OCH3, CH3O
1a-257	CH3— phenyl —S(=O)2—NH—, OCH3, OCH3, OCH3

No.	$X_1\text{-}X_2\text{-}X_3$
1a-258	
1a-259	
1a-260	
1a-261	
1a-262	
1a-263	
1a-264	
1a-265	
1a-266	
1a-267	
1a-268	
1a-269	
1a-270	
1a-271	
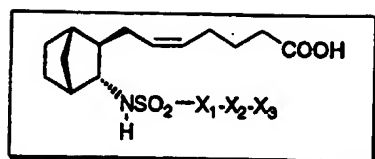
No.	X_1-X_2-X_3
1a-272	
1a-273	
1a-274	
1a-275	
1a-276	
1a-277	
1a-278	
1a-279	
1a-280	
1a-281	
1a-282	
1a-283	
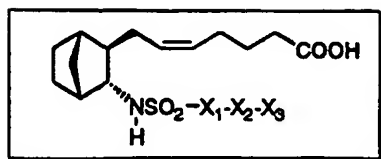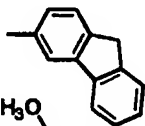
No.	X_1-X_2-X_3
1a-284	
1a-285	
1a-286	
1a-287	
1a-288	
1a-289	
1a-290	
1a-291	
1a-292	
1a-293	
1a-294	
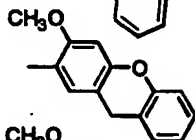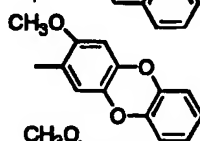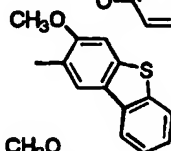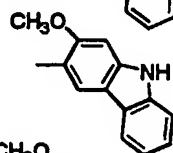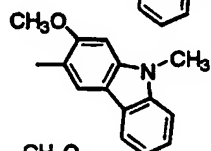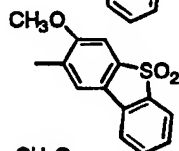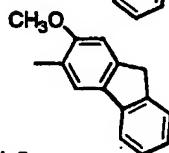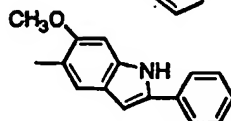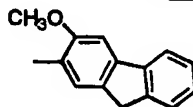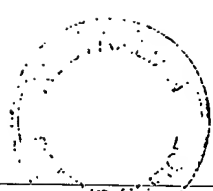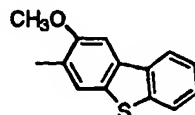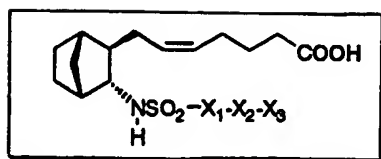
No.	X_1-X_2-X_3
1a-295	
1a-296	
1a-297	
1a-298	
1a-299	
1a-300	
1a-301	
1a-302	
1a-303	
1a-304	
1a-305	

Table 1b
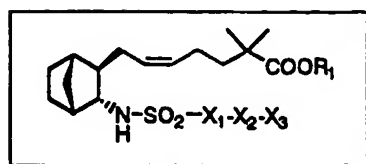
No.	R₁	X₁-X₂-X₃
1b-1	CH_3	
1b-2	CH_3	
1b-3	H	
1b-4	H	
1b-5	H	
1b-6	H	
1b-7	H	
1b-8	H	
1b-9	H	
1b-10	H	
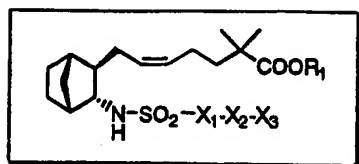
No.	R_1	X_1-X_2-X_3
1b-11	H	
1b-12	H	
1b-13	H	
1b-14	H	
1b-15	H	

Table 1c
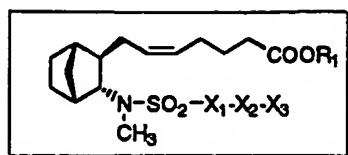
No.	R₁	X₁-X₂-X₃
1c-1	CH₃	
1c-2	CH₃	
1c-3	K	
1c-4	H	
1c-5	H	
1c-6	H	
1c-7	H	
1c-8	H	
1c-9	H	
1c-10	H	
1c-11	H	
1c-12	H	

Table 1d
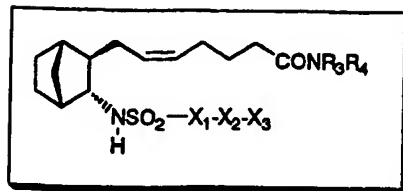
No.	R₃	R₄	X₁-X₂-X₃
1d-1	H	SO₂CH₃	
1d-2	H	H	
1d-3	H	OH	
1d-4	H	SO₂CH₃	
1d-5	H	SO₂CH₃	
1d-6	H	SO₂CH₃	
1d-7	H	SO₂CH₃	
1d-8	H	SO₂CH₃	
1d-9	H	SO₂CH₃	
1d-10	H	SO₂CH₃	
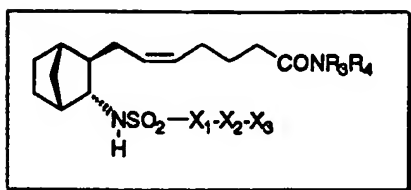
No.	R₃	R₄	X₁-X₂-X₃
1d-11	H	SO₂CH₃	
1d-12	H	SO₂CH₃	
1d-13	H	SO₂CH₃	
1d-14	H	SO₂CH₃	
1d-15	H	SO₂CH₃	

Table 1e
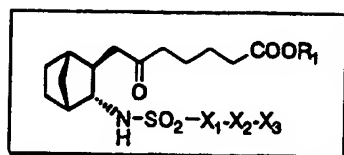
No.	R₁	X₁-X₂-X₃
1e-1	H	
1e-2	H	
1e-3	H	
1e-4	H	
1e-5	H	
1e-6	H	
1e-7	H	
1e-8	H	
1e-9	H	
1e-10	H	

Table 1f
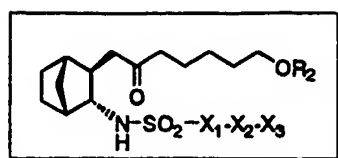
No.	R_2	X_1-X_2-X_3
1f-1	H	
1f-2	H	
1f-3	H	
1f-4	H	
1f-5	H	
1f-6	H	
1f-7	H	
1f-8	H	
1f-9	H	
1f-10	H	

Table 1g
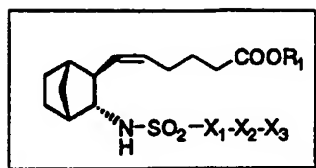
No.	R_1	X_1-X_2-X_3
1g-1	H	
1g-2	H	
1g-3	H	
1g-4	H	
1g-5	H	
1g-6	H	
1g-7	H	
1g-8	H	
1g-9	H	
1g-10	H	
1g-11	H	

Table 1h
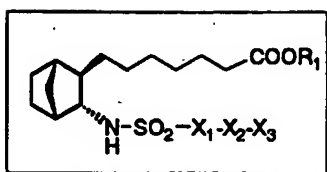
No.	R_1	X_1-X_2-X_3
1h-1	H	
1h-2	H	
1h-3	H	
1h-4	H	
1h-5	H	
1h-6	H	
1h-7	H	
1h-8	H	
1h-9	H	
1h-10	H	

Table 1i
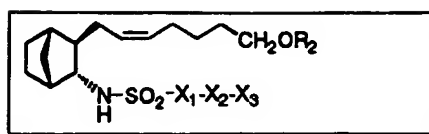
No.	R_2	X_1-X_2-X_3
1i-1	H	
1i-2	H	
1i-3	H	
1i-4	H	
1i-5	H	
1i-6	H	
1i-7	H	
1i-8	H	
1i-9	H	
1i-10	H	
1i-11	H	
1i-12	H	

Table 1j
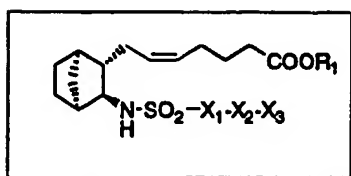
No.	R_1	X_1-X_2-X_3
1j-1	CH₃	
1j-2	H	
1j-3	Na	
1j-4	H	
1j-5	CH₃	
1j-6	CH₃	
1l-7	H	
1j-8	CH₃	
1j-9	CH₃	
1j-10	H	
1j-11	CH₃	
1j-12	H	
1j-13	CH₃	
1j-14	H	
1j-15	CH₃	
1j-16	H	
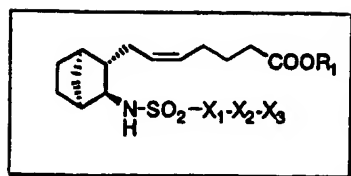
No.	R₁	X₁-X₂-X₃
1j-17	H	
1j-18	CH₃	
1j-19	H	
1j-20	CH₃	
1j-21	H	
1j-22	H	
1j-23	CH₃	
1j-24	H	
1j-25	CH₃	
1j-26	H	
1j-27	H	
1j-28	CH₃	
1j-29	H	
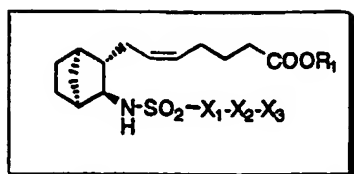
No.	R_1	X_1-X_2-X_3
1j-30	H	
1j-31	H	
1j-32	H	
1j-33	H	
1j-34	H	
1j-35	H	
1j-36	H	
1j-37	H	
1j-38	H	

Table 1k
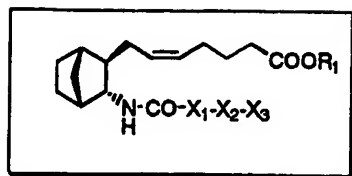
No.	R$_1$	X$_1$-X$_2$-X$_3$
1k-1	H	
1k-2	CH$_3$	
1k-3	H	
1k-4	H	
1k-5	H	
1k-6	H	
1k-7	H	
1k-8	H	
1k-9	H	
1k-10	H	
1k-11	CH$_3$	
1k-12	H	
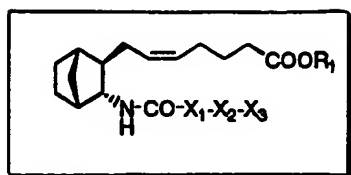
No.	R_1	X_1-X_2-X_3
1k-13	H	
1k-14	H	
1k-15	H	
1k-16	H	
1k-17	H	
1k-18	H	
1k-19	H	
1k-20	H	

Table 1m

No.	R_1	X_1-X_2-X_3
1m-1	CH₃	
1m-2	H	
1m-3	CH₃	
1m-4	H	
1m-5	CH₃	
1m-6	H	
1m-7	CH₃	
1m-8	H	
1m-9	CH₃	
1m-10	H	
1m-11	CH₃	
1m-12	H	
1m-13	CH₃	
1m-14	H	
1m-15	CH₃	
1m-16	H	
1m-17	CH₃	
1m-18	H	

No.	R_1	X_1-X_2-X_3
1m-19	CH_3	
1m-20	H	
1m-21	H	
1m-22	H	
1m-23	CH_3	
1m-24	H	
1m-25	CH_3	
1m-26	H	
1m-27	CH_3	
1m-28	H	
1m-29	CH_3	
1m-30	H	
1m-31	H	
1m-32	H	
1m-33	H	
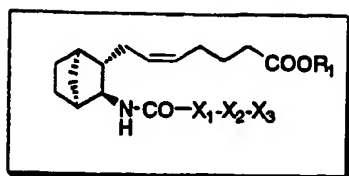
No.	R_1	X_1-X_2-X_3
1m-34	H	
1m-35	H	
1m-36	H	
1m-37	H	
1m-38	H	
1m-39	H	
1m-40	H	

Table 2a
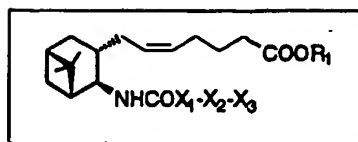
No.	R_1	X_1-X_2-X_3
2a-1	CH₃	
2a-2	H	
2a-3	CH₃	
2a-4	H	
2a-5	Na	
2a-6	CH₃	
2a-7	H	
2a-8	CH₃	
2a-9	H	
2a-10	CH₃	
2a-11	H	
2a-12	CH₃	
2a-13	H	
2a-14	CH₃	
2a-15	H	
2a-16	CH₃	
2a-17	H	
2a-18	CH₃	
2a-19	H	
2a-20	CH₃	
2a-21	H	
2a-22	Na	
2a-23	CH₃	
2a-24	H	
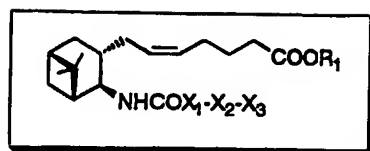
No.	R_1	X_1-X_2-X_3
2a-25	CH₃	
2a-26	H	
2a-27	CH₃	
2a-28	H	
2a-29	CH₃	
2a-30	H	
2a-31	CH₃	
2a-32	CH₃	
2a-33	H	
2a-34	CH₃	
2a-35	H	
2a-36	CH₃	
2a-37	H	
2a-38	CH₃	
2a-39	H	
2a-40	CH₃	
2a-41	H	
2a-42	CH₃	
2a-43	H	
2a-44	CH₃	
2a-45	H	
2a-46	CH₃	
2a-47	H	
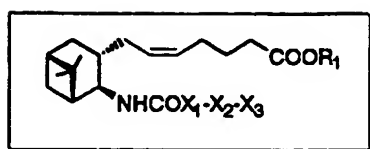
No.	R_1	X_1-X_2-X_3
2a-48	CH_3	
2a-49	H	
2a-50	CH_3	
2a-51	H	
2a-52	CH_3	
2a-53	H	
2a-54	CH_3	
2a-55	H	
2a-56	CH_3	
2a-57	H	
2a-58	CH_3	
2a-59	H	
2a-60	CH_3	
2a-61	H	
2a-62	CH_3	
2a-63	H	
2a-64	CH_3	
2a-65	H	
2a-66	CH_3	
2a-67	H	
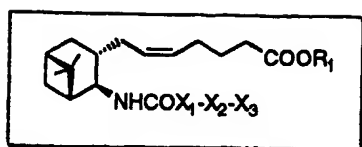
No.	R_1	X_1-X_2-X_3
2a-68	CH_3	
2a-69	H	
2a-70	CH_3	
2a-71	H	
2a-72	CH_3	
2a-73	H	
2a-74	CH_3	
2a-75	H	
2a-76	CH_3	
2a-77	H	
2a-78	CH_3	
2a-79	H	
2a-80	CH_3	
2a-81	H	
2a-82	CH_3	
2a-83	H	
2a-84	CH_3	
2a-85	H	
2a-86	CH_3	
2a-87	H	
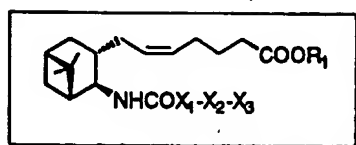
No.	R_1	X_1-X_2-X_3
2a-88	CH_3	
2a-89	H	
2a-90	CH_3	
2a-91	H	
2a-92	CH_3	
2a-93	H	
2a-94	CH_3	
2a-95	H	
2a-96	Na	
2a-97	$Ca^{1/2}$	
2a-98	CH_3	
2a-99	H	
2a-100	CH_3	
2a-101	H	
2a-102	CH_3	
2a-103	H	
2a-104	CH_3	
2a-105	H	
2a-106	CH_3	
2a-107	H	
2a-108	CH_3	
2a-109	H	
2a-110	Na	
2a-111	CH_3	
2a-112	H	
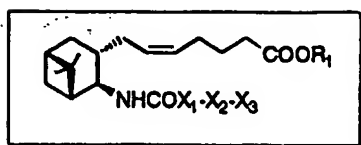
No.	R_1	X_1-X_2-X_3
2a-113	CH$_3$	
2a-114	H	
2a-115	CH$_3$	
2a-116	H	
2a-117	CH$_3$	
2a-118	H	
2a-119	H	
2a-120	H	
2a-121	H	
2a-122	H	
2a-123	H	
2a-124	H	
2a-125	H	
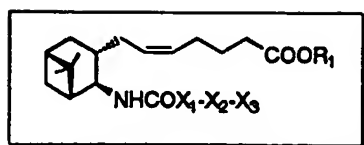
No.	R_1	X_1-X_2-X_3
2a-126	H	
2a-127	H	
2a-128	H	
2a-129	H	
2a-130	H	
2a-131	H	
2a-132	H	
2a-133	H	
2a-134	H	
2a-135	H	
2a-136	H	
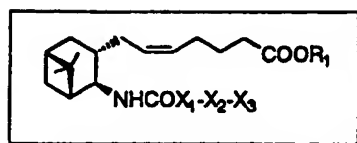
No.	R_1	X_1-X_2-X_3
2a-137	H	
2a-138	H	
2a-139	H	
2a-140	H	
2a-141	H	
2a-142	H	
2a-143	H	
2a-144	H	
2a-145	H	
2a-146	H	
2a-147	H	
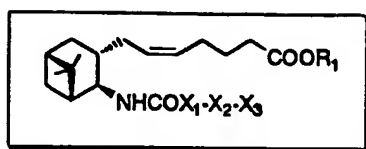
No.	R_1	X_1-X_2-X_3
2a-148	H	
2a-149	H	
2a-150	H	
2a-151	H	
2a-152	H	
2a-153	H	
2a-154	H	
2a-155	H	
2a-156	H	
2a-157	H	
2a-158	H	
2a-159	H	
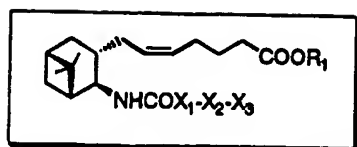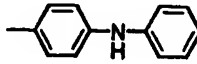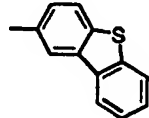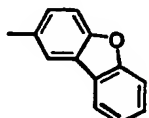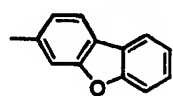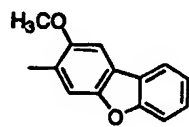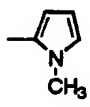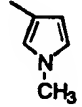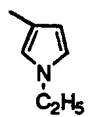
No.	R_1	X_1-X_2-X_3
2a-160	H	
2a-161	H	
2a-162	H	
2a-163	H	
2a-164	H	
2a-165	H	
2a-166	H	
2a-167	H	
2a-168	H	
2a-169	H	
2a-170	H	
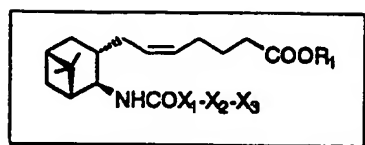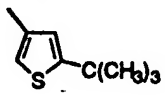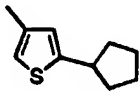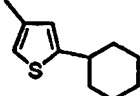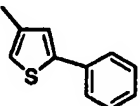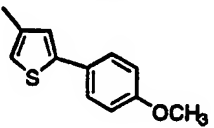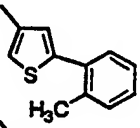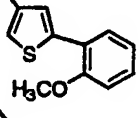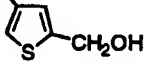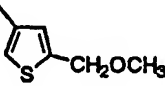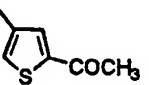
No.	R_1	X_1-X_2-X_3
2a-171	H	
2a-172	H	
2a-173	H	
2a-174	H	
2a-175	H	
2a-176	H	
2a-177	H	
2a-178	H	
2a-179	H	
2a-180	H	
2a-181	H	
2a-182	H	
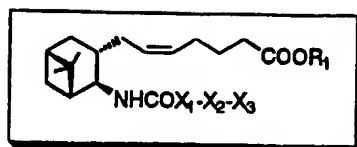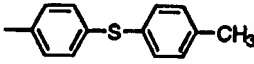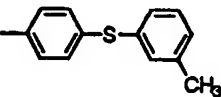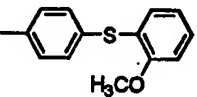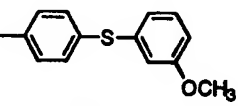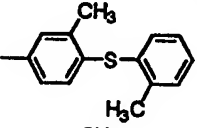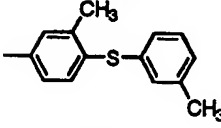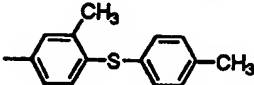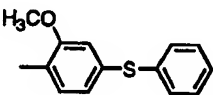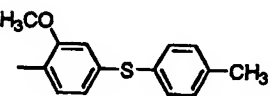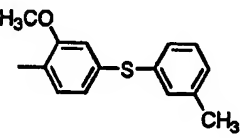
No.	R₁	X₁-X₂-X₃
2a-183	H	
2a-184	H	
2a-185	H	
2a-186	H	
2a-187	H	
2a-188	H	
2a-189	H	
2a-190	H	
2a-191	H	
2a-192	H	
2a-193	H	
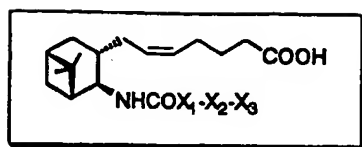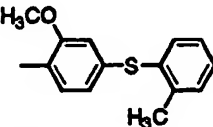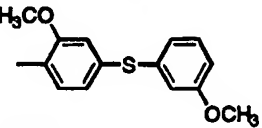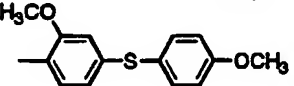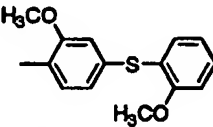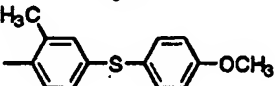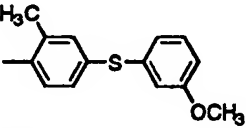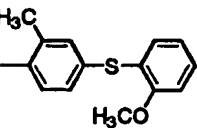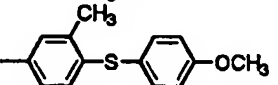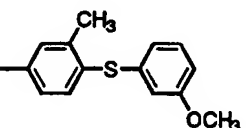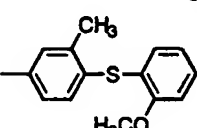
No.	X_1-X_2-X_3
2a-214	
2a-215	
2a-216	
2a-217	
2a-218	
2a-219	
2a-220	
2a-221	
2a-222	
2a-223	
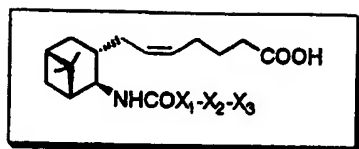
No.	X_1-X_2-X_3
2a-224	
2a-225	
2a-226	
2a-227	
2a-228	
2a-229	
2a-230	
2a-231	
2a-232	
2a-233	
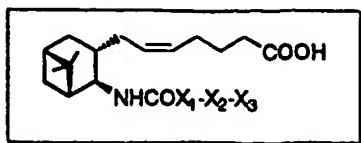
No.	X_1-X_2-X_3
2a-234	
2a-235	
2a-236	
2a-237	
2a-238	
2a-239	
2a-240	
2a-241	
2a-242	
2a-243	
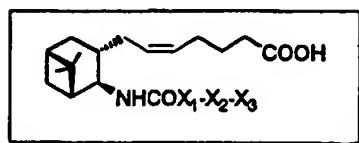
No.	X₁-X₂-X₃
2a-244	
2a-245	
2a-246	
2a-247	
2a-248	
2a-249	
2a-250	
2a-251	
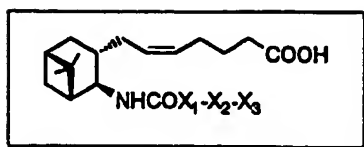
No.	X₁-X₂-X₃
2a-252	
2a-253	
2a-254	
2a-255	
2a-256	
2a-257	
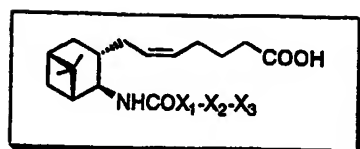
No.	X_1-X_2-X_3
2a-258	
2a-259	
2a-260	
2a-261	
2a-262	
2a-263	
2a-264	
2a-265	
2a-266	
2a-267	
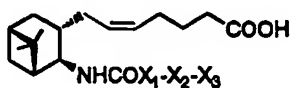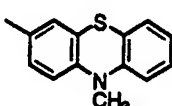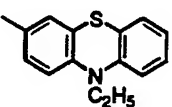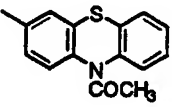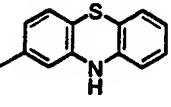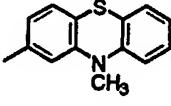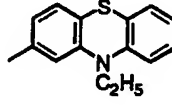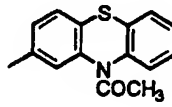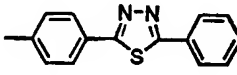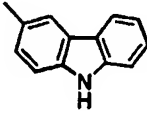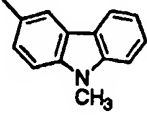
No.	X₁-X₂-X₃
2a-268	
2a-269	
2a-270	
2a-271	
2a-272	
2a-273	
2a-274	
2a-275	
2a-276	
2a-277	
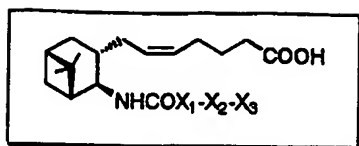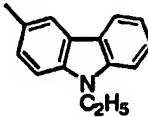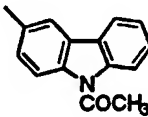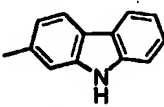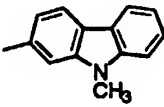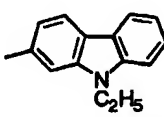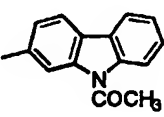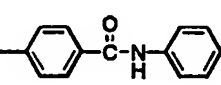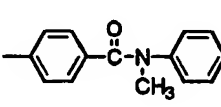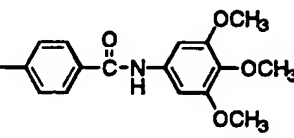
No.	X_1-X_2-X_3
2a-278	
2a-279	
2a-280	
2a-281	
2a-282	
2a-283	
2a-284	
2a-285	
2a-286	
2a-287	
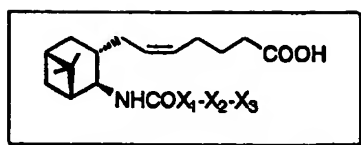
No.	X_1-X_2-X_3
2a-288	
2a-289	
2a-290	
2a-291	
2a-292	
2a-293	
2a-294	
2a-295	
2a-296	
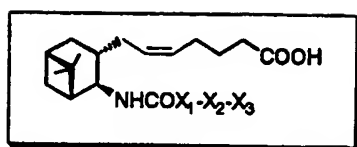
No.	$X_1\text{-}X_2\text{-}X_3$
2a-297	
2a-298	
2a-299	
2a-300	
2a-301	
2a-302	
2a-303	
2a-304	
2a-305	
2a-306	

No.	$X_1\text{-}X_2\text{-}X_3$

Header structure: NHCOX₁-X₂-X₃ with COOH side chain.
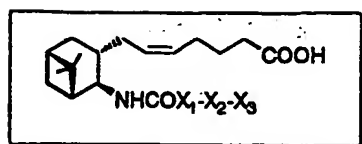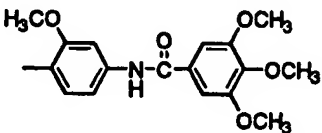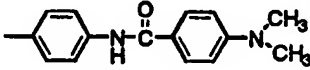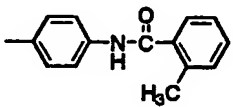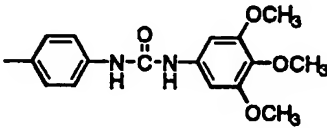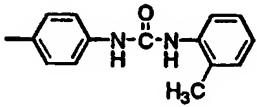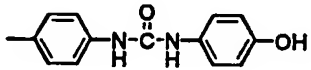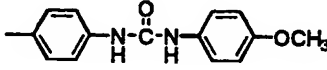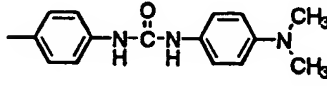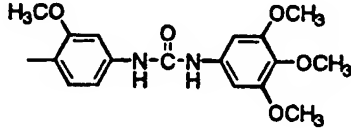
2a-307

2a-308

2a-309

2a-310

2a-311

2a-312

2a-313

2a-314

2a-315

Table 2b
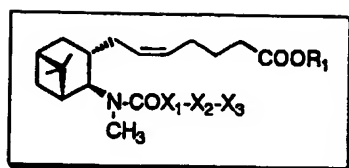
No.	R$_1$	X$_1$-X$_2$-X$_3$
2b-1	H	
2b-2	H	

Table 2c
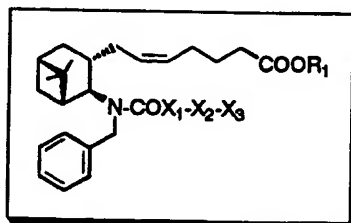
No.	R$_1$	X$_1$-X$_2$-X$_3$
2c-1	H	
2c-2	H	
2c-3	H	

Table 2d
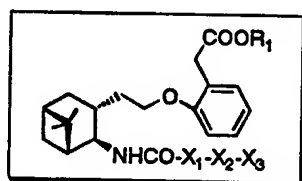
No.	R$_1$	X$_1$-X$_2$-X$_3$
2d-1	H	
2d-2	H	
2d-3	H	

Table 2e
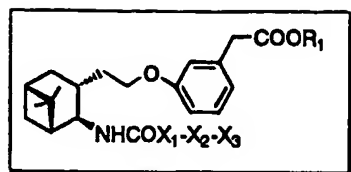
No.	R_1	X_1-X_2-X_3
2e-1	H	
2e-2	H	
2e-3	H	

Table 2f
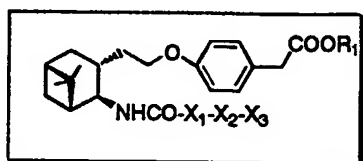
No.	R_1	X_1-X_2-X_3
2f-1	H	
2f-2	H	
2f-3	H	

Table 2g
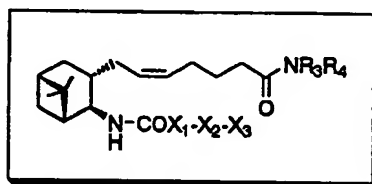
No.	R_3	R_4	X_1-X_2-X_3
2g-1	H	SO_2CH_3	

Table 2h
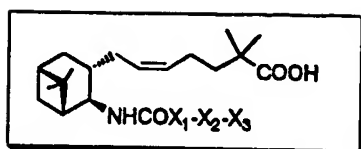
No.	X₁-X₂-X₃
2h-1	
2h-2	
2h-3	
2h-4	
2h-5	
2h-6	

Table 2i
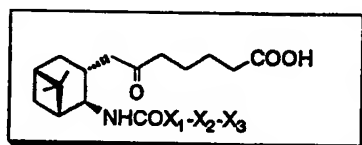
No.	X₁-X₂-X₃
2i-1	
2i-2	
2i-3	
2i-4	
2i-5	
2i-6	

Table 2j
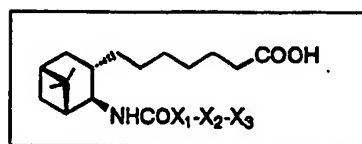
No.	X₁-X₂-X₃
2j-1	
2j-2	
2j-3	
2j-4	
2j-5	
2j-6	

Table 2k
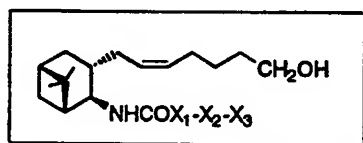
No.	X₁-X₂-X₃
2k-1	
2k-2	
2k-3	
2k-4	
2k-5	
2k-6	

Table 3a
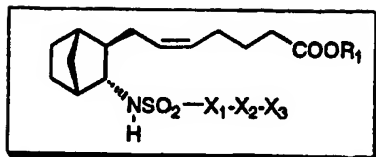
No.	R_1	X_1-X_2-X_3
3a-1	CH_3	
3a-2	H	
3a-3	CH_3	
3a-4	H	
3a-5	$H_3N^+C(CH_2OH)_3$	
3a-6	Na	
3a-7	1/2 Ca	
3a-8	H	
3a-9	H	
3a-10	CH_3	
3a-11	H	
3a-12	CH_3	
3a-13	H	
3a-14	CH_3	
3a-15	CH_3	
3a-16	H	
3a-17	CH_3	
3a-18	H	
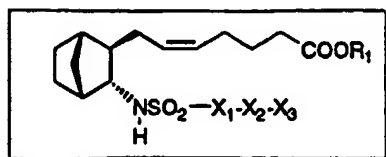
No.	R_1	$X_1\text{-}X_2\text{-}X_3$
3a-19	CH_3	
3a-20	H	
3a-21	CH_3	
3a-22	H	
3a-23	CH_3	
3a-24	H	
3a-25	H	$-(CH_2)_3CH_3$
3a-26	CH_3	
3a-27	H	$-(CH_2)_7CH_3$
3a-28	CH_3	
3a-29	H	
3a-30	CH_3	
3a-31	CH_3	
3a-32	H	
3a-33	Na	
3a-34	H	
3a-35	Na	

Table 3b
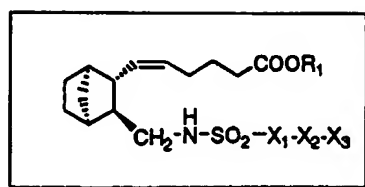
No.	R$_1$	X$_1$-X$_2$-X$_3$
3b-1	CH$_3$	
3b-2	H	
3b-3	H	
3b-4	H	

Table 3c
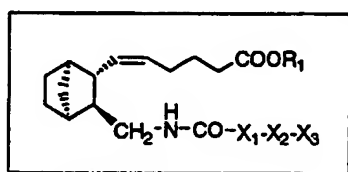
No.	R$_1$	X$_1$-X$_2$-X$_3$
3c-1	H	

Table 3d
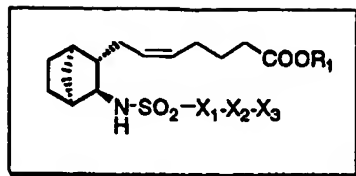
No.	R_1	X_1-X_2-X_3
3d-1	1/2 Ca	
3d-2	Na	
3d-3	Na	
3d-4	Na	
3d-5	CH₃	
3d-6	H	
3d-7	CH₃	
3d-8	H	
3d-9	Na	
3d-10	CH₃	
3d-11	H	
3d-12	Na	
3d-13	1/2 Ca	
3d-14	H	
3d-15	Na	
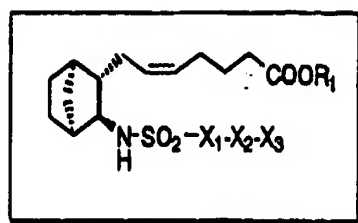
No.	R_1	X_1-X_2-X_3	
3d-16	H		
3d-17	H		
3d-18	H	$-(CH_2)_3CH_3$	
3d-19	CH_3		
3d-20	H	$-NHCH_3$	
3d-21	CH_3		
3d-22	H		
3d-23	H		
3d-24	H		
3d-25	H		
3d-26	Na		racemic compound
3d-27	H		
3d-28	Na		racemic compound
3d-29	H		
3d-30	Na		racemic compound

Table 3e
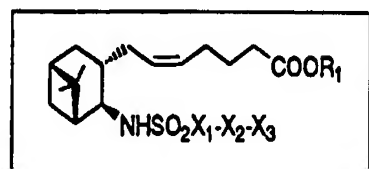
Physicoch mical properties of compounds above are shown b low. Th compound number below corresponds to that described in Tables above.

5 No.1 a − 4

$[\alpha]_D$= -11.5° (CHCl$_3$,c=1.01,23.5℃).

No.1 a − 5

$[\alpha]_D$= -10.0° (CHCl$_3$,c=1.01,25.0℃).

10

No.1 a − 6

CDCl$_3$ 300MHz

0.93-1.96(14H,m),2.20-2.26(3H,m),3.03(1H,m),3.67(3H,s),4.99(1H,d,J=6.6Hz),5.10-5.24(2H,m),7.37-7.51(3H,m),7.54-7.64(3H,m),7.76-7.88(2H,m),8.11(1

15 H,m).

IR (CHCl$_3$):3384,3278,3026,2952,2874,1727,1436,1411,1324,1155,1097 /cm.

$[\alpha]_D$= -9.0° (CHCl$_3$,c=1.04,22.0℃).

No.1 a − 7

20 CDCl$_3$ 300MHz

0.93-2.00(14H,m),2.18(1H,m),2.28(2H,t,J=7.2Hz),3.04(1H,m),5.15-5.25(2H,m), 5.28(1H,d,J=6.9Hz),7.36-7.50(3H,m),7.54-7.63(3H,m),7.76-7.89(2H,m), 8.12(1H,m) .

IR(CHCl$_3$):3268,3028,2952,2872,1708,1452,1410,1324,1155,1097 /cm.

25 $[\alpha]_D$=-9.1° (CHCl$_3$,c=1.01,24.0℃).

No.1 a − 8

CDCl$_3$ 300MHz

0.94-1.99(14H,m),2.21-

2.29(3H,m),3.05(1H,m),3.67(3H,s),4.92(1H,d,J=6.3Hz), 5.14·

5.30(2H,m),7.70·7.78(6H,m),7.96·8.01(2H,m).

IR(CHCl₃):3376,3272,3018,2946,2868,1727,1616,1435,1388,1324,1162,1130,

1069 /cm.

5    $[\alpha]_D$= +1.6° (CHCl₃,c=1.01,24.0℃).   mp.117·119℃.

No.1a − 9

CDCl₃ 300MHz

0.95·2.08(14H,m),2.19(1H,m), 2.32(2H,t,J=7.2Hz),3.06(1H,m),5.20·5.30(2H,

10   m),5.34(1H,d,J=6.6Hz),7.69·7.78(6H,m),7.96·8.03(2H,m).

IR(CHCl₃):3260,3020,2950,2868,1708,1389,1324,1162,1130,1069 /cm.

$[\alpha]_D$= +13.3° (CHCl₃,c=1.05,24.0℃).

mp.118·120℃

15   No.1a − 1 0

CDCl₃ 300MHz

0.96·1.98(14H,m),2.15·2.32(3H,m),3.04(1H,m),3.66(3H,s),5.12·

5.26(5H,m),7.67·7.78(4H,m),7.93·8.07(4H,m).

IR(CHCl₃):3276,3018,2946,2868,1726,1595,1435,1341,1162,1095 /cm.

No.1a — 1 2

CDCl$_3$ 300MHz

0.96-1.96(14H,m),2.22-2.27(3H,m),3.03(1H,m),3.66(3H,s),3.87(3H,s),4.86(1

5   H,d,J=6.9Hz),5.18-5.24(2H,m),6.99-7.02(2H,m),7.55-7.66(2H,m),7.66-7.69(2

H,m),7.89-7.92(2H,m).

IR(CHCl$_3$):3374,3270,3016,2948,2870,1726,1608,1518,1487,1458,1437,1248,

1157,1037.

[α]$_D$=+4.2° (CHCl$_3$,c=1.01,24℃).

10   mp.85-87℃.

No.1a — 1 3

CDCl$_3$ 300MHz

0.97-1.99(14H,m),2.18(1H,m),2.30(2H,t,J=7.2Hz),3.04(1H,m),3.86(3H,s),5.1

15   8(1H,d,J=5.7Hz),5.23-5.26(2H,m),6.99-7.02(2H,m),7.55-7.58(2H,m),7.66-7.6

8(2H,m),7.89-7.92(2H,m).

IR(CHCl$_3$):3380,3260,3020,2948,2868,1708,1608,1519,1487,1458,1306,1293,

1248,1156 /cm.

[α]$_D$=+18.3° (CHCl$_3$,c=1.00,25.5℃) .

20

No.1a — 1 4

CDCl$_3$ 300MHz

0.98-2.00(14H,m),2.20(1H,m),2.25(2H,t,J=7.2Hz),3.02(1H,m),3.67(3H,s),4.8

5(1H,d,J=6.3Hz),5.19-5.25(2H,m),7.13(1H,dd,J=4.8,3.6Hz),7.39(1H,d,J=4.8

25   Hz),7.40(1H,d,J=3.6Hz),7.71-7.74(2H,m),7.86-7.89(2H,m).

IR(CHCl$_3$):3374,3270,3018,2946,2868,1727,1593,1434,1322/cm.

[α]$_D$= +5.6° (CHCl$_3$,c=1.01,24℃).

mp.69-71℃.

No.1a － 1 5

CDCl₃ 300MHz

0.95-2.00(14H,m),2.17(1H,m),2.32(2H,t,J=7.2Hz),3.03(1H,m),5.20(1H,d,J=6.9Hz),5.24-5.28(2H,m),7.13(1H,dd,J=4.8,3.3Hz),7.38(1H,d,J=4.8Hz),7.43(1H,

5   d,J=3.3Hz),7.73(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz).

IR(CHCl₃):3260,3022,2948,2868,1709,1593,1404,1321,1154/cm.

$[\alpha]_D$= +20.8° (CHCl₃,c=1.07,23℃).

mp.71-73℃.

10   No.1a － 1 6

CDCl₃ 300MHz

0.98-2.00(14H,m),2.27(2H,t,J=7.5Hz),2.28(1H,m),3.13(1H,m),3.66(3H,s),4.90(1H,d,J=6.9Hz),5.25-5.29(2H,m),7.40-7.65(6H,m),7.76(1H,d,J=8.4Hz),7.90-8.02(4H,m).

15   IR(CHCl₃):3376,3276,3018,2946,2868,1726,1593,1435,1394,1322,1159/cm.

$[\alpha]_D$= +7.0° (CHCl₃,c=1.07,24℃).

No.1a － 1 7

CDCl₃ 300MHz

20   1.02-2.07(14H,m),2.25(1H,m),2.34(2H,t,J=6.6Hz),3.14(1H,m),5.28-5.33(3H,m),7.39-7.57(4H,m),7.62-7.65(2H,m),7.76(1H,d,J=8.1Hz),7.89-8.02(4H,m).

IR(CHCl₃):3260,2948,2868,1709,1593,1394,1324,1157/cm.

$[\alpha]_D$=+20.2° (CHCl₃,c=1.02,24℃).

IR(CHCl$_3$):3372,3272,,3018,2946,2868,1727,1433,1331,1152/cm.

[α]$_D$=-5.7° (CHCl$_3$,c=1.01,23℃).

No.1a－1 9

5   CDCl$_3$ 300MHz

1.05-2.05(14H,m),2.28-2.33(3H,m),3.13(1H,m),5.18(1H,d,J=6.3Hz),5.27-5.31

(2H,m),7.24(1H,d,J=4.2Hz),7.39-7.42(3H,m),7.56(1H,d,J=4.2Hz),7.58-7.62(2

H,m).

IR(CHCl$_3$):3372,3254,3018,2948,2868,1707,1431,1328,1151/cm.

10   [α]$_D$= +4.5° (CHCl$_3$,c=1.01,21.5℃).

No.1a－2 0

CDCl$_3$ 300MHz

1.05-2.00(14H,m),2.26(2H,t,J=7.5Hz),2.33(1H,m),3.11(1H,m),3.68(3H,s),4.9

15   2(1H,d,J=6.0Hz),5.27(2H,m),7.05(1H,m),7.10(1H,d,J=3.6Hz),7.25(1H,m),7.3

2(1H,m),7.49(1H,d,J=3.6Hz).

IR(CHCl$_3$):3372,3272,3018,2946,2686,1727,1438,1417,1333,1151/cm.

[α]$_D$=-9.2° (CHCl$_3$,c=1.01,25℃).

20   No.1a－2 1

CDCl$_3$ 300MHz

1.02-2.01(14H,m),2.28-2.34(3H,m),3.13(1H,m),5.12(1H,d,J=6.9Hz),5.28-5.32

(2H,m),7.06(1H,m),7.10(1H,d,J=3.9Hz),7.25(1H,m),7.32(1H,m),7.50(1H,d,J

=3.9Hz).

25   IR(CHCl$_3$):3350,3250,2948,1709,1440,1420,1330,1151.

[α]$_D$=+2.5° (CHCl$_3$,c=1.00,25℃).

No.1a－2 2

CDCl$_3$ 300MHz

0.96-2.05(14H,m),2.25(1H,m),2.35(2H,t,J=7.0Hz),3.11(1H,m),5.20-5.34(2H,m),5.41(1H,d,J=6.6Hz),7.31-7.49(5H,m),7.62(1H,d,J=7.8Hz),8.11(1H,d.d,J=1.8and7.8Hz),8.35(1H,d,J=1.8Hz).

IR(CHCl$_3$):3384,3271,3025,2958,1708,1608,1559,1537,1357,1168/cm.

5 [α]$_D$=+18.3° (CHCl$_3$,c=0.31,22℃).

No.1a－2 3

CDCl$_3$ 300MHz

0.97-2.07(14H,m),2.24(1H,m),2.35(2H,t,J=6.9Hz),3.09(1H,m),3.86(3H,s),5.2

10 4-5.35(2H,m),5.44(1H,d,J=6.3Hz),6.97-7.00(2H,m),7.26-7.28(2H,m),7.59(1H,d,J=8.1Hz),8.06(1H,d.d,J=2.1and8.1Hz),8.29(1H,d,J=2.1Hz).

IR(CHCl$_3$):3384,3270,2959,1709,1609,1535,1519,1357,1302,1255,1226,1169/cm.

[α]$_D$=+17.0 °(CHCl$_3$,c=1.00,21℃).

15

No.1No.1a－24

CDCl$_3$ 300MHz

0.95-2.00(14H,m),2.20-2.25(1H,m),2.26(2H,t,J=7.2Hz),3.02-3.10(1H,m),

3.66(3H,s),4.92(1H,d,J=6.6Hz),5.16-5.31(2H,m),7.52-7.60(3H,m),7.94-

m.

$[\alpha]_D=+29.8\pm0.7\,^\circ(CHCl_3,c=1.05,25℃)$

mp.158-160℃

5 No.1a－2 6

Anal. Calcd for $C_{26}H_{30}N_5O_4SNa$ $0.8H_2O$: C,60.29;H,6.15;N,8.11;S,6.19;Na, 4.44; Found: C,60.15;H,6.19;N,8.15;S,6.03;Na,4.98.

$[\alpha]_D=\cdot16.6^\circ$ $(CHCl_3,c=1.04,25.0℃)$.

10 No.1a－2 7

$CDCl_3$ 300MHz

0.92-1.98(14H,m),2.20(1H,m),2.26(2H,t,J=7.5Hz),3.03(1H,m),3.12(6H,s),3.6 6(3H,s),4.87(1H,d,J=6.6Hz),5.16-5.32(2H,m),6.73-6.80(2H,m),7.88-8.00(6H, m).

15 IR($CHCl_3$):3376,3020,2946,1726,1601,1518,1442,1419,1362,1312,1163,1133, 1088 /cm.

$[\alpha]_D=+55.3^\circ$ $(CHCl_3,c=0.53,24.0℃)$.

mp.158-168℃

20 No.1a－2 8

$CDCl_3+CD_3OD$ 300MHz

0.99-2.14(14H,m),2.21(1H,m),2.31(2H,t,J=7.2Hz),2.94(1H,m),

3.12(6H,s),5.22-5.38(2H,m),6.73-6.81(2H,m),7.87-8.00(6H,m).

IR(KBr):3434,3309,2946,1708,1604,1520,1442,1416,1366,1312,1252,1164,1

25 155,1134,1091 /cm.

$[\alpha]_D=$ not measurable (colored, insufficient energy)

mp.193-196℃

No.1a－2 9

CD$_3$OD 300MHz

1.02-1.96(14H,m),2.10(2H,t,J=7.8Hz),2.16(1H,m),2.98(1H,m),3.11(6H,s),

5.07-5.27(2H,m),6.80-6.87(2H,m),7.84-8.00(6H,m).

IR(KBr):3433,3087,3004,2949,2871,1604,1565,1520,1444,1420,1364,1312,1

5 253,11638,1136,1090 /cm.

[α]$_D$= not measurable

No.1a-3 0

CDCl$_3$ 300MHz

10 0.95-1.99(14H,m),2.22(1H,m),2.26(2H,t,J=7.2Hz),2.35(3H,s),3.06(1H,m),3.6

6(3H,s),4.95(1H,d,J=6.9Hz),5.15-5.30(2H,m),7.26-7.32(2H,m),7.97-8.06(6H,

m).

IR(CHCl$_3$):3374,2996,2946,2868,1763,1728,1591,1495,1435,1368,1299,1228,

1192,1163,1139 /cm.

15 [α]$_D$=+12.9° (CHCl$_3$,c=1.04,26.0℃).

No.1a-3 1

CDCl$_3$ 300MHz

0.93-2.01(14H,m),2.19(1H,m),2.31(2H,t,J=7.2Hz),2.35(3H,s),3.06(1H,m),

20 5.17-5.32(2H,m),7.25-7.32(2H,m),7.96-8.07(6H,m).

IR(CHCl$_3$):3267,3028,2952,2874,1759,1708,1592,1495,1368,1328,1299,1163

IR(CHCl$_3$):3374,3276,3018,2946,2686,1725,1605,1589,1502,1433,1396,1330, 1271,1164,1135,1089 /cm. [α]$_D$= +18.6° (CHCl$_8$,c=1.00,26.0℃).

No.1a－3 3

5   CDCl$_8$+CD$_8$OD 300MHz

0.98-2.08(14H,m),2.20(1H,m),2.28(2H,t,J=7.2Hz),2.98(1H,m),5.18-5.32(2H, m),6.92-6.99(2H,m),7.85-8.02(6H,m).

IR(KBr):3385,3248,2948,2876,1717,1601,1505,1430,1399,1296,1280,1219,1 165,1136,1092 /cm.

10   [α]$_D$= -16.0° (CH$_8$OH,c=1.08,26.0℃).

mp.208-210℃

No.1a－3 4

mp.82-83℃   [α]$_D$= +10.6° (CHCl$_8$,c=1.01,23.5℃).

15

No.1a－3 5

mp.80-82℃   [α]$_D$= -1.8° (CHCl$_8$,c=1.07,22.0℃).

No.1a－3 6

20   TLC   Rf=0.25   (ethyl acetate/n-hexane = 1:1 (0.3% acetic acid))

No.1a－3 7

CDCl$_8$ 300MHz

0.92-1.96(14H,m),2.21(1H,m),2.27(2H,t,J=7.4Hz),3.01(1H,m),3.66(3H,s),4.7

25   1(1H,d,J=6.6Hz),5.14-5.29(2H,m),7.12(1H,d,J=16.2Hz),7.24(1H,d,J=16.2Hz), 7.28-7.42(3H,m),7.52-7.56(2H,m),7.62(2H,d,J=8.7Hz),7.85(2H,d,J=8.7Hz).

IR(CHCl$_8$):3384,3283,3023,2954,2876,1730,1595,1494,1317,1163,1147 /cm.

[α]$_D$= +10.5° (CHCl$_3$,c=1.01,24℃).

mp 116-117 ℃.

No.1a－3 8

CDCl$_3$ 300MHz

0.92-1.99(14H,m),2.17(1H,m),2.32(2H,t,J=7.2Hz),3.02(1H,m),5.23-5.29(3H,

m),7.11(1H,d,J=16.2Hz),7.23(1H,d,J=16.2Hz),7.28-7.41(3H,m),7.52-7.55(2H,

m),7.61(2H,d,J=8.7Hz),7.86(2H,d,J=8.7Hz).

IR(CHCl$_3$):3515,3384,3270,3022,3015,2957,2876,2669,1708,1595,1496,1320,

1157 /cm.

$[\alpha]_D$= +27.1° (CHCl$_3$,c=1.02,24℃).

10

No.1a－3 9

CDCl$_3$ 300MHz

0.92-1.99(14H,m),2.15(1H,m),2.28(2H,t,J=7.4Hz),3.01(1H,m),3.68(3H,s),4.9

6(1H,d,J=6.6Hz),5.16-5.32(2H,m),6.60(1H,d,J=12.0Hz),6.74(1H,d,J=12.0Hz),

7.16-7.23(5H,m),7.35(2H,d,J=8.4Hz),7.72(2H,d,J=8.4Hz).

IR(CHCl$_3$):3384,3283,3023,3015,2954,2876,1730,1595,1493,1324,1163,1147

/cm.

$[\alpha]_D$= +13.7° (CHCl$_3$,c=1.00,24℃).

20 No.1a－4 0

CDCl$_3$ 300MHz

0.90-2.16(14H,m),2.12(1H,m),2.34(2H,t,J=7.2Hz),3.02(1H,m),5.16(1H,d,J=6

CDCl$_3$ 300MHz

0.98-1.99(14H,m),2.17(1H,m),2.32(2H,t,J=7.2Hz),3.00(1H,m),3.84(3H,s),
5.20-5.26(3H,m),6.90-6.95(2H,m),6.98(1H,d,J=16.2Hz),7.17(1H,d,J=
16.2Hz),7.46-7.49(2H,m),7.58(2H,d,J=8.4Hz),7.83(2H,d,J=8.4Hz).

5   IR(CHCl$_3$):3258,3018,3002,2950,1709,1590,1509,1457,1404,1302,1250,1153
/cm.

[α]$_D$= +30.2° (CHCl$_3$,c=1.00,23℃).

mp.99-100 ℃

10   No.1a − 4 2

CDCl$_3$ 300MHz

1.01-1.99(14H,m),2.28(2H,t,J=7.2Hz),2.30(1H,m),3.10(1H,m),3.66(3H,s),5.0
7(1H,br),5.25-5.30(2H,m),6.98-7.04(2H,m),7.16(1H,d,J=16.2Hz),7.28-7.37(3
H,m),7.47-7.50(3H,m).

15   IR(CHCl$_3$):3372,3276,3020,2946,2870,1727,1491,1433,1331,1152 /cm.

[α]$_D$= -11.5° (CHCl$_3$,c=1.07,21.5℃).

No.1a − 4 3

CDCl$_3$ 300MHz

20   0.98-2.00(14H,m),2.11-2.36(3H,m),3.12(1H,m),5.10(1H,d,J=6.6Hz),5.29-
5.32(2H,m),6.99-7.04(2H,m),7.23(1H,d,J=21.6Hz),7.32-7.49(6H,m).

IR(CHCl$_3$):3380,3248,3020,2948,2868,1709,1491,1430,1329,1151/cm.

[α]$_D$= +3.4° (CHCl$_3$,c=1.03,25℃).

25   No.1a − 4 4

CDCl$_3$ 300MHz

1.00-2.00(14H,m),2.13(1H,m),2.29(2H,t,J=7.4Hz),2.90-3.13(5H,m),3.68(3H,s
),4.74(1H,d,J=6.6Hz),5.15-5.30(2H,m),7.18-7.29(7H,m),7.76(2H,d,J=8.1Hz).

IR(CHCl$_3$):3384,3282,3063,3028,3023,3016,2953,2876,1730,1599,1496,1319,

1157 /cm.

$[\alpha]_D$=+2.3° (CHCl$_3$,c=1.00,25℃).

mp.85.0-86.0℃

5 No.1a－4 5

CDCl$_8$ 300MHz

0.90-2.05(14H,m),2.09(1H,m),2.35(2H,t,J=6.9Hz),2.90-3.13(5H,m),5.18(1H,

d,J=6.6Hz),5.24-5.34(2H,m),7.10-7.27(7H,m),7.76(2H,d,J=8.4Hz).

IR(CHCl$_3$):3510,3384,3270,3087,3063,3026,3018,3014,2955,2876,2670,1708,

10 1599,1496,1318,1157/cm.

$[\alpha]_D$=+8.5° (CHCl$_3$,c=1.01,25℃).

No.1a－4 6

$[\alpha]_D$=+6.8° (CHCl$_3$,c=1.05,25℃). mp.99-100℃.

15

No.1a－4 7

CDCl$_3$ 300MHz

0.97-2.01(14H,m),2.14(1H,m),2.36(2H,t,J=7.2Hz),3.02(1H,m),5.23(1H,d,J=5.

4Hz),5.26-5.30(2H,m),7.37-7.39(3H,m),7.54-7.58(2H,m),7.63-7.66(2H,m),7.8

CDCl$_3$ 300MHz

0.96-1.97(14H,m),2.24(1H,m),2.31(2H,t,J=6.9Hz),3.05(1H,m),3.69(3H,s),5.15(1H,d,J=6.6Hz),5.25-5.27(2H,m),7.40-7.43(3H,m),7.61-7.64(2H,m),7.85(1H,d,J=8.1Hz),8.07(1H,dd,J=8.1,1.8Hz),8.58(1H,d,J=1.8Hz).

5　IR(CHCl$_3$):3374,3020,2948,2870,2212,1726,1606,1530,1493,1437,1345,1167/cm.

[α]$_D$=+2.4° (CHCl$_3$,c=1.03,25℃).　mp.77-79℃.

No.1a－５０

10　CDCl$_3$ 300MHz

1.00-2.02(14H,m),2.20(1H,m),2.34(2H,t,J=6.6Hz),3.08(1H,m),5.26-5.29(2H,m),5.41(1H,d,J=6.9Hz),7.40-7.43(3H,m),7.61-7.64(2H,m),7.84(1H,d,J=8.1Hz),8.07(1H,dd,J=8.4,1.8Hz),8.57(1H,dd,J=1.8Hz).

IR(CHCl$_3$):3380,3254,2952,2880,2212,1707,1606,1531,1493,1409,1344,1166.

15

[α]$_D$=+23.4° (CHCl$_3$,c=1.00,25℃).

No.1a－５１

CDCl$_3$ 300MHz

20　0.95-1.98(14H,m),2.23(1H,m),2.30(2H,t,J=7.2Hz),3.00(1H,m),3.66(3H,s),4.56(2H,br),4.70(1H,d,J=6.9Hz),5.20-5.29(2H,m),7.15(1H,dd,J=7.8,1.8Hz),7.23(1H,d,J=1.8Hz),7.36-7.39(3H,m),7.46(1H,d,J=7.8Hz),7.53-7.56(2H,m).

IR(CHCl$_3$):3494,3386,3028,2952,2874,1725,1611,1559,1497,1422,1317,1162/cm.

25

No.1a－５２

CDCl$_3$ 300MHz

0.96-2.04(16H,m),2.20(1H,m),2.36(2H,t,J=6.9Hz),2.99(1H,m),5.17(1H,d,J=6.3Hz),5.28-5.31(2H,m),7.18(1H,dd,J=9.6,1.8Hz),7.25(1H,m),7.36-7.39(3H,m),

7.46(1H,d,J=7.8Hz),7.52-7.56(2H,m).

IR(CHCl$_3$):3482,3378,3260,3022,2948,2868,1708,161

2,1495,1422,1317/cm.

[α]$_D$=+15.0° (CHCl$_3$,c=1.00,24℃).

5

No.1a－5 3

CDCl$_3$ 300MHz

1.01-2.05(15H,m),2.31(2H,t,J=7.2Hz),3.10(1H,m),3.67(3H,s),5.02(1H,br),5.2

6-5.33(2H,m),7.18(1H,d,J=4.2Hz),7.36-7.39(3H,m),7.48(1H,d,J=4.2Hz),7.51-

10   7.55(2H,m).

IR(CHCl$_3$):3372,3270,3018,3004,2946,2868,2202,1726,1486,1433,1336,115

4/cm.

[α]$_D$=+0.6° (CHCl$_3$,c=1.11,25℃), [α]$_{436}$ +17.8° (CHCl$_3$,c=1.11,25℃).

15   No.1a－5 4

CDCl$_3$ 300MHz

0.99-2.11(14H,m),2.27(1H,m),2.37(2H,t,J=7.5Hz),3.13(1H,m),5.16(1H,d,J=6.

6Hz),5.31-5.35(2H,m),7.18(1H,d,J=3.6Hz),7.37-7.39(3H,m),7.50(1H,d,J=3.6

Hz),7.52-7.55(2H,m).

20   IR(CHCl$_3$):3484,3370,3246,3048,2868,2202,1708,1486,1432,1335,1154/

No.1a－5 6

CDCl₃ 300MHz

0.95-1.95(14H,m),2.10(1H,m),2.27(2H,t,J=6.9Hz),3.00(1H,m),5.17-5.21(2H,m),5.38(1H,d,J=6.9Hz),7.39-7.60(7H,m),7.70(1H,dd,J=7.8,1.5Hz),8.07(1H,J

5 =6.6,1.5Hz).

IR(CHCl₃):3364,3026,2952,2874,2212,1707,1597,1491,1458,1411,1341,1164/cm.

[α]_D=-43.1° (CHCl₃,c=1.00,25℃).

10 No.1a－5 7

CDCl₃ 300MHz

0.99-1.97(14H,m),2.23-2.30(3H,m),3.01(1H,m),3.67(3H,s),5.17-5.26(3H,m),7.36-7.38(3H,m),7.50-7.56(3H,m),7.60(1H,m),7.83(1H,m),8.05(1H,m).

IR(CHCl₃):3376,3020,2946,2870,1727,1598,1491,1437,1412,1330,1245,116

15 3/cm.

[α]_D=-12.7° (CHCl₃,c=1.00,24℃).

No.1a－5 8

CDCl₃ 300MHz

20 0.97-1.98(14H,m),2.20(1H,m),2.33(2H,t,J=6.9Hz),3.02(1H,m),5.19-5.28(3H,m),7.36-7.38(3H,m),7.47-7.55(3H,m),7.69(1H,m),7.83(1H,m),8.04(1H,m).

IR(CHCl₃):3376,3260,3022,3002,2948,2868,2220,1708,1598,1490,1455,1412,1327,1162/cm.

[α]_D=-8.6° (CHCl₃,c=1.01,24℃).

25

No.1a－5 9

CDCl₃ 300MHz

0.95-1.99(24H,m),2.20(1H,m),2.28(2H,t,J=7.8Hz),2.53(1H,s),2.96(1H,m),3.69(3H,s),4.99(1H,d,J=6.6Hz),5.18-5.20(2H,m),7.53(2H,d,J=8.4Hz),7.82(2H,d,

J=8.4Hz).

IR(CHCl$_3$):3583,3376,3002,2936,2852,1725,1591,1490,1437,1393,1325,1160/cm.

[α]$_D$=-8.8° (CHCl$_3$,c=1.00,24℃).

5

No.1a－6 0

CDCl$_3$ 300MHz

0.96-2.05(24H,m),2.22(1H,m),2.33(2H,m),2.88(1H,m),5.22-5.26(2H,m),5.30(1H,d,J=5.7Hz),7.50(2H,d,J=8.7Hz),7.80(2H,d,J=8.7Hz).

10 IR(CHCl$_3$):3376,3260,3022,2936,2852,1710,1592,1491,1452,1395,1325,1159/cm.

[α]$_D$=-8.9° (CHCl$_3$,c=1.06,24℃),

mp.88-91℃

15 No.1a－6 1

CDCl$_3$ 300MHz

0.95-2.24(23H,m),2.29(2H,m),2.99(1H,m),3.69(3H,s),4.76(1H,d,J=6.3Hz),5.21-5.24(2H,m),6.28(1H,m),7.50-7.53(2H,m),7.77-7.80(2H,m).

IR(CHCl$_3$):3374,3270,3018,2942,2868,2196,1726,1589,1490,1435,1324,1158/

No.1a - 6 3

CDCl₃ 300MHz

0.93-1.95(25H,m),2.16(1H,m),2.29(2H,t,J=7.2Hz),2.43(2H,t,J=6.9Hz),2.94(1

5 H,m),3.69(3H,s),4.95(1H,d,J=6.9Hz),5.21-5.24(2H,m),7.49(2H,d,J=8.7Hz),7.

79(2H,J=8.7Hz).

   IR(CHCl₃):3376,3018,2946,2866,2222,1727,1592,1456,1435,1325,1158/cm.

[α]$_D$=+3.7° (CHCl₃,c=1.00,25℃).

No.1a - 6 4

10 CDCl₃ 300MHz

0.93-1.97(26H,m),2.35(2H,t,J=7.2Hz),2.43(2H,t,J=7.2Hz),3.00(1H,m),5.08(1

H,d,J=6.6Hz),5.26-5.27(2H,m),7.49(2H,d,J=8.7Hz),7.78(2H,d,J=8.7Hz).

IR(CHCl₃):3260,3020,2948,2864,2222,1708,1592,1489,1456,1397,1324,1156/

cm.

15 [α]$_D$=+14.4° (CHCl₃,c=1.00,25℃) mp.70-71℃.

No.1a - 6 5

CDCl₃ 300MHz

0.95-1.98(14H,m),2.18(1H,m),2.30(2H,t,J=7.2Hz),3.00(1H,m),3.67(3H,s),4.8

20 3(1H,d,J=6.9Hz),5.22-5.25(2H,m),5.54(1H,br),6.82-6.85(2H,m),7.42-7.45(2H,

m),7.59-7.62(2H,m),7.82-7.85(2H,m).

IR(CHCl₃):3576,3374,3018,2946,2868,2208,1725,1607,1587,1514,1435,1325,

1270,1162,1133/cm.

[α]$_D$=+9.1° (CHCl₃,c=1.03,24℃), mp.111-112℃

25

No.1a - 6 6

CDCl₃ 300MHz

0.97-2.03(14H,m),2.15(1H,m),2.35(2H,t,J=7.5Hz),3.00(1H,m),5.17(1H,d,J=6.

6Hz),5.26-5.30(2H,m),6.82-6.85(2H,m),7.42-7.45(2H,m),7.59-7.62(2H,m),7.8

2-7.85(2H,m).

IR(CHCl₃):3260,2948,2870,2208,1709,1607,1587,1514,1396,1325,1270,1162,

1133/cm.

$[\alpha]_D$=-21.0° (CHCl₃,c=1.00,23℃),　mp.161-162℃

5

No.1a－6 7

CDCl₃ 300MHz

0.95-1.98(14H,m),2.20(1H,m),2.29(2H,t,J=7.2Hz),3.01(1H,m),3.67(3H,s),4.8

2(1H,d,J=6.6Hz),5.19-5.27(2H,m),7.05-7.10(2H,m),7.51-7.56(2H,m),7.61-7.6

10　4(2H,m),7.84-7.87(2H,m).

IR(CHCl₃):3374,3280,3020,2946,2868,2214,1727,1589,1509,1435,1327,1233,

1161,1134/cm.

$[\alpha]_D$=+6.7° (CHCl₃,c=1.01,24℃),　mp.84-85℃

15　No.1a－6 8

CDCl₃ 300MHz

0.96-2.01(14H,m),2.15(1H,m),2.34(2H,t,J=6.9Hz),3.02(1H,m),5.23-5.27(3H,

m),7.04-7.10(2H,m),7.51-7.56(2H,m),7.61-7.64(2H,m),7.85-7,88(2H,m).

IR(CHCl₃):3374,3258,3020,2948,2868,2214,1708,1589,1509,1455,1398,1322,

$[\alpha]_D$=+9.2° (CHCl$_3$,c=1.02,24℃).

mp.116-118℃

No.1a − 7 0

5   CDCl$_3$ 300MHz

1.15-2.00(14H,m),2.13(1H,m),2.33-2.38(5H,m),3.04(1H,m),5.14(1H,d,J=6.6

Hz),5.25-5.30(2H,m),7.17(2H,d,J=7.8Hz),7.44(2H,d,J=7.8Hz),7.62(2H,d,J=8.

4Hz),7.85(2H,d,J=8.4Hz).

IR(CHCl$_3$):3380,3260,3020,2948,2868,2210,1708,1590,1511,1396,1324,1160,

10   1133/cm.

$[\alpha]_D$=+24.6° (CHCl$_3$,c=1.00,24℃).

No.1a − 7 1

CDCl$_3$ 300MHz

15   0.95-1.96(14H,m),2.19(1H,m),2.29(2H,t,J=7.2Hz),3.00(1H,m),3.20(1H,s),3.6

5(3H,s),4.81(1H,d,J=6.6Hz),5.20-5.27(2H,m),7.46-7.54(4H,m),7.62-7.65(2H,

m),7.85-7.88(2H,m).

IR(CHCl$_3$):3374,3290,3018,3002,2946,2868,2212,2110,1726,1591,1507,1435,

1401,1324,1161/cm.

20   $[\alpha]_D$=+9.6° (CHCl$_3$,c=1.01,24℃), mp.136-138℃,

No.1a − 7 2

CDCl$_3$ 300MHz

0.96-2.01(14H,m),2.14(1H,m),2.35(2H,t,J=7.2Hz),3.05(1H,m),3.20(1H,s),5.1

25   6(1H,d,J=7.2Hz),5.26-5.29(2H,m),7.45-7.53(4H,m),7.63(2H,d,J=8.4Hz),7.87(

2H,d,J=8.4Hz).

IR(CHCl$_3$):3462,3374,3290,3024,2948,2868,2212,2110,1708,1591,1508,1455,

1401,1321,1274,1160,1132/cm.

$[\alpha]_D$=+24.3° (CHCl$_3$,c=1.03,24℃), mp.96-99℃

No.1a－7 3

CDCl₃ 300MHz

0.95-1.98(14H,m),2.19(1H,m),2.27-2.32(5H,m),3.01(1H,m),3.67(3H,s),4.80(1

5　H,d,J=6.6Hz),5.20-5.27(2H,m),7.12(2H,m),7.56(2H,m),7.63(2H,m),7.84(2H,

m).

IR(CHCl₃):3374,3276,3018,2946,2868,2214,1762,1730,1589,1506,1435,1368,

1161/cm.

$[\alpha]_D$=+7.8° (CHCl₃,c=1.02,24℃), mp.102-104℃

10

No.1a－7 4

CDCl₃ 300MHz

0.95-2.05(14H,m),2.15(1H,m),2.32-2.37(5H,m),3.02(1H,m),5.14(1H,d,J=6.6

Hz),5.26-5.30(2H,m),7.10-7.13(2H,m),7.54-7.57(2H,m),7.62-7.64(2H,m),7.84

15　-7.87(2H,m).

IR(CHCl₃):3482,3250,3022,2946,2868,2214,1716,1709,1589,1507,1454,1396,

1368,1322,1195,1161/cm.

$[\alpha]_D$=+15.0° (CHCl₃,c=1.00,24℃), mp.129-131℃

1.04-2.05(14H,m),2.19(1H,m),2.32(2H,t,J=6.9Hz),2.93(1H,m),5.27-5.31(2H,m),7.60-7.63(2H,m),7.65-7.68(2H,m),7.86-7.89(2H,m),8.05-8.07(2H,m).

IR(CHCl$_3$):3402,3299,2955,2876,2665,2549,1455,1422,1313,1281,1164 /cm.

[α]$_D$=-21.1° (CH$_3$OH,c=1.03,23℃),　mp.227-229(dec.)

5

No.1a − 7 7

CDCl$_3$　300MHz

0.96-1.99(14H,m),2.20(1H,m),2.30(2H,t,J=7.2Hz),3.02(1H,m),3.68(3H,s),4.88(1H,d,J=6.3Hz),5.19-5.29(2H,m),7.67-7.72(4H,m),7.89-7.91(2H,m),8.24-8.27(2H,m).

10

IR(CHCl$_3$):3376,3276,3020,2946,2870,2214,1726,1594,1519,1455,1435,1389,1344,1161/cm.

[α]$_D$=+7.7° (CHCl$_3$,c=1.02),　mp.87-89℃

15　No.1a − 7 8

CDCl$_3$　300MHz

0.98-2.00(14H,m),2.18(1H,m),2.34(2H,t,J=7.2Hz),3.02(1H,m),5.24-5.28(2H,m),5.32(1H,d,J=5.7Hz),7.67-7.72(4H,m),7.89-7.92(2H,m),8.23-8.26(2H,m).

IR(CHCl$_3$):3374,3260,2948,2214,1708,1595,1344,1160/cm.

20　[α]$_D$=+23.3° (CHCl$_3$,c=1.00),　mp.102-103℃.

No.1a − 7 9

CDCl$_3$　300MHz

0.93-2.02(14H,m),2.13(1H,m),2.36(2H,t,J=7.1Hz),3.05(1H,m),3.84(3H,s),5.18(1H,br),5.27-5.31(2H,m),6.88-6.91(2H,m),7.48-7.50(2H,m),7.60-7.63(2H,m),7.83-7.85(2H,m).

25

IR(CHCl$_3$):3380,3252,3020,2950,2868,2208,1708,1589,1511,1457,1396,1321,1286,1160/cm.

[α]$_D$=+26.7° (CHCl$_3$,c=1.00).　mp.75-77℃

No.1a－8 0

CDCl$_3$ 300MHz

0.96-1.99(14H,m),2.21(1H,m),2.30(2H,t,J=7.8Hz),3.02(1H,m),3.68(3H,s),4.8

5 0(1H,d,J=6.6Hz),5.19-5.28(2H,m),7.51-7.77(5H,m),7.87-7.90(2H,m),8.13(1H,

m).

IR(CHCl$_3$):3374,3270,3018,2946,2868,2216,1726,1607,1567,1527,1495,1456,

1436,1344,1296,1161/cm.

[α]$_D$=+7.4° (CHCl$_3$,c=1.00,22℃), mp.68-70℃

10

No.1a－8 1

CDCl$_3$ 300MHz

0.97-2.01(14H,m),2.16(1H,m),2.34(2H,t,J=7.2Hz),3.01(1H,m),5.22-5.28(3H,

m),7.51(1H,m),7.65(1H,m)7.70-7.76(3H,m),7.88-7.91(2H,m),8.12(1H,dd,J=6.

15 9Hz,1.5Hz).

IR(CHCl$_3$):3480,3382,3262,3026,2952,2872,2218,1708,1607,1567,1526,1396,

1343,1225,1160/cm.

[α]$_D$=+22.0° (CHCl$_3$,c=1.00), mp.92-94℃

20 No.1a－8 2

CDCl$_3$ 300MH

CDCl$_3$ 300MHz

0.97-1.99(14H,m),2.17(1H,m),2.33(2H,t,J=6.9Hz),2.99(1H,m),5.20-5.28(2H,
m),5.37(1H,d,J=6.9Hz),6.45(2H,br),6.71-6.76(2H,m),7.19(1H,dd,J=7.8,6.6Hz
),7.37(1H,m),7.62(2H,d,J=8.4Hz),7.85(2H,d,J=8.4Hz).

5   IR(CHCl$_3$):3478,3378,3260,3022,2950,2868,2204,1708,1613,1589,1484,1454,
1396,1316,1160/cm.

$[\alpha]_D$=+17.1° (CHCl$_3$,c=1.01).

No.1a－8 4

10   CDCl$_3$ 300MHz

1.00-2.08(14H,m),2.21(1H,m),2.37(2H,t,J=6.9Hz),3.06(1H,m),3.86(3H,s),5.2
9-5.33(2H,m),5.45(1H,d,J=6.6Hz),6.91-6.94(2H,m),7.56-7.59(2H,m),7.81(1H,
d.t,J=8.1Hz),8.04(1H,d.d,J=8.1&1.8Hz),8.57(1H,d,J=2.1Hz).

IR(CHCl$_3$):3492,3254,3028,2954,2202,1708,1597,1512,1344,1291,1250/cm.

15   $[\alpha]_D$=+27.4° (CHCl$_3$,c=0.53,23℃).

No.1a－8 5

CDCl$_3$ 300MHz

0.96-2.05(14H,m),2.20(1H,m),2.35(2H,t,J=6.9Hz),2.99(1H,m),3.84(3H,s),5.2

20   2-5.31(3H,m),6.89(2H,d,J=8.7Hz),7.19(1H,brs),7.29(1H,brs),7.45-7.50(3H,m
).

IR(CHCl$_3$):3478,3378,3020,2950,2868,2202,1708,1606,1511,1421,1311,128
7,1248,1155/cm.

$[\alpha]_D$=+17.1° (CHCl$_3$,c=1.00,23℃).

25

No.1a－8 6

CDCl$_3$ 300MHz

1.03-2.05(14H,m),2.21(1H,m),2.37(2H,t,J=6.9Hz),3.04(1H,m),5.29-5.33(2H,
m),5.57(1H,d,J=6.3Hz),6.84-6.87(2H,m),7.50-7.53(2H,m),7.79(1H,d,J=8.1Hz

),8.03(1H,d,d,J=1.5and8.1Hz),8.57(1H,d,J=1.5Hz).

IR(CHCl$_3$):3250,3024,2950,2868,2200,1707,1515,1344,1271,1166,1143/cm.

[α]$_D$=+21.2° (CHCl$_3$,c=0.26,22℃).

5 No.1a－8 7

CD$_3$OD 300MHz

1.04-2.00(14H,m),2.18(1H,m),2.26(2H,t,J=5.4Hz),2.93(1H,m),5.19-5.24(2H, m),6.77-6.80(2H,m),7.05(1H,d.d,J=2.1and8.1Hz),7.22(1H,d,J=2.1Hz),7.38-7. 42(3H,m).

10   IR(CHCl$_3$):3377,2952,2873,2204,1705,1607,1515,1425,1312,1267,1222,115 3/cm.

[α]$_D$=-15.6° (CH$_3$OH,c=1.02,22℃).

No.1a－8 8

15 CDCl$_3$ 300MHz

0.90-1.96(14H,m),2.22-2.31(3H,m),2.95(1H,m),3.65(3H,s),4.87(1H,d,J=6.6H z),5.13-5.28(2H,m),7.46-7.62(3H,m),7.82-7.89(4H,m),7.90-7.96(2H,m),8.42(1 H,brs).

IR(CHCl$_3$):3376,3016,2946,2868,1720,1677,1592,1514,1498,1429,1376,1314,

No.1a－9 0

CDCl$_3$　300MHz

0.89-1.96(14H,m),2.23-2.33(3H,m),2.92(1H,m),3.67(3H,s),4.85(1H,d,J=6.3Hz),5.10-5.25(2H,m),7.81-7.90(4H,m),8.10-8.18(2H,m),8.31-8.40(2H,m),8.77(1H,s).

IR(CHCl$_3$):3372,3018,2946,2868,1718,1685,1592,1527,1436,1397,1346,1318,1256,1154,1099 /cm.

$[\alpha]_D$= -16.1° (CHCl$_3$,c=1.00,23.0℃).

No.1a－9 1

CDCl$_3$+CD$_3$OD　　300MHz

0.94-2.02(14H,m),2.18-2.36(3H,m),2.87(1H,m),5.15-5.30(2H,m),7.82-7.92(4H,m),8.09-8.16(2H,m),8.30-8.37(2H,m).

IR(KBr):3284,3112,3006,2952,2874,1707,1593,1528,1498,1399,1348,1320,1259,1153,1093 /cm.

$[\alpha]_D$= -26.3° (CH$_3$OH,c=1.01,22℃).

No.1a－9 2

CDCl$_3$　300MHz

0.93-1.95(14H,m),2.22-2.31(3H,m),2.98(1H,m),3.68(3H,s),5.07(1H,d,J=6.9Hz),5.10-5.24(2H,m),7.18(1H,m),7.35-7.43(2H,m),7.70(2H,d,J=7.8Hz),7.88-8.05(4H,m),8.50(1H,brs).

IR(CHCl$_3$):3382,3008,2952,1720,1675,1599,1525,1499,1438,1321,1253,1161,1087 /cm.

$[\alpha]_D$= -16.6° (CHCl$_3$,c=1.03,24.0℃) mp.100-101℃

No.1a－9 3

CDCl$_3$+CD$_3$OD　　300MHz

0.96-2.00(14H,m),2.18-2.35(3H,m),2.90(1H,m),5.15-5.30(2H,m),7.18(1H,m),

7.33-7.42(2H,m),7.65-7.74(2H,m),7.90-8.08(4H,m).

IR(KBr):3347,3194,3011,2955,2875,1706,1650,1602,1544,1499,1443,1325,

1265,1165,1091 /cm.

5 $[\alpha]_D$= -19.4° (CH$_3$OH,c=1.00,24.0℃)   mp.158-159℃

No.1a－9 4

CD$_3$OD   300MHz

1.05-2.00(14H,m),2.14(1H,m),2.23(2H,t,J=7.2Hz),2.98(1H,m),3.80(3H,s),5.1

10 3-5.27(2H,m),6.88-6.98(2H,m),7.54-7.64(2H,m),7.94-8.12(4H,m).

IR(KBr):3370,3006,2953,1708,1649,1604,1541,1512,1460,1441,1414,1328,1

302,1248,1162,1107,1090,1032/cm.

$[\alpha]_D$= -19.1° (CH$_3$OH,c=1.01,24℃).

15 No.1a－9 5

CD$_3$OD   300MHz

1.04-2.02(14H,m),2.14(1H,m),2.23(2H,t,J=7.2Hz),2.93-3.02(7H,m),5.13-5.27

(2H,m),6.82-6.92(2H,m),7.51-7.59(2H,m),7.95-8.02(2H,m),8.04-8.11(2H,m).

IR(KBr):3370,3006,2953,1708,1649,1604,1541,1512,1460,1441,1414,1328,1

20 302,1248,1162,1107,1090,1032/cm.

No.1a − 9 7

d$_6$-DMSO 300MHz

1.05-2.08(15H,m),2.15(2H,t,J=7.5Hz),2.89(1H,m),5.18-5.28(2H,m),6.78-7.12

5   (3H,m),7.73(1H,d.d,J=1.4and7.8Hz),7.91-7.95(3H,m),8.14(2H,d,J=8.4Hz),9.

71(1H,s).

IR(KBr):3407,3191,2953,1711,1646,1614,1603,1537,1457,1326,1162,1151/c

m.

[α]$_D$=-20.7° (CH$_3$OH,c=1.01,21℃).

10

No.1a − 9 8

CDCl$_3$ 300MHz

0.93-2.00(14H,m),2.21(1H,m),2.31(2H,t,J=7.2Hz),2.93(1H,m),3.84(3H,s),3.8

5(6H,s),5.15-5.30(2H,m),5.45(1H,d,J=6.3Hz),7.04(2H,s),7.78-7.86(2H,m),7.9

15   0-7.98(2H,m),8.58(1H,s).

IR(CHCl$_3$):3264,3008,2954,2874,1707,1670,1607,1537,1506,1451,1421,1308,

1158,1129,1088/cm.

[α]$_D$= -7.2° (CHCl$_3$,c=1.01,23.5℃). mp.147-149℃.

20   No.1a − 9 9

CD$_3$OD 300MHz

1.04-1.98(14H,m),2.21(1H,m),2.10(2H,t,J=7.2Hz),2.95(1H,m),3.76(3H,s),3.8

6(6H,s),5.07-5.24(2H,m),7.19(2H,s),7.99(2H,d,J=8.7Hz),8.13(1H,d,J=8.7Hz).

25   IR(KBr):3354,3002,2950,2874,1656,1607,1570,1508,1452,1413,1314,1233,1

185,1157,1127,1092/cm.

[α]$_D$= -20.3° (CH$_3$OH,c=1.00,23.5℃).

No.1a − 1 0 0

CDCl$_3$ 300MHz

1.14-1.97(14H,m),2.19(1H,m),2.28(2H,t,J=7.4Hz),3.04(1H,m),3.69(3H,s),5.0

3(1H,d,J=6.9Hz),5.15-5.29(2H,m),7.65(2H,d,J=8.4Hz),7.87(1H,s),7.98(2H,d,

J=8.4Hz).

5   IR(CHCl$_3$):3386,3271,3025,3015,2955,2877,1755,1712,1608,1331,1162/cm.

[α]$_D$= -29.4° (CH$_3$OH,c=1.01,25℃).

No.1a－1 0 1

d$_6$-DMSO

10   1.00-2.20(17H,m),2.84(1H,m),5.00-5.20(2H,m),7.78(2H,d,J=8.2Hz),7.84(1H,

s),7.89-7.95(3H,m).

IR(KBr):3269,3065,3008,2952,2874,2763,1746,1707,1607,1322,1157 /cm.

[α]$_D$= -26.2° (CH$_3$OH,c=1.01,25℃).

15   No.1a－1 0 2

CD$_3$OD

1.00-2.25(17H,m),2.92(1H,s),3.64(3H,s),5.07-5.21(2H,m),7.53(1H,s),7.77(2H,

d,J=8.6Hz),7.90(2H,d,J=8.6).

IR(KBr):3430,3277,3006,2952,2873,1720,1687,1620,1571,1438,1312,1156 /c

No.1a － 1 0 4

CDCl₃ 300MHz

0.94-1.96(14H,m),2.21(1H,m),2.31(2H,t,J=6.8Hz),2.99(1H,m),5.18-5.28(2H,

m),5.45(1H,d,J=6.6Hz),7.61(2H,d,J=8.7Hz),7.67(1H,s),7.99(2H,d,J=8.7Hz).

IR(CHCl₃):3382,3222,3028,3019,2957,2876,1736,1709,1604,1412,1322,1301,

1286,1179,1162 /cm.

$[\alpha]_D$= +10.4° (CHCl₃,c=1.00,23℃).

No.1a － 1 0 5

CDCl₃ 300MHz

0.92-1.98(14H,m),2.17(1H,m),2.26(2H.d,J=7.5Hz),3.01(1H,m),3.69(3H,s),4.0

1(3H,s),4.84(1H,d,J=6.3Hz),5.14-5.30(2H,m),7.71(2H,d,J=8.7Hz),7.87(2H,d,

J=8.7Hz),8.09(1H,s).

IR(CHCl₃):3385,3284,3025,3015,2954,2877,2821,1730,1598,1459,1438,1403,

1341,1160,1052 /cm.

$[\alpha]_D$= +3.6° (CHCl₃,c=1.00,26℃).

No.1a － 1 0 6

CDCl₃ 300MHz

0.92-2.08(14H,m),2.14(1H,m),2.34(2H,d,J=7.2Hz),3.02(1H,m),4.01(3H,s),5.1

9(1H,d,J=6.9Hz),5.23-5.32(2H,m),7.71(2H,d,J=8.4Hz),7.88(2H,d,J=8.4Hz),8.

09(1H,s).

IR(CHCl₃):3510,3384,3268,3028,3021,3014,2957,2877,2821,2667,2821,2666,

1707,1598,1459,1404,1341,1324,1160,1052 /cm.

$[\alpha]_D$= +11.8° (CHCl₃,c=1.01,25℃). mp 95-96℃

No.1a － 1 0 7

CDCl₃ 300MHz

0.92-1.97(14H,m),1.34(3H,t,J=7.2Hz),2.18(1H,m),2.28(2H.d,J=7.4Hz),3.01(1

H,m),3.68(3H,s),4.26(2H,q,J=7.2Hz),4.86(1H,d,J=6.6Hz),5.15-5.29(2H,m),7.

71(2H,d,J=8.7Hz),7.87(2H,d,J=8.7Hz),8.09(1H,s).

IR(CHCl$_3$):3385,3282,3025,3026,3015,2954,2877,1729,1599,1480,1458,1438,

5 1403,1338,1161 /cm.

$[\alpha]_D$=+4.4° (CHCl$_3$,c=1.00,25℃).

No.1a－1 0 8

CDCl$_3$ 300MHz

10 0.90-2.04(14H,m),1.34(3H,t,J=7.2Hz),2.14(1H,m),2.34(2H,d,J=7.1Hz),3.01(1

H,m),4.27(2H,q,J=7.2Hz),5.20(1H,d,J=6.6Hz),5.21-5.35(2H,m),7.71(2H,d,J=

8.4Hz),7.88(2H,d,J=8.4Hz),8.10(1H,s).

IR(CHCl$_3$):3514,3384,3270,3025,3015,3015,2957,2877,1708,1599,1458,1403,

1324,1324,1160,1050 /cm.

15 $[\alpha]_D$= +12.7° (CHCl$_3$,c=1.00,25℃).

No.1a－1 0 9

$[\alpha]_D$=+8.5° (CHCl$_3$,c=1.00,25℃).mp109.0-111.0℃

No.1a－1 1 2

CDCl₃ 300MHz

0.96-2.04(14H,m),2.19(1H,m),2.33(2H,d,J=7.1Hz),3.07(1H,m),5.28-5.31(2H,

5 m),5.33(1H,d,J=6.6Hz),7.54-7.63(3H,m),8.05(2H,d,J=8.4Hz),8.18-8.23(2H,m

),8.41(2H,d,J=8.4Hz).

IR(CHCl₃):3384,3269,3025,3015,2957,2877,1708,1598,1496,1457,1417,1326,

1164 /cm.

[α]ᴅ= +12.2° (CHCl₃,c=1.00,24℃). mp.163-164℃

10

No.1a－1 1 3

[α]ᴅ= +22.1° (CHCl₃,c=1.05,25℃).　mp.90-92℃

15 No.1a－1 1 4

[α]ᴅ= +2.2° (CHCl₃,c=1.02,25℃).

No.1a－1 1 5

CDCl₃ 300MHz

20 0.90-1.98(14H,m),2.15-2.22(1H,m),2.27(2H,t,J=7.2Hz),2.95-3.04(1H,m),

3.68(3H,s),4.04(2H,s),4.85(1H,d,J=6.6Hz),5.10-5.27(2H,m),7.12-

7.34(7H,m),7.76-7.82(2H,m).

IR(CHCl₃):3384,3026,2952,1727,1595,1493,1436,1318,1155,1091,890/cm.

[α]ᴅ=0 °

25 [α]₄₃₆=+4.9±0.4 °(CHCl₃,c=1.05,23℃)

No.1a－1 1 6

CDCl₃ 300MHz

0.90-2.10(14H,m),2.10-2.18(1H,m),2.32(2H,t,J=7.2Hz),2.96-3.04(1H,m),

4.04(2H,s),5.14(1H,d,J=6.6Hz),5.16-5.28(2H,m),7.12-7.34(7H,m),7.76-7.82(2H,m).

IR(CHCl$_3$):3260,3020,2950,1709,1407,1318,1154,1091,892/cm.

$[\alpha]_D$=+9.1$\pm$0.5 $^\circ$(CHCl$_3$,c=1.04,23℃)

5

No.1a－1 1 7

CD$_3$OD 300MHz

0.96-2.18(17H,m),2.89-2.92(1H,m),4.05(2H,s),4.95-5.22(2H,m),7.15-7.42(7H,m),7.75-7.81(2H,m).

10 IR(KBr):3429,3279,2951,2872,1563,1494,1453,1408,1313,1155,1093,1057/cm.

$[\alpha]_D$=-16.3$\pm$0.5 $^\circ$(CH$_3$OH,c=1.06,25℃)

No.1a－1 1 8

15 CDCl$_3$ 300MHz

0.98-1.70(15H,m),1.80-2.00(5H,m),2.20-2.40(3H,m),2.98(1H,m),4.06(2H,s),4.72(1H,d,J=6.3Hz),5.00-5.23(3H,m),7.16(2H,d,J=8.4Hz),7.26-7.33(5H,m),7.79(2H,d,J=8.1Hz).

IR(CHCl$_3$):3376,3020,2948,2868,1716,1596,1492,1453,1407,1318,1155,1105/

No.1a－1 2 0

CD₃OD 300MHz

1.00-2.00(14H,m),2.13(2H,t,J=7.5Hz),2.16(1H,m),2.91(1H,m),5.05-5.33(2H,

5   m),7.04-7.11(4H,m),7.18-7.25(1H,m),7.38-7.48(2H,m),7.80-7.87(2H,m).

IR(KBr):3430,3278,3006,2952,2873,1583,1487,1410,1322,1298,1245,1152,1

095 /cm.

$[\alpha]_D$= -8.8° (CH₃OH,c=1.05,25.0℃).

10   No.1a－1 2 1

CDCl₃ 300MHz

0.90-2.10(14H,m),2.15(1H,m),2.35(2H,t,J=7.2Hz),3.01(1H,m),5.20(1H,d,J=6.

9Hz),5.22-5.35(2H,m),7.00-7.09(4H,m),7.18-7.25(1H,m),7.37-7.45(2H,m),7.7

9-7.86(2H,m).

15   IR(CHCl₃):3260,3020,2948,2868,1708,1582,1486,1409,1321,1296,1243,1151,

1093 /cm.

$[\alpha]_D$= +13.1° (CHCl₃,c=1.04,24.0℃).

No.1a－1 2 2

20   CDCl₃ 300MHz

0.90-2.00(14H,m),2.23(1H,m),2.28(2H,t,J=7.5Hz),2.96(1H,m),3.67(3H,s),4.6

9(1H,d,J=6.6Hz),5.15-5.32(2H,m),6.22(1H,s),6.98-7.40(5H,m),7.30-7.38(2H,

m),7.68-7.74(2H,m).

IR(CHCl₃):3416,3370,3018,2946,2868,1725,1587,1508,1437,1400,1320,1149,

25   1094 /cm.

$[\alpha]_D$= +6.2° (CHCl₃,c=1.04,25.0℃).

No.1a－1 2 3

CDCl₃ 300MHz

0.90-2.04(14H,m),2.18(1H,m),2.33(2H,t,J=7.2Hz),2.96(1H,m),5.04-5.35(3H,

m),6.98-7.12(3H,m),7.12-7.20(2H,m),7.28-7.38(2H,m),7.66-7.74(2H,m).

IR(CHCl$_3$):3424,3270,3028,2952,2872,1708,1587,1508,1445,1399,1320,1148,

1092 /cm.

5   [α]$_D$= +20.9° (CHCl$_3$,c=1.06,23.0℃).

No.1a − 1 2 4

CDCl$_3$ 300MHz

0.90-2.00(14H,m),2.18(1H,m),2.28(2H,t,J=7.2Hz),3.00(1H,m),3.14(3H,s),3.6

10   8(3H,s),4.56(2H,s),4.84(1H,d,J=6.3Hz),5.10-5.29(2H,m),7.16-7.26(4H,m),7.2

6-7.34(2H,m),7.78-7.84(2H,m).

IR(CHCl$_3$):3384,3028,2952,2874,1727,1598,1501,1435,1410,1370,1329,1172,

1148,1091 /cm.

[α]$_D$= +2.7° (CHCl$_3$,c=1.09,23.0℃).

15

No.1a − 1 2 5

CDCl$_3$ 300MHz

0.90-2.00(14H,m),2.18(1H,m),2.28(2H,t,J=7.2Hz),2.29(3H,s),3.00(1H,m),3.6

8(3H,s),4.04(2H,s),4.80(1H,d,J=6.6Hz),5.11-5.29(2H,m),6.99-7.06(2H,m),7.1

IR(CHCl₃):3374,3260,3020,2948,2868,1749,1708,1596,1504,1407,1369,1317,
1195,1155,1091 /cm.

$[\alpha]_D$= +10.0° (CHCl₃,c=1.09,23.0℃).

5   No.1a－1 2 7

CDCl₃ 300MHz

0.87-1.95(14H,m),2.18-2.32(3H,m),2.95(1H,m),3.69(3H,s),3.96(2H,s),4.79(1
H,d,J=6.6Hz),4.97-5.17(2H,m),5.54(1H,s),6.75-6.82(2H,m),6.97-7.05(2H,m),
7.25-7.33(2H,m),7.75-7.81(2H,m).

10 IR(CHCl₃):3382,3026,2950,2874,1722,1595,1511,1436,1407,1317,1257,1154,
1090 /cm.

$[\alpha]_D$= -2.1° (CHCl₃,c=1.00,21.5℃).

No.1a－1 2 8

15 CDCl₃ 300MHz

0.85-2.02(14H,m),2.18(1H,m),2.31(2H,t,J=7.2Hz),2.96(1H,m),3.95(2H,s),5.0
5-5.27(3H,m),6.73-6.82(2H,m),6.96-7.04(2H,m),7.25-7.32(2H,m),7.74-7.81(2
H,m).

IR(CHCl₃):3262,3020,2948,2868,1708,1596,1511,1407,1315,1242,1154,1091

20 /cm.

$[\alpha]_D$=+4.8° (CHCl₃,c=1.04,22℃).

No.1a－1 2 9

CDCl₃ 300MHz

25 0.89-1.98(14H,m),2.18(1H,m),2.27(2H,t,J=7.2Hz),2.99(1H,m),3.68(3H,s),3.7
9(3H,s),3.98(2H,s),4.81(1H,d,J=6.6Hz),5.10-5.27(2H,m),6.81-6.87(2H,m),7.0
3-7.10(2H,m),7.25-7.32(2H,m),7.75-7.82(2H,m).

IR(CHCl₃):3382,3276,3006,2950,2874,1726,1609,1509,1457,1436,1407,1315,
1244,1154,1091,1033/cm.

$[\alpha]_D$=+19.3° (CHCl$_3$,c=1.05,23℃).

No.1a − 1 3 0

CDCl$_3$ 300MHz

5   0.90-2.00(14H,m),2.20(1H,m),2.30(2H,t,J=7.2Hz),2.98(1H,m),3.69(3H,s),4.8

1(1H,d,J=6.6Hz),5.12-5.32(2H,m),5.46(1H,brs),6.84-7.01(6H,m),7.76-7.83(2

H,m)

IR(CHCl$_3$):3380,3284,3024,2952,2874,1724,1588,1504,1488,1436,1321,1296,

1149,1091/cm.

10    $[\alpha]_D$=+28.9° (CHCl$_3$,c=1.01,23℃).

No.1a − 1 3 1

CDCl$_3$ 300MHz

0.92-2.10(14H,m),2.18(1H,m),2.34(2H,t,J=6.9Hz),2.96(1H,m),5.18-5.35(3H,

15   m),6.84-7.01(6H,m),7.75-7.83(2H,m).

IR(CHCl$_3$):3270,3028,2952,2874,1708,1589,1505,1489,1456,1322,1297,1238,

1148,1091/cm.

$[\alpha]_D$=+7.7° (CHCl$_3$,c=1.09,24℃).

20   No.1a − 1 3 2

CDCl$_3$ 300MHz

0.91-2.02(14H,m),2.19(1H,m),2.29(2H,t,J=7.2Hz),2.99(1H,m),3.68(3H,s),3.8

3(3H,s),4.82(1H,d,J=6.6Hz),5.14-5.33(2H,m),6.90-7.04(6H,m),7.76-7.83(2H,

m).

25   IR(CHCl$_3$):3384,3006,2952,2874,1727,1589,1502,1488,1459,1438,1321,1295,

1231,1150,1092,1033/cm.

$[\alpha]_D$=+3.1° (CHCl$_3$,c=1.01,23℃).

No.1a − 1 3 3

TLC Rf=0.21   (ethyl acetate/n-hexane = 1:1 (0.3% acetic acid))

No.1a−1 3 4

CDCl$_3$ 300MHz

5   0.97-2.10(14H,m),2.20(1H,m),2.36(2H,t,J=6.9Hz),3.04(1H,m),5.22-5.33(2H,
m),5.41(1H,d,J=6.6Hz),7.02(1H,d,J=9.0Hz),7.09-7.13(2H,m),7.26-7.32(1H,m
),7.43-7.49(2H,m),7.93(1H,d.d,J=2.4and9.0Hz),8.46(1H,d,J=2.4Hz).

IR(CHCl$_3$):3384,3270,3020,2958,1709,1610,1587,1537,1479,1352,1271,1252,
1167/cm.

10   [α]$_D$=+20.9° (CHCl$_3$,c=0.51,22℃).

No.1a−1 3 5

CDCl$_3$ 300MHz

0.96-2.02(14H,m),2.21(1H,m),2.29(2H,t,J=7.2Hz),3.07(1H,m),3.68(3H,s),5.0

.15   4(1H,d,J=6.9Hz),5.16-5.33(2H,m),7.48-7.55(2H,m),7.64(1H,m),7.76-7.82(2H,
m),7.88-7.94(2H,m),7.98-8.04(2H,m).

IR(CHCl$_3$):3384,3282,3026,2952,2874,1727,1663,1596,1446,1396,1316,1274,
1163,1090 /cm.

[α]$_D$= +3.1° (CHCl$_3$,c=1.03,22.0℃).

20

No.1a−1 3 6

CDCl$_3$ 300MHz

0.95-2.05(14H,m),2.19(1H,m),2.34(2H,t,J=7.2Hz),3.08(1H,m),5.10-5.40(2H,
m),5.35(1H,d,J=6.8Hz),7.45-7.58(2H,m),7.64(1H,m),7.74-7.84(2H,m),7.84-7.

25   95(2H,m),7.95-8.06(2H,m).

IR(CHCl$_3$):3260,3018,2950,2870,1708,1662,1595,1446,1395,1316,1274,1162,
1090 /cm.

[α]$_D$= +12.9° (CHCl$_3$,c=1.05,21.5℃).

No.1a – 1 3 7

CDCl₃ 300MHz

0.97-2.04(14H,m),2.27(1H,m),2.31(2H,t,J=7.2Hz),3.07(1H,m),3.70(3H,s),5.1

5-5.30(3H,m),7.48-7.68(5H,m),7.96-8.02(2H,m).

5   IR(CHCl₃):3382,3030,2952,2878,1725,1446,1329,1154,1098 /cm.

[α]_D= -12.1° (CHCl₃,c=1.03,22.0℃).

No.1a – 1 3 8

CDCl₃ 300MHz

10   0.95-2.04(14H,m),2.25(1H,m),2.35(2H,t,J=7.2Hz),3.08(1H,m),5.15-5.34(2H,

m),5.41(1H,d,J=6.6Hz),7.48-7.68(5H,m),7.98-8.03(2H,m).

IR(CHCl₃):3370,3242,3022,2950,2870,1707,1445,1408,1329,1154,1099 /cm.

[α]_D=-0.6° (CHCl₃,c=1.06,21.5℃) [α]₃₆₅ +30.7° (CHCl₃,c=1.06,21.5℃).

15   No.1a – 1 3 9

CDCl₃ 300MHz

0.92-2.19(14H,m),2.27-2.34(3H,m),3.26(1H,m),3.65(3H,s),4.28(2H,s),4.37(1

H,d,J=7.4Hz),5.34-5.50(2H,m),7.37-7.62(9H,m).

IR(CHCl₃):3389,3294,3028,3015,2954,2877,1730,1600,1488,1325,1151,1129

No.1a − 1 4 1

CDCl$_3$ 300MHz

0.92-2.19(15H,m),2.32(2H,t,J=7.2Hz),3.26(1H,m),3.65(3H,s),4.31(2H,s),4.48

5   (1H,d,J=7.4Hz),5.33-5.49(2H,m),7.42-7.80(8H,m).

IR(CHCl$_3$):3388,3285,3018,2955,2877,2225,1730,1597,1479,1320,1152,1129

/cm.

$[\alpha]_D$= -20.1° (CHCl$_3$,c=0.96,25℃).

10   No.1a − 1 4 2

CDCl$_3$ 300MHz

0.92-2.22(15H,m),2.35(2H,t,J=6.8Hz),3.25(1H,m),4.32(2H,s),4.86(1H,d,J=7.

4Hz),5.33-5.53(2H,m),7.43-7.80(8H,m).

IR(CHCl$_3$):3512,3388,3258,3031,3023,3014,2956 2877,2225,1708,1597,147

15   9,1319,1151,1128 /cm.

$[\alpha]_D$= -19.3° (CHCl$_3$,c=1.09,23℃).

No.1a − 1 4 3

CDCl$_3$ 300MHz

20   1.00-1.93(14H,m),2.17(1H,m),2.27(2H,t,J=7.2Hz),3.07(1H,m),5.17-5.22(2H,

m),5.36(1H,d,J=6.9Hz),7.77(1H,d,J=9.0Hz),8.11-8.17(2H,m),8.36(1H,d.d,J=

2.1and9.0Hz),8.51(1H,d,J=1.8Hz),8.65(1H,d,J=2.1Hz).

IR(CHCl$_3$):3382,3266,3026,2954,2874,1708,1632,1585,1528,1458,1419,1345,

1153/cm.

25   $[\alpha]_D$=+7.6° (CHCl$_3$,c=1.04,22℃).

No.1a − 1 4 4

CDCl$_3$ 300MHz

0.95-1.90(14H,m),2.17(1H,m),2.25(2H,t,J=7.5Hz),3.02(1H,m),5.09(1H,d,J=6.

6Hz),5.15-5.21(2H,m),6.72(1H,d,J=8.4Hz),6.85(1H,s),7.54(1H,d,J=8.4Hz),7.72(1H,d,J=9.0Hz),7.83(1H,d.d,J=1.8and9.0Hz),8.32(1H,d,J=1.8Hz).

IR(CHCl$_3$):3380,3260,3022,2948,2868,2352,1709,1636,1460,1425,1313,1291,1265,1148,1130/cm.

5 $[\alpha]_D$=+12.9° (CHCl$_3$,c=1.02,22.5℃).

No.1a－1 4 5

CDCl$_3$ 300MHz

0.97-1.90(14H,m),2.15(1H,m),2.27(2H,t,J=6.9Hz),3.02(1H,m),3.08(6H,s),5.1

10 2(1H,d,J=6.3Hz),5.19-5.25(2H,m),6.78-6.84(2H,m),7.53(1H,d,J=8.7Hz),7.76-7.83(2H,m),8.30(1H,d,J=1.8Hz).

IR(CHCl$_3$):3272,3030,2950,2874,1708,1635,1601,1511,1457,1425,1357,1328,1151,1124/cm.

$[\alpha]_D$=+6.3° (CHCl$_3$,c=1.04,23℃).

15

No.1a－1 4 6

CDCl$_3$ 300MHz

0.95-2.00(14H,m),2.16(1H,m),2.29(2H,t,J=7.2Hz),3.05(1H,m),4.10(3H,s),5.1

3-5.28(2H,m),5.38(1H,d,J=6.9Hz),7.67-7.74(2H,m),8.08(1H,d.d,J=1.8and9.0

20 Hz),8.11(1H,s),8.61(1H,d,J=1.8Hz).

IR(CHCl$_3$):3260,3020,2948,2868,1708,1639,1606,1528,1470,1455,1424,1349,1311,1238,1174,1149,1120,1079,1060,1022/cm.

IR(CHCl₃):3380,3264,3002,2950,2868,1708,1634,1476,1452,1426,1317,1264,
1218,1169,1147,1115,1068,1031/cm.

$[\alpha]_D$=+5.6° (CHCl₃,c=1.02,23℃).

5   No.1a－1 4 8

CDCl₃ 300MHz

0.90-1.98(14H,m),2.15(1H,m),2.28(2H,t,J=6.9Hz),2.91(6Hs),3.03(1H,m),4.01
(3H,s),5.15-5.26(3H,m),7.18(1H,s),7.38(1H,s),7.59(1H,d,J=8.7Hz),7.87(1H,d.
d,J=2.1and8.7Hz),8.40(1H,d,J=2.1Hz).

10   IR(CHCl₃):3384,3266,2956,1709,1632,1602,1495,1473,1458,1430,1317,1231,
1148,1121/cm.

$[\alpha]_D$=+11.2° (CHCl₃,c=1.01,23℃).

No.1a－1 4 9

15   CDCl₃ 300MHz

0.99-1.90(14H,m),2.17(1H,m),2.28(2H,t,J=7.2Hz),3.00(1H,m),5.13-5.19(2H,
m),5.43(1H,d,J=6.0Hz),7.02(1H,d.d,J=2.4and9.0Hz),7.38-7.41(2H,m),7.58(1
H,d,J=8.7Hz),7.96(1H,d.d,J=1.8and8.7Hz),8.45(1H,d,J=1.8Hz).

IR(CHCl₃):3270,3020,2948,2868,1709,1601,1478,1448,1419,1315,1147,1120/

20   cm.

$[\alpha]_D$=-11.4° (CHCl₃,c=1.01,23℃).

No.1a－1 5 0

CDCl₃ 300MHz

25   0.97-1.88(14H,m),2.12-2.31(3H,m),2.38(3H,s),3.01(1H,m),5.14-5.19(2H,m),5.
36(1H,d,J=6.6Hz),7.24(1H,d.d,J=2.4and9.0Hz),7.59(1H,d,J=6.3Hz),7.66(1H,
d,J=8.7Hz),7.72(1H,d,J=2.4Hz),8.01(1H,d.d,J=1.8and8.7Hz),8.49(1H,d,J=1.
8Hz).

IR(CHCl₃):3470,3374,3260,3018,2950,2868,1709,1474,1444,1412,1370,1319,

1266,1162,1145,1118/cm.

$[\alpha]_D$=+4.9° (CHCl$_3$,C=1.00,24℃).

No.1a－1 5 1

5　CDCl$_3$　300MHz

0.97-1.89(14H,m),2.17(1H,m),2.25(2H,t,J=7.2Hz),3.03(1H,m),3.92(3H,s),5.1
5-5.20(2H,m),5.32(1H,d,J=6.6Hz),7.11(1H,d.d,J=2.4and9.3Hz),7.45(1H,d,J=
2.4Hz),7.50(1H,d,J=9.3Hz),7.62(1H,d,J=8.7H),7.97(1H,d.d,J=2.1and8.7Hz),
8.50(1H,d,J=2.1Hz).

10　IR(CHCl$_3$):3260,3018,2948,1708,1483,1454,1432,1314,1287,1268,1188,1169,
1147/cm.

$[\alpha]_D$=+4.9° (CHCl$_3$,C=1.01,23.5℃).

No.1a－1 5 2

15　CDCl$_3$　300MHz

0.98-2.04(14H,m),2.15(1H,m),2.30(2H,t,J=6.6Hz),3.04(1H,m),5.17-5.29(3H,
m),7.41(1H,d.d,J=1.5and8.1Hz),7.64-7.68(2H,m),7.92(1H,d,J=8.4Hz),8.00(1
H,d.d,J=1.8and8.4Hz),8.49(1H,d,J=1.8Hz).

IR(CHCl$_3$):3266,3028,2952,2872,1707,1629,1591,1456,1416,1318,1275,1150/

20　cm.

$[\alpha]_D$=+3.2° (CHCl$_3$,C=1.04,23℃).

No.1a－1 5 3

CDCl$_3$　300MHz

25　0.97-1.88(14H,m),2.16(1H,m),2.26(2H,t,J=7.2Hz),3.03(1H,m),4.64-4.65(2H,
m),5.16-5.50(5H,m),6.13(1H,m),7.14(1H,d.d,J=2.7and9.0Hz),7.46-7.52(2H,
m),7.63(1H,d,J=8.7Hz),7.97(1H,d.d,J=1.8and8.7Hz),8.49(1H,d,J=1.8Hz).

IR(CHCl$_3$):3374,3260,3020,2948,2868,1708,1599,1478,1446,1414,1314,1284,
1268,1184,1148,1120/cm.

$[\alpha]_D=+5.3°$ (CHCl$_3$,c=1.00,23℃).

No.1a－1 5 4

CDCl$_3$ 300MHz

5   0.99-2.00(15H,m),2.26(2H,t,J=7.2Hz),3.03(1H,m),4.07(3H,s),5.23-5.27(2H,m
),5.36(1H,d,J=7.2Hz),7.20(1H,s),7.36-7.48(2H,m),7.55-7.58(1H,m),7.91-7.93
(1H,m),8.52(1H,s).
IR(CHCl$_3$):3362,3257,3020,2948,2868,1708,1637,1602,1579,1488,1457,1437,
1413,1345,1318,1301,1276,1182,1104/cm.

10   $[\alpha]_D=$ +19.4° (CHCl$_3$,c=1.01,25℃).
mp.88-90℃

No.1a－1 5 5

CDCl$_3$ 300MHz

15   0.92-2.02(14H,m),2.15(1H,m),2.31(2H,t,J=7.2Hz),3.01(1H,m),4.10(2H,s),5.1
0(1H,d,J=6.6Hz),5.18-5.35(2H,m),7.04-7.26(5H,m),7.67-7.76(2H,m).
IR(CHCl$_3$):3266,3028,2952,2952,2872,1708,1599,1574,1478,1457,1418,1301,
1258,1147,1124,1101,1080/cm.
$[\alpha]_{365}$ +33.4° (CHCl$_3$,c=1.00,23℃).

20

No.1a－1 5 6

CDCl$_3$ 300MHz

0.91-2.21(15H,m),2.33(2H,t,J=6.9Hz),3.01(1H,m),5.11(1H,d,J=6.6Hz),5.27-5.
35(2H,m),6.85-6.96(5H,m),7.35(1H,d,J=2.1Hz),7.42(1H,d.d,J=2.1and8.7Hz).

25   IR(CHCl$_3$):3384,3263,2957,1708,1587,1489,1462,1416,1290,1222,1151,1123/
cm.

$[\alpha]_D=+6.4°$ (CHCl$_3$,c=1.00,23℃).

No.1a－1 5 7

CDCl$_3$ 300MHz

0.97-1.91(14H,m),2.18(1H,m),2.26(2H,t,J=6.9Hz),3.04(1H,m),5.18-5.26(3H,

m),7.52-7.56(2H,m),7.88-8.00(3H,m),8.25(1H,m),8.69(1H,m).

IR(CHCl$_3$):3382,3268,2952,2874,1707,1457,1425,1409,1318,1152/cm.

5　$[\alpha]_D$=+4.4° (CHCl$_3$,c=1.02,22℃).

No.1a－1 5 8

CDCl$_3$ 300MHz

1.02-1.97(14H,m),2.20(1H,m),2.29(2H,t,J=7.2Hz),3.06(1H,m),5.19-5.24(2H,

10　m),5.58(1H,d,J=6.6Hz),7.62(1H,m),7.72(1H,m),7.86-7.91(2H,m),7.96(1H,d,J

=7.8Hz),8.04(1H,d.d,J=1.5and8.1Hz),8.34(1H,d,J=1.2Hz).

IR(CHCl$_3$):3490,3260,3020,2950,2870,1707,1456,1399,1312,1165/cm.

$[\alpha]_D$=-8.3° (CHCl$_3$,c=1.00,23℃).

15　No.1a－1 5 9

CDCl$_3$ 300MHz

0.92-1.88(14H,m),2.13(1H,m),2.24(2H,m),3.02(1H,m),3.90(3H,s),5.12-5.26(3

H,m),7.29-7.58(4H,m),7.97(1H,d.d,J=1.8and7.5Hz),8.13(1H,d,J=7.5Hz),8.64

(1H,d,J=1.8Hz).

1328,1240,1222,1156,1149/cm.

$[\alpha]_D$=+8.2° (CHCl$_3$,c=1.01,22℃).

No.1a − 1 6 1

CDCl$_3$ 300MHz

0.98-1.88(14H,m),2.17(1H,m),2.24(2H,t,J=7.2Hz),3.05(1H,m),5.16-5.20(2H, m),5.35(1H,d,J=6.6Hz),7.40(1H,m),7.55(1H,m),7.63(1H,d,J=8.1Hz),7.89(1H, d.d,J=1.5and8.1Hz),8.01(1H,m),8.06(1H,d,J=8.1Hz),8.12(1H,d,J=1.5Hz).

IR(CHCl$_3$):3478,3266,3028,2952,2874,1708,1454,1417,1323,1196,1148/cm.

$[\alpha]_D$=+21.9° (CHCl$_3$,c=1.01,23℃).

No.1a − 1 6 2

CDCl$_3$ 300MHz

0.96-1.98(14H,m),2.02(1H,m),2.25(2H,t,J=7.2Hz),3.05(1H,m),4.10(3H,s),5.1 4-5.25(2H,m),5.41(1H,d,J=7.2Hz),7.35-7.42(1H,m),7.51-7.64(3H,m),7.94-8.0 0(1H,m),8.16(1H,s).

IR(CHCl$_3$):3368,3274,3028,2952,2874,1708,1633,1583,1465,1452,1438,1413, 1315,1151,1103;1053,1024/cm.

$[\alpha]_D$= +15.1° (CHCl$_3$,c=1.01,23℃).   mp.108-110℃

No.1a − 1 6 3

d$_6$-DMSO 300MHz

0.97-1.84(14H,m),1.92(1H,m),2.04(2H,t,J=7.5Hz),2.90(1H,m),5.08-5.23(2H, m),7.32(1H,s),7.38-7.61(2H,m),7.62(1H,s)7.68-7.71(1H,m),7.92(1H,s),8.14-8. 17(1H,m),10.7(1H,s),11.9(1H,s).

IR(KBr):3350,3295,2952,2874,1707,1636,1601,1466,1431,1389,1315,1251,1 174,1146,1106/cm.

$[\alpha]_D$= -25.3° (CH$_3$OH,c=1.01,25℃).   mp.159-162℃

No.1a－1 6 4

CDCl₃ 300MHz

0.98-1.96(17H,m),2.05(1H,m),2.25(2H,t,J=7.2Hz),3.07(1H,m),4.32(2H,q,J=7.

2Hz),5.19-5.23(2H,m),5.31(1H,d,J=7.8Hz),7.38(1H,m),7.41-7.62(3H,m),7.95(

5   1H,m),8.15(1H,s).

IR(CHCl₃):3360,3018,2946,2870,1709,1633,1457,1445,1425,1394,1314,1176,

1152,1105/cm.

[α]_D= +12.7° (CHCl₃,C=1.02,25℃). mp.108-109℃

10   No.1a－1 6 5

CDCl₃ 300MHz

0.95-1.98(15H,m),2.26(2H,t,J=7.5Hz),3.04(1H,m),4.15(3H,s),5.20-5.26(2H,m

),5.34(1H,d,J=6.9Hz),7.41-7.47(1H,m),7.65-7.68(2H,m),7.89-7.92(1H,m),8.3

2(1H,s).

15   IR(CHCl₃):3366,3087,3022,2957,1708,1632,1538,1463,1408,1364,1346,1308,

1227,1212,1205,1167/cm.

[α]_D= +19.6° (CHCl₃,C=1.01,25℃).

No.1a－1 6 6

20   CDCl₃ 300MHz

0.97-2.02(15H,m),2.27(2H,t,J=6.9Hz),3.07(1H,m),4.14(3H,s),5.21-5.27(2H,m

),5.47(1H,d,J=6.9Hz),7.64(1H,s),7.72(1H,d.d,J=0.6and9.0Hz),8.25(1H,s),8.4

7(1H,d.d,J=2.4and9.0Hz),8.94(1H,d.d,J=0.6and2.4Hz).

IR(CHCl₃):3072,2957,1708,1639,1587,1528,1467,1428,1415,1345,1221,1184,

0.92-2.00(14H,m),2.15(1H,m),2.27(2H,t,J=7.2Hz),3.04(1H,m),3.97(2H,s),5.1
5-5.30(3H,m),7.35-7.47(2H,m),7.55-7.63(1H,m),7.80-7.96(3H,m),8.05(1H,d,J
=0.3Hz).

IR(CHCl₃):3260,3020,2948,2868,1707,1451,1413,1319,1172,1144,1101,1071/

5 cm.

$[\alpha]_D$=+18.2° (CHCl₃,C=1.04,22℃).

No.1a − 1 6 8

CDCl₃ 300MHz

10 0.90-1.88(14H,m),2.16(1H,m),2.25(2H,t,J=6.9Hz),3.00(1H,m),5.00-5.19(2H,
m),5.35(1H,d,J=6.6Hz),7.25-7.30(1H,m),7.48-7.50(2H,m),7.73(1H,d.d,J=1.5
and8.1Hz),8.08-8.14(3H,m),8.93(1H,s).

IR(CHCl₃):3466,3380,3276,3016,2957,1708,1630,1495,1458,1324,1241,1150/

cm.

15 $[\alpha]_D$=+18.0° (CHCl₃,C=1.00,22℃).

No.1a − 1 6 9

CDCl₃ 300MHz

0.87-1.86(14H,m),2.15(1H,m),2.25(2H,t,J=6.9Hz),2.98(1H,m),3.89(3H,s),5.0

20 0-5.22(2H,m),5.27(1H,d,J=6.9Hz),6.88(1H,d.d,J=2.1and8.4Hz),6.94(1H,d,J=
2.1Hz),7.69(1H,d.d,J=1.5and7.8Hz),7.92-8.01(3H,m),8.83(1H,s).

IR(CHCl₃):3465,3378,3276,3022,2957,1708,1630,1609,1569,1459,1433,1314,
1281,1229,1151/cm.

$[\alpha]_D$=+19.3° (CHCl₃,C=1.01,21℃).

25

No.1a − 1 7 0

CDCl₃ 300MHz

0.88-2.25(17H,m),3.04(1H,m),3.84(3H,s),3.95(3H,s),5.06-5.26(3H,m),6.87-6.
93(2H,m),7.69(1H,d.d,J=1.6and8.2Hz),7.93-9.05(3H,m).

IR(CHCl$_3$):3026,2957,1708,1630,1601,1460,1331,1243,1224,1152/cm.

[α]$_D$=+17.2° (CHCl$_3$,c=1.00,22℃).

No.1a－1 7 1

5   CDCl$_3$ 300MHz

0.95-2.00(14H,m),2.16-2.32(3H,m),2.66(3H,s),3.14(1H,m),3.68(3H,s),5.09(1

H,d,J=6.8Hz),5.10-5.28(2H,m),7.45(1H,d.d.,J=1.8&8.6Hz),7.75-7.84(2H,m).

IR(CHCl$_3$):3374,3018,2946,2868,1725,1585,1513,1436,1340,1278,1153,1112

  /cm.

10 [α]$_D$= -14.7° (CHCl$_3$,c=1.07,25.0℃).

No.1a－1 7 2

CDCl$_3$ 300MHz

0.97-2.02(14H,m),2.23(1H,m),2.28(2H,t,J=7.2Hz),2.66(3H,s),3.14(1H,m),5.1

15 2-5.22(2H,m),5.41(1H,d,J=7.2Hz),7.45(1H,d.d.,J=2.1&8.7Hz),7.76(1H,d,J=8.

7Hz),7.78(1H,d,J=2.1Hz).

IR(CHCl$_3$):3372,3250,3022,2950,2868,1707,1514,1419,1336,1279,1154,1112

  /cm.

[α]$_D$= -4.1° (CHCl$_3$,c=1.08,26.0℃) m.p.141-143℃

20

No.1a－1 7 3

CDCl$_3$ 300MHz

1.15-2.42(17H,m),2.91(1H,m),5.15(1H,d,J=4.2Hz),5.25-5.40(2H,m),7.85(1H,

No.1 a − 1 7 4

CDCl₃+d₆-DMSO   300MHz

1.00-1.92(14H,m),2.20(2H,t,J=6.6Hz),2.35(1H,m),2.92(1H,m),5.05-5.22(2H,

m),6.63(1H,d,J=5.4Hz),7.77-7.92(3H,m),8.31(1H,d.d,J=1.8and8.7Hz),8.59(1

5   H,d,J=8.7Hz),8.73(1H,d,J=8.7Hz),9.01(1H,s),9.55(1H,d,J=1.8Hz).

IR(KBr):3433,3252,2952,2871,1696,1578,1423,1335,1308,1219,1185,1160,1

106/cm.

$[\alpha]_D$=-19.3° (DMSO,c=0.50,23℃).

10   No.1 a − 1 7 5

CDCl₃ 300MHz

0.96-1.87(14H,m),2.20-2.25(3H,m),2.95(1H,m),3.66(3H,s),4.74(1H,d,J=6.6H

z),5.10-5.12(2H,m),6.88(1H,d,J=1.2Hz),7.37-7.50(3H,m),7.56(1H,dd,J=8.7,1.

5Hz),7.68-7.77(3H,m),8.06(1H,s),9.44(1H,dd,J=1.2Hz).

15   IR(CHCl₃):3462,3374,3026,3006,2952,2872,1724,1610,1580,1484,1452,1358,

1309,1147.

$[\alpha]_D$=+16.4° (CHCl₃,c=1.05,26℃).   mp.130-132℃.

No.1 a − 1 7 6

20   CDCl₃+CD₃OD   300MHz

1.00-2.02(14H,m),2.22(1H,m),2.29(2H,t,J=6.9Hz),2.88(1H,m),5.16-5.26(2H,

m),6.87(1H,s),7.28-7.57(4H,m),7.69(1H,d,J=8.4Hz),7.75-7.78(2H,m),7.99(1H,

s).

IR(KBr):3254,2944,1704,1484,1453,1358,1305,1147.

25    $[\alpha]_D$=+13.0° (CH₃OH,c=1.02,24℃), mp.160-161℃

No.1 a − 1 7 7

CDCl₃ 300MHz

0.96-1.88(14H,m),1.88-2.26(3H,m),2.94(1H,m),3.67(3H,s),3.87(3H,s),4.67(1

H,brs),5.08-5.14(2H,m),6.77(1H,d,J=1.5Hz),6.99-7.02(2H,m),7.53-7.57(1H,m),7.65-7.70(3H,m),8.00(1H,s),9.27(1H,brs).

IR(CHCl₃):3426,3376,3006,2952,1724,1610,1495,1438,1357,1308,1282,1249,1177,1147/cm.

5　[α]_D=+18.1° (CHCl₃,c=1.02,22℃).

No.1a－1 7 8

CDCl₃+CD₃OD　　300MHz

0.96-1.91(14H,m),2.19(1H,m),2.27(2H,t,J=6.0Hz),2.85(1H,m),3.87(3H,s),5.1

10　6-5.23(2H,m),6.99-7.02(2H,m),7.41(1H,m),7.64-7.73(3H,m),7.92(1H,m).

IR(CHCl₃):3366,3261,3004,2954,2873,1705,1611,1496,1458,1438,1304,1286,1253,1180,1149,1128/cm.

[α]_D=+14.6° (CHCl₃,c=1.02,22℃).

15　No.1a－1 7 9

CDCl₃+CD₃OD　　300MHz

0.96-1.87(14H,m),2.15-2.23(3H,m),2.93(1H,m),3.85(3H,s),5.10-5.16(2H,m),6.90-6.93(2H,m),7.50(1H,m),7.60-7.65(3H,m),7.91(1H,d,J=0.9Hz).

IR(CHCl₃):3369,3270,2950,2873,1719,1612,1498,1456,1440,1359,1306,1269,

No.1a－1 8 1

CDCl₃ 300MHz

0.97-1.96(14H,m),2.15(1H,m),2.29(2H,t,J=6.9Hz),3.05(1H,m),3.81(3H,s),5.0

5　8(1H,d,J=6.9Hz),5.23-5.25(2H,m),6.62(1H,s),7.47-7.54(5H,m),7.59(1H,m),7.

70(1H,m),7.97(1H,m).

IR(CHCl₃):3380,3260,3020,2946,2868,1708,1466,1388,1328,1149/cm.

[α]_D=+32.9° (CHCl₃,c=1.07,22℃).

10　No.1a－1 8 2

CDCl₃ 300MHz

0.94-1.90(14H,m),2.25(2H,t,J=7.5Hz),2.30(1H,m),2.98(1H,m),3.70(3H,s),4.8

3(1H,d,J=6.6Hz),5.13-5.16(2H,m),6.95(1H,d,J=1.5Hz),7.11-7.23(2H,m),7.43(

1H,d,J=8.1Hz),7.65(1H,d,J=8.1Hz),7.79-7.93(4H,m),9.08(1H,br).

15　IR(CHCl₃):3458,3372,3020,3002,2946,2868,1719,1598,1452,1422,1321,1300,

1157/cm.

[α]_D=-6.6° (CHCl₃,c=1.00), mp150-151℃

No.1a－1 8 3

20　CDCl₃ 300MHz

0.95-1.94(14H,m),2.26(1H,m),2.28(2H,t,J=7.5Hz),3.00(1H,m),5.16-5.19(2H,

m),5.32(1H,d,J=7.2Hz),6.93(1H,d,J=1.2Hz),7.13(1H,m),7.22(1H,dd,J=7.8,6.

6Hz),7.42(1H,d,J=7.8Hz),7.63(1H,d,J=7.8Hz),7.76(2H,d,J=8.4Hz),7.90(2H,d,

J=8.4Hz),8.95(1H,br).

25　IR(CHCl₃):3458,3374,3260,3020,3002,2948,2868,1708,1598,1452,1422,130

1,1156/cm.

[α]_D=+17.9° (CHCl₃,c=1.01,22℃).

No.1a－1 8 4

CDCl$_3$ 200MHz

0.92-2.00(14H,m),2.20(1H,m),2.34(2H,t,J=6.8Hz),3.05(1H,m),5.20-5.36(3H,

m),7.39-7.44(2H,m),7.61-7.66(1H,m),7.80-7.84(1H,m),8.05(2H,d,J=8.6Hz),8.

40(2H,d,J=8.6Hz).

5   IR(CHCl$_3$):3384,3271,3019,2958,1709,1615,1599,1551,1453,1405,1344,1326,

1243,1163/cm.

[α]$_D$=+18.5° (CHCl$_3$,c=1.00,21℃).

No.1a－1 8 5

10 CDCl$_3$ 300MHz

0.89-2.20(15H,m),2.26(2H,d.t,J=2.1and7.2Hz),2.99(1H,m),5.08(1H,d,J=6.3H

z),5.09-5.24(2H,m),6.90(1H,d,J=1.2Hz),7.32-7.48(4H,m),7.64-7.72(3H,m),8.

20(1H,d,J=1.2Hz),9.00(1H,s).

IR(CHCl$_3$):3464,3375,3275,3022,2956,1707,1605,1490,1449,1356,1322,1219,

15 1147,1131/cm.

[α]$_D$=+21.6° (CHCl$_3$,c=1.01,23℃).

No.1a－1 8 6

CDCl$_3$ 300MHz

$[\alpha]_D = +32°$ (CHCl$_3$,c=1.69).

No.1a－1 8 8

CDCl$_3$ 200MHz

5   0.86-1.92(14H,m),2.22(3H,m),2.36(3H,s),2.95(1H,m),3.67(3H,s),3.93(3H,s),4.
81(1H,d,J=6.2Hz),5.04-5.20(2H,m),7.02-7.05(2H,m),7.31(1H,d,J=8.6Hz),7.3
9(1H,d,J=7.8Hz),7.79-7.89(3H,m).

IR(CHCl$_3$):3385,3286,3029,3019,3015,2954,2877,1718,1617,1598,1567,1507,
1311,1269,1153 /cm.

10    $[\alpha]_D = -29.4°$ (CHCl$_3$,c=1.01,25℃).

No.1a－1 8 9

$[\alpha]_D = -7.7°$ (CHCl$_3$,c=1.00,24℃).

15   No.1a－1 9 0

$[\alpha]_D = -17.3°$ (CHCl$_3$,c=1.00,24℃).

No.1a－1 9 1

CDCl$_3$ 300MHz

20   0.95-2.20(14H,m),2.30(1H,m),2.36(2H,d,J=6.9Hz),3.21(1H,m),4.25(2H,s),5.0
7(1H,d,J=7.8Hz),5.35-5.48(2H,m),7.25(1H,dd,J=1.8 and 8.1Hz),7.32-7.35(2
H,m),7.59(1H,d,J=8.1Hz),7.94(1H,s),8.14(1H,d,J=2.7Hz),8.23(1H,d.d,J=2.7a
nd8.7Hz).

IR(CHCl$_3$):3386,3026,3015,2957,2877,2633,1702,1617,1573,1530,1348,1123

25   /cm.

$[\alpha]_D = -6.1°$ (CHCl$_3$,c=1.01,25℃).

No.1a－1 9 2

CDCl$_3$ 300MHz

0.92-2.20(14H,m),2.13(3H,m),3.23(1H,m),3.64(3H,s),3.94(3H,s),4.22(2H,s),4.36(1H,d,J=7.8Hz),5.37-5.42(2H,m),7.16-7.42(6H,m),7.53(1H,d,J=8.4Hz),7.94(1H,s).

IR(CHCl$_3$):3389,3022,3013,2953,2877,1716,1616,1560,1485,1340,1326,1124

5   /cm.

$[\alpha]_D$= -15.2° (CHCl$_3$,c=1.01,25℃).

No.1a－1 9 3

CDCl$_3$ 300MHz

10 0.92-2.20(14H,m),2.25(1H,m),2.35(2H,t,J=7.2Hz),3.17(1H,m),4.22(2H,s),4.91(1H,d,J=7.5Hz),5.37-5.42(2H,m),7.13-7.43(6H,m),7.60(1H,d,J=8.1Hz),8.05(1H,s).

IR(CHCl$_3$):3511,3387,3029,3020,3011,2957,2877,2651,1698,1614,1560,1505,1320,1280,1252,1126 /cm.

15 $[\alpha]_D$= -0.9° (CHCl$_3$,c=1.00,25℃).

No.1b－1

CDCl$_3$ 300MHz

m),7.78(2H,d,J=8.4Hz).

IR(CHCl$_3$):3384,3026,2952,2874,1719,1595,1453,1407,1320,1180/cm.

[α]$_D$=+2.5° (CHCl$_3$,c=1.02,24℃).

5 No.1b－3

CDCl$_3$ 300MHz

0.96-2.05(20H,m),2.07(1H,m),3.07(1H,m),4.04(2H,s),5.21-5.35(2H,m),5.55(1

H,d,J=6.9Hz),7.14(2H,d,J=6.6Hz),7.20-7.32(5H,m),7.78(2H,d,J=8.1H).

IR(CHCl$_3$):3250,3022,2950,1699,1596,1495,1453,1405,1318,1153/cm.

10 [α]$_D$= +17.1° (CHCl$_3$,c=1.01,25℃).

mp.129-131℃.

No.1b－4

CDCl$_3$ 200MHz

15 0.90-2.10(15H,m),1.19(3H,s),1.20(3H,s),3.11(1H,m),5.24-5.32(2H,m),5.70(1

H,d,J=6.6Hz),7.38-7.68(4H,m),7.96-8.04(2H,m),8.53(1H,d,J=1.4Hz).

IR(CHCl$_3$):3384,3246,2958,1701,1632,1595,1468,1445,1322,1216,1202,1190,

1155,1122/cm.

[α]$_D$=+10.8° (CHCl$_3$,c=0.51,23℃).

20

No.1b－5

1.02-2.10(15H,m),1.16(6H,s),3.02(1H,m),4.09(3H,s),5.23-5.28(2H,m),5.76(1

H,d,J=7.2Hz),7.36-7.63(4H,m),7.97(1H,d,J=7.8Hz),8.16(1H,s).

IR(CHCl$_3$):3369,2959,1702,1635,1585,1468,1454,1441,1415,1318,1222,1189,

25 1170,1154/cm.

[α]$_D$=+9.9° (CHCl$_3$,c=1.00,23℃).

No.1c－ 1

CDCl$_3$ 300MHz

1.10-2.02(14H,m),2.27(2H,t,J=7.5Hz),2.50(1H,m),2.89(3H,s),3.31(1H,m),3.6
4(3H,s),5.16-5.30(2H,m),7.34-7.42(3H,m),7.50-7.59(2H,m),7.62-7.68(2H,m),
7.76-7.82(2H,m).

IR(CHCl$_3$):3020,2946,2868,2212,1727,1596,1495,1437,1339,1156,1135,1084

5 /cm.

[α]$_D$=-16.1° (CHCl$_3$,c=1.05,25.0℃).

m.p.100-102℃

No.1c- 2

10 CDCl$_3$ 300MHz

1.10-2.05(14H,m),2.23(2H,t,J=7.5Hz),2.53(1H,m),2.91(3H,s),3.35(1H,m),3.6
2(3H,s),5.02-5.30(2H,m),7.50-7.60(3H,m),7.90-8.08(6H,m).

IR(CHCl$_3$):3016,2946,2868,1728,1437,1398,1340,1160,1086 /cm.

[α]$_D$=-32.5° (CHCl$_3$,c=1.00,25.0℃).

15

No.1c-3

CD$_3$OD 300MHz

1.15-2.05(14H,m),2.13(2H,t,J=7.2Hz),2.47(1H,m),2.91(3H,s),3.27(1H,m),4.9

$[\alpha]_D=+40.0°$ (CHCl$_3$,c=0.53,22℃).

No.1d－2

CDCl$_3$ 300MHz

5 1.03-2.30(17H,m),3.03(1H,m),4.03(2H,s),5.26(2H,m),5.84(1H,br),5.25-5.29(1H,d,J=6.6Hz),6.03(1H,br),7.14(2H,d,J=8.1Hz),7.26-7.31(5H,m),7.80(2H,d,J=8.1Hz).

IR(CHCl$_3$):3376,3002,2946,1669,1595,1492,1454,1406,1318,1154/cm.

$[\alpha]_D=+4.3°$ (CHCl$_3$,c=1.00,23℃).

10

No.1d－3

CDCl$_3$ 300MHz

0.96-2.17(17H,m),2.33(2H,t,J=6.9Hz),3.01(1H,m),4.04(2H,s),5.10(1H,d,J=6.6Hz),5.21-5.26(2H,m),7.14(2H,d,J=8.7Hz),7.16-7.32(5H,m),7.78(2H,d,J=8.4

15 Hz).

IR(CHCl$_3$):3260,3020,2946,1711,1596,1492,1457,1407,1318,1154/cm.

$[\alpha]_D=+9.3°$ (CHCl$_3$,c=1.09,25℃).

No.1d－4

20 CDCl$_3$ 300MHz

0.95-2.14(15H,m),2.34(2H,t,J=7.2Hz),3.09(1H,m),3.30(3H,s),4.04(2H,s),5.19(1H,d,J=7.2Hz),5.22-5.39(2H,m),7.10-7.35(7H,m),7.81(2H,d,J=8.1Hz),9.10(1H,brs).

IR(CHCl$_3$):3382,3260,3028,2952,2874,2670,1713,1595,1492,1450,1405,1338,

25 1160,1120,1092/cm.

$[\alpha]_D=+22.2°$ (CHCl$_3$,c=1.07,22℃).

No.1d－5

CDCl$_3$ 300MHz

1.00-2.10(14H,m),2.30-2.39(3H,m),3.15(1H,m),3.35(3H,s),5.18-5.40(3H,m),7.

41(1H,d.t.,J=0.9and7.8Hz),7.50-7.69(3H,m),7.88-8.15(2H,m),8.60(1H,d,J=1.

5Hz),9.06(1H,s).

IR(CHCl$_3$):3382,3268,3028,2954,2874,1714,1442,1402,1338,1188,1155,1

5   121,1072/cm.

[α]$_D$=+15.3° (CHCl$_3$,c=1.00,22℃).

No.1e−1

CDCl$_3$ 300MHz

10   1.19-2.45(19H,m),2.58(1H,m),5.63(1H,d,J=3.0Hz),7.42-7.65(4H,m),7.94-8.03

(2H,m),8.49-8.50(1H,m).

IR(CHCl$_3$):3293,3024,1710,1595,1584,1467,1445,1410,1324,1222,1213,1206,

1190,1160/cm.

[α]$_D$=-41.1° (CHCl$_3$,c=1.01,23℃).

15

No.1e− 2

CDCl$_3$ 300MHz

1.10-2.25(19H,m),2.94(1H,m),4.12(3H,s),5.53(1H,d,J=7.2Hz),7.39(1H,m),7.5

0-7.62(3H,m),7.96(1H,d,J=7.5Hz),8.13(1H,s).

20   IR(CHCl$_3$):3367,3025,2955,1711,1694,1600,1584,1402,1454,

$[\alpha]_D$=-54.1° (CHCl$_3$,c=1.01,23°C).

No.1f— 2

CDCl$_3$ 300MHz

5   1.08-2.24(19H,m),2.94(1H,m),3.53(2H,t,J=6.3Hz),4.13(3H,s),5.47(1H,d,J=6.

6Hz),7.36-7.63(4H,m),7.96(1H,d,J=6.3Hz),8.14(1H,s).

IR(CHCl$_3$):3625,3368,3025,3013,2949,2877,1710,1634,1600,1584,1468,1454,

1440,1415,1342,1317,1232,1220,1189,1157/cm.

$[\alpha]_D$=-5.6° (CHCl$_3$,c=1.00,25°C).

10

No.1g— 1

CDCl$_3$ 200MHz

1.17-2.34(15H,m),3.22(1H,m),5.10-5.16(2H,m),5.45(1H,d,J=7.0Hz),7.35-7.66

(4H,m),7.95-8.01(2H,m),8.51(1H,d,J=2.0Hz).

15   IR(CHCl$_3$):3383,3275,2959,1707,1595,1584,1468,1445,1425,1319,1269,1248,

1190,1149,1123/cm.

$[\alpha]_D$=+64.3° (CHCl$_3$,c=1.01,23°C).

No.1g— 2

20   CDCl$_3$ 300MHz

1.10-2.15(13H,m),2.36(2H,t,J=7.2Hz),3.21(1H,m),4.09(3H,s),5.10-5.22(2H,m

),5.43(1H,d,J=7.8Hz),7.36-7.62(4H,m),7.96(1H,d,J=7.8Hz),8.12(1H,s).

IR(CHCl$_3$):3366,2959,1708,1635,1600,1585,1467,1454,1440,1415,1345,1318,

1233,1189,1152/cm.

25    $[\alpha]_D$=+103.1° (CHCl$_3$,c=1.01,23°C).

No.1h— 1

CDCl$_3$ 300MHz

0.90-1.60(17H,m),1.83(1H,m),2.11(1H,m),2.22(2H,t,J=7.2Hz),3.07(1H,m),5.

11(1H,d,J=7.2Hz),7.38-7.47(1H,m),7.50-7.60(1H,m),7.60-7.72(2H,m),7.88-8.

12(2H,m),8.54(1H,d,J=0.9Hz).

IR(CHCl$_3$):3382,3274,2926,1707,1464,1442,1318,1266,1188,1153,1121,1105,

1071,1019/cm.

5　$[\alpha]_D$=-2.8° (CHCl$_3$,c=1.01,23℃).

No.1i－1

$[\alpha]_{365}$ +50.9° (CHCl$_3$,c=1.01,24℃).

10　No.1i－2

CDCl$_3$ 300MHz

0.98-1.70(11H,m),1.80-2.00(5H,m),2.19(1H,m),3.03(1H,m),3.64(2H,t,J=6.6H

z),4.05(2H,s),4.69(1H,d,J=6.6Hz),5.15(1H,m),5.25(1H,m),7.16(2H,d,J=7.2Hz

),7.27-7.32(5H,m),7.77(2H,d,J=8.4Hz).

15　IR(CHCl$_3$):3376,3004,2946,2316,1596,1492,1453,1407,1318,1154/cm.

$[\alpha]_D$= +3.5° (CHCl$_3$,c=1.00,22℃).

mp.80.5-82.0℃

$[\alpha]_D = -28.0 \pm 0.6\,° (CHCl_3, c=1.06, 24℃)$.

mp.159-161℃

1j-5

5   $[\alpha]_D = -12.5 \pm 0.5\,° (CHCl_3, c=1.04, 23℃)$.

mp.99-101℃

No.1j-6

CDCl₃ 300MHz

10   0.90-2.03(14H,m),2.20(1H,m),2.30(2H,t,J=7.3Hz),3.00(1H,m)3.68(3H,s),4.76

(1H,d,J=6.8Hz),5.13-5.35(2H,m),7.01-7.08(4H,m),7.19-7.26(1H,m),7.37-7.46

(2H,m),7.80-7.84(2H,m).

IR(CHCl₃):3382,3280,3080,3016,2952,2900,1727,1582,1486,1432,1322,1150/

cm.

15   $[\alpha]_D = -31.0° (CHCl_3, c=1.05, 26℃)$.

No.1j-7

CDCl₃ 300MHz

0.91-2.09(14H,m),2.15(1H,m),2.35(2H,t,J=7.5Hz),3.01(1H,m),5.17(1H,d,J=6.

20   8Hz),5.21-5.34(2H,m),7.01-7.08(4H,m),7.15-7.27(1H,m),7.37-7.43(2H,m),7.8

0-7.85(2H,m).

IR(CHCl₃):3474,3386,3270,3024,2958,2900,2675,1711,1584,1488,1420,1323,

1298,1150/cm.

$[\alpha]_D = -13.4° (CHCl_3, c=1.01, 26℃)$.

25

No.1j-8

CDCl₃ 300MHz

0.95-2.14(13H,m),2.30(2H,t,J=7.5Hz),2.36(1H,m),2.84(1H,m),2.91(1J=4.8Hz

),3.66(3H,s),5.33-5.52(2H,m),6.82-6.87(1H,m),6.93-7.00(2H,m),7.09-7.15(4H,

m),7.28-7.36(2H,m),7.54-7.59(1H,m).

IR(CHCl₃):3350,3010,2950,2880,1728,1603,1582,1489 1461,1438,1360,1160 /cm.

$[\alpha]_D$= +75.1° (CHCl₃,c=1.13,26℃).

5

No.1j-9

CDCl₃ 300MHz

0.95-2.03(14H,m),2.20(1H,m),2.29(2H,t,J=7.5Hz),3.06(1H,m),3.68(3H,s),4.9 8(1H,d,J=7.4Hz),5.14-5.34(2H,m),7.46-7.54(2H,m),7.60-7.68(1H,m),7.75-7.8

10 0(2H,m),7.88-7.92(2H,m),7.99-8.03(2H,m).

IR(CHCl₃):3384,3280,3020,2960,2888,1727,1662,1600,1316,1273,1163/cm.

$[\alpha]_D$= -41.0° (CHCl₃,c=1.17,26℃).

No.1j-10

15 CDCl₃+CD₃OD 300MHz

0.94-2.08(14H,m),2.21(1H,m),2.34(2H,t,J=6.2Hz),3.04(1H,m),5.21-5.35(2H, m),5.40(1H,m),7.49-7.58(2H,m),7.64-7.68(1H,m),7.79-8.06(6H,m).

IR(CHCl₃):3475,3370,3250,3018,2956,2976,2650,1709,1662,1595,1445,1420, 1205,1217,1274,1163/

No.1j－12

CDCl₃ 300MHz

1.08-1.98(14H,m),2.23(1H,m),2.33(2H,t,J=7.5Hz),3.16(1H,m),5.18-5.26(2H,

5 m),5.39-5.45(1H,m),7.39-7.49(3H,m),7.60-7.64(3H,m),7.80-7.83(2H,m),8.09-

8.12(1H,m).

IR(CHCl₃):3325,3022,2956,2872,2680,1708,1662,1603,1598,1425,1340,1316,

1288,1271,1165/cm.

[α]$_D$= +9.7° (CHCl₃,c=0.52,25℃).

10

No.1j－13

CDCl₃ 300MHz

0.95-2.00(14H,m),2.20(1H,m),2.27(2H,t,J=6.3Hz),3.03(1H,m),3.67(3H,s),4.9

9(1H,d,J=6.6Hz),5.12-5.31(2H,m),7.47-7.55(2H,m),7.60-7.69(2H,m),7.76-7.8

15 1(2H,m),7.96-8.05(1H,m),8.08-8.14(1H,m),8.27-8.28(1H,m)..

IR(CHCl₃):3674,3538,3376,3276,3012,2948,2860,1726,1662,1595,1440,1335,

1317,1297,1274,1166,1150/cm.

[α]$_D$=+10.2° (CHCl₃,c=1.00,25℃).

20 No.1j－14

CDCl₃ 300MHz

0.93-2.08(14H,m),2.21(1H,m),2.32(2H,t,J=6.3Hz),3.00(1H,m),5.20-5.36(2H,

m),5.38(1H,d,J=6.2Hz),7.50-7.55(2H,m),7.63-7.71(2H,m),7.77-7.81(2H,m),7.

99-8.04(1H,m),8.10-8.18(1H,m),8.32-8.36(1H,m).

25 IR(CHCl₃):3674,3480,3374,3258,3012,2950,2875,2650,1709,1662,1598,1418,

1335,1317,1274,1143/cm.

[α]$_D$=+61.0° (CHCl₃,c=1.19,25℃).

No.1j－15

CDCl$_3$ 300MHz

0.90-2.00(14H,m),2.19(1H,m),2.30(2H,t,J=7.3Hz),3.01(1H,m),3.67(3H,s),4.8
2(1H,d,J=6.6Hz),5.14-5.34(2H,m),7.36-7.39(3H,m),7.53-7.57(2H,m),7.62-7.6
6(2H,m),7.83-7.88(2H,m).

5 IR(CHCl$_3$):3376,3276,3010,2948,2868,2212,1727,1597,1500,1437,1325,1161/
cm.

[α]$_D$=-7.2° (CHCl$_3$,c=1.00,26℃).

No.1j−16

10 CDCl$_3$ 300MHz

0.93-2.03(14H,m),2.15(1H,m),2.36(2H,t,J=7.5Hz),3.05(1H,m),5.20-5.40(3H,
m),7.36-7.39(3H,m),7.55-7.66(4H,m),7.84-7.88(2H,m).

IR(CHCl$_3$):3470,3376,3260,3012,2950,2868,2675,2212,1708,1596,1503,1416,
1396,1322,1160.

15 [α]$_D$=-22.4° (CHCl$_3$,c=1.00,26℃).

No.1j−17

CDCl$_3$ 300MHz

1.00-1.60(9H,m),1.79-1.89(5H,m),2.17(1H,brs),2.23(2H,t,J=7.2Hz),3.03(1H,

20 m),5.10-5.23(2H,m),5.49(1H,d,J=6.6Hz),7.40(1H,t,J=7.4Hz),7.53(1H,t,J=7.2
Hz),7.60-7.68(2H,m),7.98-8.03(2H,m),8.55(1H,d,J=1.5Hz).

IR(CHCl$_3$):3516,3384,3270,2666,1708,1632,1595,1584,1467,1445,1425,1374,
1345,1321,1269,1248,1218/cm.

[α]$_D$= -7.8°(CHCl$_3$,c=1.01,22℃).

25

No.1j−18

CDCl$_3$ 300MHz

0.90-2.03(14H,m),2.19(1H,m),2.30(2H,t,J=7.5Hz),3.00(1H,m),3.67(3H,s),4.8
0(1H,d,J=6.4Hz),5.14-5.35(2H,m),6.99-7.04(2H,m),7.16-7.22(2H,m),7.84-7.4

9(4H,m),7.57-7.61(1H,m).

IR(CHCl₃):3376,3276,3012,2948,2875,1727,1583,1488,1471,1432,1330,1311, 1150/cm.

$[\alpha]_D$=+54.0° (CHCl₃,c=0.99,25℃).

5

No.1j－19

CDCl₃ 300MHz

0.91-2.09(14H,m),2.15(1H,m),2.34(2H,t,J=7.5Hz),3.01(1H,m),5.16(1H,d,J=6.6Hz),5.24-5.40(2H,m),7.01-7.08(2H,m),7.15-7.25(2H,m),7.35-7.53(4H,m),7.5

10 9-7.65(1H,m).

IR(CHCl₃):3470,3376,3260,3012,2950,2875,2640,1708,1583,1488,1471,1430, 1335,1305,1149/cm.

$[\alpha]_D$= -21.0° (CHCl₃,c=1.30,25℃).

15 No.1j－2 0

CDCl₃ 300MHz

1.17(1H,m),1.26-1.34(2H,m),1.54-2.24(11H,m),2.31(2H,t,J=7.4Hz),2.48(1H, brs),3.37(1H,m),3.67(3H,s),5.35-5.50(2H,m),7.39-7.68(9H,m).

IR(CHCl₃):3377,1727,1601,1435,1362,1168/cm.

20

No.1j－2 1

CDCl₃ 300MHz

1,10-2.25(14H,m),2.36(2H,t,J=7.2Hz),2.47(1H,m),2.89(1H,m),5.35-5.53(2H, m),5.63(1H,d,J=7.2Hz),7.40-7.71(9H,m).

25 IR(CHCl₃):3674,3496,3374,3234,3010,2952,2870,2640,1730(sh),1710,1605,1 485,1425,1360,1167/cm.

$[\alpha]_D$=-43.0° (CHCl₃,c=1.01,25℃).

No.1j－2 2

CDCl$_3$ 300MHz

0,98-1.95(14H,m),2.25-2,31(3H,m),2.95(1H,m),5.19-5.30(2H,m),5.33(1H,d,J =3.9Hz),6.58(1H,d,J=7.5Hz),6.80(1H,t,J=7.5Hz),6.99-7.05(1H,m),7.44-7.53(6H,m),7.60-7.73(9H,m),7.94-7.73(3H,m),8.23-8.26(2H,m),10.66(1H,s).

5   IR(CHCl$_3$):3475,3372,3260,3008,2952,2868,2722,1725,1710(sh),1663,1590,1 571,1525,1448,1437,1345,1314,1161,1112/cm.

[α]$_D$=+12.9° (CHCl$_3$,c=0.12,23℃).

No.1j- 2 3

10   CDCl$_3$ 300MHz

0.94~1.94(14H,m),2.23-2.30(3H,m),2.98(1H,m),3.68(3H,s),5.09(1H,d,J=6.2H z),5.15-5.28(2H,m),7.14-7.22(1H,m),7.34-7.42(2H,m),7.68-7.73(2H,m),7.89-8. 03(4H,m),8.51(1H,s).

IR(CHCl$_3$):3372,3275,1724,1673,1599,1438,1320,1161/cm.

15   [α]$_D$= +17.0° (CHCl$_3$,c=1.38,25℃).

No.1j- 2 4

CDCl$_3$+CD$_3$OD 300MHz

$IR(CHCl_3):3384,3278,1726,1605,1484,1448,1331,1161/cm.$

No.1j− 2 6

$CDCl_3+CD_3OD$ 300MHz

1,03-2.10(14H,m),2.22(1H,m).2.31(2H,t,J=7.5Hz),2.98(1H,m),5.23-5.38(2H,m),7.55-7.66(3H,m),8.05-8.08(2H,m),8.14-8.18(2H,m),8.28-8.31(2H,m).

IR(Nujol):3260,2720,2660,1711,1545,1460,1317,1163/cm.

$[\alpha]_D=+15.8°$ $(CH_3OH,c=1.01,22℃)$.

No.1j− 2 7

$[\alpha]_D= +16.7°$ $(CHCl_3,c=1.00,23℃)$.

No.1j− 2 8

$CDCl_3$ 300MHz

1.01(1H,m),1.14-1.29(2H,m),1.46-2.19(11H,m),2.33(2H,t,J=7.2Hz),2.41(1H,brs),3.18-3.21(5H,m),3.68(3H,s),3.73-3.76(4H,m),4.37(1H,d,J=7.2Hz),5.35-5.45(2H,m).

$IR(CHCl_3):3392,1727,1435,1335,1148/cm.$

$[\alpha]_D= +10.7°(CHCl_3,c=1.39,26℃)$.

No.1j− 2 9

$CDCl_3$ 300MHz

1.00(1H,m),1.20-1.29(2H,m),1,48-2.25(12H,m),2.37(2H,t,J=7.2Hz),,3.17-3.22(5H,m),3.74-3.79(4H,m),4.79(1H,d,J=7.8Hz),5.34-5.54(2H,m).

$IR(CHCl_3):3470,3390,3270,2675,1709,1455,1420,1315,1147/cm.$

$[\alpha]_D= +16.8°(CHCl_3,c=1.42,26℃)$.

No.1k− 1

$[\alpha]_D= -25.4°$ $(CHCl_3,c=1.08,23℃)$.

No.1k – 2

CDCl$_3$ 200MHz

1.07-2.28(14H,m),2.32(2H,t,J=7.4Hz),2.63(1H,m),3.63(3H,s),3.93(1H,m),5.3

5   0-5.52(2H,m),6.35(1H,d,J=7.0Hz),7.48-7.60(3H,m),7.88-8.02(6H,m).

IR(CHCl$_3$):3438,3002,2946,2868,1727,1652,1514,1485,1363,1310,1245,1154

/cm.

$[\alpha]_D$=-80.4° (CHCl$_3$,c=1.01,24.0℃).

10   No.1k – 3

CDCl$_3$ 200MHz

1.10-2.26(14H,m),2.37(2H,t,J=7.2Hz),2.60(1H,m),3.93(1H,m),5.30-5.50(2H,

m),6.33(1H,d,J=7.5Hz),7.48-7.58(3H,m),7.88-7.99(6H,m).

IR(CHCl$_3$):3446,3004,2952,2874,1709,1652,1515,1485,1305,1153 /cm.

15   $[\alpha]_D$=-96.4° (CHCl$_3$,c=1.05,23.0℃).

No.1k – 4

CDCl$_3$ 300MHz

1.05-2.17(14H,m),2.33(2H,t,J=7.2Hz),2.52(1H,m),3.91(1H,m),

502,1441,1410,1307,1276/cm.

$[\alpha]_D$=-63.6±1.9° (CHCl$_8$,c=0.56,22℃).

No.1k－6

5   CDCl$_8$ 300MHz

1.04-2.24(14H,m),2.36(2H,t,J=7.5Hz),2.58(1H,m),3.88(1H,m),5.30-5.43(2H,
m),6.21(1H,d,J=7.2Hz),7.41-7.49(3H,m),7.73-7.77(2H,m).

IR(CHCl$_8$):3447,3011,2955,1708,1653,1603,1578,1515,1486,1457,1312,1211,
1164/cm.

10 $[\alpha]_D$=-60.3° (CHCl$_8$,c=1.00,23℃).

No.1k－7

CDCl$_8$ 300MHz

1.04-2.22(14H,m),2.36(2H,t,J=7.2Hz),2.57(1H,m),3.87(1H,m),5.30-5.44(2H,

15 m),6.17(1H,d,J=8.7Hz),6.99-7.40(7H,m),7.73(2H,d,J=7.5Hz).

IR(CHCl$_8$):3449,3013,2955,1739,1708,1651,1609,1588,1522,1487,1243,1227,
1169/cm.

$[\alpha]_D$=-60.2° (CHCl$_8$,c=0.92,23℃).

20 No.1k－8

CDCl$_8$ 300MHz

1.04-2.25(14H,m),2.34(2H,t,J=7.5Hz),2.56(1H,m),3.87(1H,m),5.30-5.44(2H,
m),6.19(1H,d,J=7.5Hz),6.83-6.94(6H,m),7.69(2H,d,J=8.7Hz).

IR(CHCl$_8$):3599,3455,3012,2955,1711,1644,1604,1577,1524,1507,1492,1290,

25 1236,1197,1170/cm.

$[\alpha]_D$=-47.7° (CHCl$_8$,c=1.01,22℃).

No.1k－9

CDCl$_8$ 300MHz

1.04-2.20(14H,m),2.31(3H,s),2.36(2H,t,J=7.2Hz),2.56(1H,m),3.86(1H,m),5.3

0-5.43(2H,m),6.16(1H,d,J=7.2Hz),7.00-7.11(6H,m),7.74(2H,d,J=8.7Hz).

IR(CHCl₃):3450,3010,2955,1750,1709,1651,1609,1596,1523,1489,1370,1247,

1227,1183/cm.

5 $[\alpha]_D$=-54.7° (CHCl₃,c=1.01,22℃).

No.1k−10

CDCl₃ 300MHz

1.04-2.22(14H,m),2.35(2H,t,J=7.2Hz),2.56(1H,m),3.82(3H,s),3.86(1H,m),5.3

10 0-5.43(2H,m),6.17(1H,d,J=6.9Hz),6.89-7.01(6H,m),7.70(2H,d,J=8.7Hz).

IR(CHCl₃):3023,2955,1742,1708,1649,1613,1602,1577,1522,1507,1490,1227,

1210,1170/cm.

$[\alpha]_D$=-58.1° (CHCl₃,c=1.01,22℃).

15 No.1m−1

CDCl₃ 300MHz

1.06-2.25(14H,m),2.32(2H,t,J=7.4Hz),2.61(1H,m),3.63(3H,s),3.91(1H,m),5.3

3-5.47(2H,m),6.24(1H,d,J=6.9Hz),7.35-7.38(3H,m),7.53-7.60(4H,m),7.75-7.7

No.1m－3

CDCl$_8$ 300MHz

1.06-2.23(14H,m),2.32(2H,t,J=7.0Hz),2.62(1H,m),3.63(3H,s),3.93(1H,m),5.3

0-5.50(2H,m),6.28(1H,d,J=7.0Hz),7.38-7.51(3H,m),7.58-7.67(4H,m),7.83-7.8

8(2H,m).

IR(CHCl$_3$):3438,3008,2948,2875,1783(w),1727,1650,1608,1580(w),1523,150

1,1482/cm.

[α]$_D$= +59° (CHCl$_3$,c=1.49,25℃)

No.1m－4

CDCl$_8$ 300MHz

1.08-2.25(14H,m),2.36(2H,t,J=7.4Hz),2.59(1H,m),3.91(1H,m),5.28-5.48(3H,

m),6.29(1H,d,J=7.4Hz),7.38-7.50(3H,m),7.61-7.67(4H,m),7.81-7.86(2H,m).

IR(CHCl$_3$):3436,3010,2948,2868,1727,1715(sh),1649,,1615(w),1524,1502,14

82,1372/cm.

[α]$_D$= +72° (CHCl$_3$,c=0.98,25℃)

No.1m－5

CDCl$_8$ 300MHz

1.09-2.20(14H,m),2.32(2H,t,J=7.2Hz),2.63(1H,m),3.63(3H,s),3.92(1H,m),5.3

1-5.51(2H,m),6.35(1H,d,J=7.0Hz),7.51-7.60(3H,m),7.92-7.97(6H,m).

IR(CHCl$_3$):3436,3008,2946,2875,1727,1652,1608(w),1515,1484/cm.

[α]$_D$= +82° (CHCl$_3$,c=0.99,25℃)

No.1m－6

CDCl$_8$ 300MHz

1.09-2.23(14H,m),2.37(2H,t,J=7.2Hz),2.60(1H,m),3.92(1H,m),5.30-5.49(2H,

m),6.32(1H,d,J=7.4Hz),7.51-7.55(3H,m),7.85-7.98(6H,m).

IR(CHCl₃):3436,3010,2950,2875,2670,1727,1715(sh),1650,1605(w),1515,148 4/cm.

$[α]_D$= +84° (CHCl₃,c=1.54,25℃)

5 No.1m−7

CDCl₃ 300MHz

1.03-2.18(14H,m),2.32(2H,t,J=7.4Hz),2.59(1H,m),3.64(3H,s),3.89(1H,m),5.2 9-5.49(2H,m),6.16(1H,d,J=7.8Hz),6.98-7.06(4H,m),7.14-7.20(1H,m),7.34-7.4 1(2H,m),7.73-7.78(2H,m).

10 IR(CHCl₃):3438,3008,2946,2868,1727,1648,1610,1586,1519,1485/cm.

$[α]_D$= +54° (CHCl₃,c=1.29,25℃).

No.1m−8

CDCl₃ 300MHz

15 1.06-2.21(14H,m),2.36(2H,t,J=7.5Hz),2.58(1H,m),3.88(1H,m),5.31-5.46(2H, m),6.17(1H,d,J=6.9Hz),6.99-7.05(4H,m),7.15-7.21(1H,m),7.36-7.41(2H,m),7. 72-7.75(2H,m).

IR(CHCl₃):3436,3010,2948,2868,2675,1730(sh),1709,1647,1608,1586,1520,1

CDCl₃ 300MHz ·

1.04-2.20(14H,m),2.31-2.39(5H,m),2.57(1H,m),3.87(1H,m),5.28-5.47(2H,m),

6.17(1H,d,J=7.0Hz),6.99-7.12(6H,m),7.72-7.76(2H,m).

IR(CHCl₃):3674,3572,3438,3010,2948,2868,2626,1748,1710,1648,1615,1595,

5 1520,1489/cm.

$[\alpha]_D$= +51° (CHCl₃,c=0.91,25℃)

No.1m－11

CDCl₃ 300MHz

10 1.04-2.16(14H,m),2.31(2H,t,J=7.2Hz),2.59(1H,m),3.63(3H,s),3.89(1H,m),5.2

9-5.49(2H,m),6.24(1H,d,J=7.4Hz),6.54(1H,s),6.83-6.93(6H,m),7.69-7.73(2H,

m).

IR(CHCl₃):3674,3588,3438,3296,3010,2946,2868,1725,1646,1603,1520,1504,

1489/cm.

15 $[\alpha]_D$= +51° (CHCl₃,c=0.91,25℃)

No.1m－1 2

CDCl₃ 300MHz

1.04-2.21(14H,m),2.33(2H,t,J=8.0Hz),2.56(1H,m),3.87(1H,m),5.28-5.48(2H,

20 m),6.23(1H,d,J=8.0Hz),6.75(1H,m),6.87-6.94(6H,m),7.66-7.71(2H,m),9.63(1

H,brs).

IR(CHCl₃):3674,3582,3436,3275,3010,2950,2868,2675,1727,1710(sh),1643,1

603,1522,1504,1490/cm.

$[\alpha]_D$= +30° (CHCl₃,c=0.97,25℃)

25

No.1m－13

CDCl₃ 300MHz

1.01-2.18(14H,m),2.31(2H,t,J=7.4Hz),2.58(1H,m),3.63(3H,s),3.82(3H,s),3.89

(1H,m),5.29-5.48(2H,m),6.14(1H,d,J=7.0Hz),6.88-7.02(6H,m),7.70-7.74(2H,

m).

IR(CHCl$_3$):3442,3402,3004,2946,2868,1727,1648,1600,1518,1499/cm.

[α]$_D$=+42° (CHCl$_3$,c=1.82,26℃)

5　No.1m－14

CDCl$_3$　300MHz

1.05-2.21(14H,m),2.35(2H,t,J=7.2Hz),2.55(1H,m),3.82(3H,s),3.88(1H,m),5.2

7-5.46(2H,m),6.16(1H,d,J=7.2Hz),6.88-7.02(6H,m),7.68-7.73(2H,m).

IR(CHCl$_3$):3438,3012,2948,2870,2650,1730(sh),1709,1647,1615(sh),1601,15

10　19,1492/cm.

[α]$_D$=+64° (CHCl$_3$,c=0.70,25℃)

No.1m－15

CDCl$_3$　300MHz

15　1.05-2.20(14H,m),2.29-2.36(5H,m),2.62(1H,m),3.63(3H,s),3.92(1H,m),5.30-5.

50(2H,m),6.25(1H,d,J=7.2Hz),7.16-7.21(2H,m),7.59-7.64(4H,m),7.83-7.87(2

H,m).

IR(CHCl$_3$):3446,3010,2946,2868,1745(sh),1728,1650,1615,1525,1507,1486/c

m.

20　[α]$_D$=+65.0° (CHCl$_3$,c=1.02,23℃)

No.1m－16

CDCl$_3$　300MHz

1.08-2.21(14H,m),2.34-2.40(5H,m),2.59(1H,m),3.90(1H,m),5.29-5.48(2H,m),

25　6.29(1H,d,J=7.0Hz),7.18(2H,d,J=8.6Hz),7.58-7.64(4H,m),7.83(2H,d,J=8.2Hz

).

IR(CHCl$_3$):3438,3012,2948,2870,2622,1749,1710,1649,1610,1526,1508,1487/

cm.

[α]$_D$=+66° (CHCl$_3$,c=1.31,24℃)

No.1m－17

CDCl$_3$ 300MHz

1.06-2.19(14H,m),2.32(2H,t,J=7.2Hz),2.62(1H,m),3.63(3H,s),3.93(1H,m),5.3
0-5.50(2H,m),6.32(1H,d,J=7.6Hz),6.41(1H,s),6.94(2H,d,J=9.0Hz),7.47(2H,d,
J=9.0Hz),7.58(2H,d,J=8.6Hz),7.81(2H,d,J=8.6Hz).

IR(CHCl$_3$):3580,3434,3284,3010,2946,2868,1726,1646,1606,1528,1490/cm. [
α]$_D$=+62.4° (CHCl$_3$,c=1.01,23℃)

No.1m－18

CDCl$_3$+CD$_3$OD 300MHz

1.11-2.18(14H,m),2.32(2H,t,J=7.4Hz),2.59(1H,m),3.88(1H,m),5.30-5.49(2H,
m),6.55(1H,d,J=7.0Hz),6.92(2H,d,J=8.6Hz),7.47(2H,d,J=8.6Hz),7.59(2H,d,J
=8.6Hz),7.79(2H,d,J=8.2Hz).

IR(Nujol):3398,3175,2725,1696,1635,1601,1531,1510/cm.

[α]$_D$=+99.5° (CH$_3$OH,c=1.011,25℃)

No.1m－19

CDCl$_3$ 300MHz

1.05-2.20(14H,m),2.32(2H,t,J=7.4Hz),2.61(1H,m),3.63(3H,s),3.86(3H,s),3.94
(1H,m),5.30-5.50(2H,m),6.24(1H,d,J=7.0Hz),6.99(2H,d,J=8.6Hz),7.53-7.63(4
H,m),7.82(2H,d,J=8.6Hz).

IR(CHCl$_3$):3440,3006,2946,2875,1726,1649,1606,1527,1510,1489/cm.

[α]$_D$=+68° (CHCl$_3$,c=0.88,26℃)

No.1m－20

CDCl$_3$ 300MHz

1.09-2.20(14H,m),2.35(2H,t,J=7.3Hz),2.58(1H,m),3.85(3H,s),3.89(1H,m),5.2
8-5.48(2H,m),6.35(1H,d,J=7.2Hz),6.98(2H,d,J=8.8Hz),7.51-7.61(4H,m),7.81(

2H,d,J=8.4Hz),8.34(1H,brs).

IR(CHCl₃):3446,3012,2952,2881,2640,1730(sh),1707,1647,1606,1527,1510,1

489/cm.

$[\alpha]_D$=+83° (CHCl₃,c=1.00,25℃).

5

No.1m－2 1

CDCl₃ 300MHz

1.05-2.14(14H,m),2.37(2H,t,J=7.2Hz),2.51(1H,m),3.81(1H,m),5.34-5.46(2H,

m),6.11(1H,d,J=7.5Hz),7.33-7.48(3H,m),7.53-7.55(2H,m).

10   IR(CHCl₃):3420,3250,3008,2948,2870,2660,2210,1735(sh),1705,1645,1503,1

441,1409/cm.

$[\alpha]_D$=+59.2±1.0° (CHCl₃,c=1.023,22℃).

No.1m－2 2

15   CDCl₃ 300MHz

1.05-2.17(14H,m),2.37(2H,t,J=7.2Hz),2.52(1H,m),3.82(1H,m),5.32-5.47(2H,

m),6.20(1H,d,J=7.6Hz),7.38-7.53(3H,m),7.58-7.61(6H,m),9.11(1H,brs).

IR(CHCl₃):3420,3250,3010,2984,2870,2675,2208,1730(sh),1705,1640,1500,1

CDCl$_8$ 300MHz

1.05-2.21(14H,m),2.36(2H,t,J=7.2Hz),2.57(1H,m),3.89(1H,m),5.28-5.47(2H,
m),6.22(1H,d,J=7.0Hz),7.39-7.55(3H,m),7.73-7.79(2H,m).

IR(CHCl$_8$):3676,3572,3436,3010,2948,2875,1730(sh),1709,1650,1600,1580,1

5 514,1484/cm.

$[\alpha]_D$=+57° (CHCl$_8$,c=0.97,26℃).

No.1m－2 5

CDCl$_8$ 300MHz

10 1.04-2.18(14H,m),2.28-2.35(5H,m),2.59(1H,m),3.62(3H,s),3.88(1H,m),5.29-5.
49(2H,m),6.20(1H,d,J=7.2Hz),7.15(2H,d,J=9.0Hz),7.80(2H,d,J=8.8Hz).

IR(CHCl$_8$):3436,3010,2946,2868,1752,1727,1653,1602,1519,1491/cm.

$[\alpha]_D$=+53° (CHCl$_8$,c=1.63,25℃).

·15 No.1m－2 6

CDCl$_8$ 300MHz ·

1.05-2.19(14H,m),2.32-2.38(5H,m),2.56(1H,m),3.88(1H,m),5.29-5.47(2H,m),
6.25(1H,d,J=7.4Hz),7.15(2H,d,J=9.0Hz),7.78(2H,d,J=8.6Hz).

IR(CHCl$_8$):3434,3016,3006,2948,2880,2622,1752,1730(sh),1710,1651,1605,1

20 520,1492/cm.

$[\alpha]_D$=+58° (CHCl$_3$,c=3.68,24℃)

No.1m－2 7

CDCl$_8$ 300MHz

25 1.05-2.16(14H,m),2.30(2H,t,J=7.5Hz),2.57(1H,m),3.62(3H,s),3.87(1H,m),5.2
7-5.47(2H,m),6.32(1H,d,J=7.4Hz),6.85(2H,d,J=8.6Hz),7.62(2H,d,J=8.6Hz),8.
35(1H,s).

IR(CHCl$_3$):3580,3450,3216,3010,2946,2868,1726,1640,1608,1584,1528,1496/
cm.

$[\alpha]_D=+56.2°$ (CHCl$_3$,c=0.713,23℃)

No.1m− 2 8

CDCl$_3$ 200MHz

5 1.10-2.25(14H,m),2.32(2H,t,J=7.2Hz),2.55(1H,brs),3.82-3.93(1H,m),5.27-5.4

7(2H,m),6.25(1H,d,J=7.4Hz),6.86(2H,d,J=8.6Hz),7.62(2H,d,J=8.6Hz).

IR(CHCl$_3$):3438,3242,2675,1730(sh),1708,1639,1607,1585/cm.

No.1m− 2 9

10 CDCl$_3$ 300MHz

1.05-2.18(14H,m),2.31(2H,t,J=7.4Hz),2.58(1H,m),3.64(3H,s),3.85(3H,s),3.89

(1H,m),5.29-5.48(2H,m),6.14(1H,d,J=6.6Hz),6.92(2H,d,J=9.0Hz),7.74(2H,d,

J=9.0Hz).

IR(CHCl$_3$):3445,3008,2946,2868,1727,1646,1606,1578,1523,1493/cm.

15 $[\alpha]_D=+53°$ (CHCl$_3$,c=2.03,24℃)

No.1m−30

CDCl$_3$ 300MHz

$[\alpha]_D$=+67° (CH$_3$OH,c=1.01,24℃).

No.1m−3 2

CDCl$_3$ 200MHz

5   1.09-2.23(14H,m),2.33(2H,t,J=7.1Hz),2.57(1H,brs),3.40-3.93(9H,m),4.41(1H,
brs),5.29-5.48(2H,m),6.44(1H,d,J=7.4Hz),7.43(2H,d,J=8.2Hz),7.80(2H,d,J=7.
8Hz).

IR(CHCl$_3$):3434,3354,1726,1720(sh),1660(sh),1626/cm.

10   No.1m−33

CDCl$_3$ 200MHz

1.14-2.25(14H,m),2.37(2H,t,J=7.3Hz),2.64(1H,brs),3.93-4.01(1H,m),5.30-5.5
1(2H,m),6.47(1H,d,J=7.4Hz),7.63-7.74(2H,m),7.79(2H,s),7.89-7.93(1H,m),8.
00(1H,dd,J=2.3,1.0Hz),8.30(1H,d,J=1.0Hz),8.65-8.73(2H,m).

15   IR(CHCl$_3$):3450,2675,1728,1707,1649,1528,1509/cm.

$[\alpha]_D$=+82.8±1.2° (CHCl$_3$,c=1.01,23℃).

No.2a-1

$[\alpha]_D$=+69.0° (MeOH,c=1.01,25℃)

20

No.2a-2

CDCl$_3$ 300MHz

0.99(1H,d,J=10.2Hz),1.15 and 1.24(each 3H,each s),1.50-2.50(14H,m),4.3
0(1H,m),5.35-5.52(2H,m),6.32(1H,d,J=8.7Hz),7.36-7.49(3H,m),7.58-7.62(2H,
25   m),7.66 and 7.80(each 2H,each d,J=8.7Hz).

IR(CHCl$_3$):3116,3014,2925,2870,2663,1708,1651,1610,1524,1504,1484,1472
/cm.

$[\alpha]_D$= +64.1° (MeOH,c=1.02,25℃).

No.2a-3

$[\alpha]_D$=+76.6° (MeOH,c=1.18,26℃).

No.2a-4

5　CDCl$_3$　300MHz

0.99(1H,d,J=10.2Hz),1.15 and 1.25(each 3H,each s),1.64-2.51(14H,m),4.3

1(1H,m),5.36-5.53(2H,m),6.33(1H,d,J=8.4z),7.50-7.56(3H,m),7.85-7.98(6H,

m).

IR(CHCl$_3$):3515,3452,3014,2925,2870,1740,1708,1654,1517,1486,1470 /cm.

10　$[\alpha]_D$= +79.5° (MeOH,c=1.18, 22℃).

No.2a-5

CD$_3$OD　300MHz

0.98(1H,d,J=9.9Hz),1.18 and 1.25(each 3H,each s),1.56-1.71(3H,m),1.98-2.

15　40(11H,m),4.17(1H,m),5.41-5.52(2H,m),7.52-7.61(3H,m),7.91-8.01(6H,m).

IR(KBr):3416,3063,2983,2921,2869,1704,1643,1566,1518,1488,1408 /cm.

$[\alpha]_D$= +62.0° (MeOH,c=1.00, 25℃).

No.2a-10

$[\alpha]_D=+74.7°$ (MeOH,c=1.00,25℃).

5 No.2a-11

$[\alpha]_D=+72.1°$ (MeOH,c=1.00,25℃).

No.2a-12

$[\alpha]_D=+53.1°$ (CHCl$_3$,c=1.01,26℃).

10 m.p.155.0-156.0℃

No.2a-13

CDCl$_3$ 300MHz

0.98(1H,d,J=10.2Hz),1.18 and 1.25(each 3H,each s),1.63-2.40(14H,m),4.3
15 0(1H,m),5.46-5.58(2H,m),6.44(1H,d,J=8.4Hz),7.49 and 7.77(each 2H,each
d,J=8.7Hz),7.54(1H,s).

IR(CHCl$_3$):3689,3378,3028,3014,2924,1713,1652,1602,1522,1496 /cm.

$[\alpha]_D= +78.3°$ (MeOH,c=0.84,25℃).

m.p.205.0-206.0℃

20

No.2a-14

$[\alpha]_D=+72.5°$ (MeOH,c=1.07,25℃).

No.2a-15

25 CDCl$_3$ 300MHz

0.99(1H,d,J=9.9Hz),1.14 and 1.24(each 3H,each s),1.55-2.44(14H,m),4.27(
1H,m),5.30-5.50(2H,m),6.29(1H,d,J=9.0Hz),7.11 and 7.20(each 1H,each d,
J=16.2Hz),7.29-7.55(5H,m),7.57 and 7.72(each 2H,each d,J=8.7Hz).

IR(CHCl$_3$):3453,3083,3022,3013,2925,2870,1708,1650,1607,1560,1522,1496

/cm.

$[\alpha]_D$= +72.3° (MeOH,c=1.00,27℃).

m.p.115.0-117.0℃

5   No.2a-16

CDCl$_3$ 300MHz

0.92(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.50-2.48(14H,m),3.6
2(3H,s),4.29(1H,m),5.30-5.50(2H,m),6.20(1H,d,J=8.7Hz),6.59 and 6.68   (
each 1H,each,d,J=12.3Hz),7.23(5H,s),7.29 and 7.59(each 2H,each d,J=8.

10 1Hz).

IR(CHCl$_3$):3453,3024,3016,2924,2870,1730,1651,1607,1520,1495 /cm.

$[\alpha]_D$= +56.8° (MeOH,c=1.04,24℃).

No.2a-17

15 CDCl$_3$ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.50-2.38(14H,m),4.2
6(1H,m),5.30-5.50(2H,m),6.23(1H,d,J=8.4Hz);6.59 and 6.70(each 1H,each
 d,J=12.3Hz),7.23(5H,s),7.30 and 7.57(each 2H,each d,J=8.7Hz).

IR(CHCl$_3$):3452,3081,3019,3014,2925,2870,2665,1708,1650,1607,1521,1495

20 /cm.

$[\alpha]_D$= +61.6° (MeOH,c=1.00,27℃).

No.2a-18

CDCl$_3$ 300MHz

25 0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each,s),1.50-2.50(14H,m),3.61
(3H,s),4.31(1H,m),5.35-5.51(2H,m),6.33(1H,d,J=8.4Hz),7.48-7.64(4H,m),7.7
9-7.83(2H,m),7.91(1H,dt,J=1.5 and 7.8Hz),8.01(1H,dt,J=1.5 and 7.8Hz),8.
13(1H,t,J=1.5Hz).

IR(CHCl$_3$):3450,3026,3013,2925,2870,1730,1659,1600,1510 /

$[\alpha]_D= +56.0°$ (MeOH,c=1.01,25℃).

No.2a-19

CDCl₃ 300MHz

5 0.95(1H,d,J=9.9Hz),1.14 and 1.21(each 3H,each s),1.53-2.60(14H,m),4.25(
1H,m),5.35-5.64(2H,m),7.21(1H,d,J=7.8Hz),7.49-7.68(4H,m),7.76-7.84(3H,m
),8.25(1H,m),8.43(1H,m).

IR(CHCl₃):3382,3196,3025,3015,2925,2870,1725,1652,1599,1577,1521 /cm.

$[\alpha]_D= +55.9°$ (MeOH,c=1.00,25℃).

10

No.2a-20

CDCl₃ 300MHz

0.98(1H,d,J=10.2Hz),1.13 and 1.24(each 3H,each s),1.50-2.50(14H,m),3.6
2(3H,s),4.31(1H,m),5.35-5.51(2H,m),6.24(1H,d,J=8.4Hz),7.40-7.52(3H,m),7.

15 71-7.76(2H,m).

IR(CHCl₃):3453,3025,3013,2925,2870,1730,1753,1579,1514,1486 /cm.

$[\alpha]_D= +61.2°$ (MeOH,c=1.04,25℃).

No.2a-21

20 CDCl₃ 300MHz

0.98(1H,d,J=10.2Hz),1.13 and 1.23(each 3H,each s),1.52-2.50(14H,m),4.2
8(1H,m),5.34-5.51(2H,m),6.27(1H,d,J=8.7Hz),7.41-7.53(3H,m),7.71-7.74(2H,
m).

IR(CHCl₃):3452,3063,3027,3014,2925,2871,1708,1652,1578,1515,1486 /cm.

25 $[\alpha]_D= +62.0°$ (MeOH,c=1.01,27℃).

No.2a-22

d₆-DMSO 300MHz

0.86(1H,d,J=9.9Hz),1.10 and 1.16(each 3H,each s),1.42-1.52(3H,m),1.85-2.

46(11H,m),3.98(1H,m),5.32-5.43(2H,m),7.41(3H,m),7.88(2H,d,J=6.6Hz),8.19

(1H,d,J=6.6Hz).

IR(KBr):3367,3060,2984,2922,2868,1634,1563,1529,1487/cm.

$[\alpha]_D$=+47.7° (MeOH,c=1.00,25℃).

5

No.2a-23

$[\alpha]_D$=+62.7° (MeOH,c=1.01,27℃).

No.2a-24

10 CDCl$_3$ 300MHz

0.99(1H,d,J=10.2Hz),1.14 and 1.25(each 3H,each s),1.52-2.50(14H,m),4.3

1(1H,m),5.36-5.52(2H,m),6.34(1H,d,J=8.4Hz),7.47-7.52(2H,m),7.59-7.64(1H,

m),7.78-7.83(6H,m).

IR(CHCl$_3$):3449,3027,3013,2925,2869,1708,1656,1599,1518,1493 /cm.

15 $[\alpha]_D$= +63.1° (MeOH,c=1.00,25℃).

No.2a-25

$[\alpha]_D$=+35.1° (MeOH,c=1.00,25℃).

$[\alpha]_D=+56.4°$ (MeOH,c=1.01,25℃).

No.2a-28

CDCl$_3$ 300MHz

5   0.98(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.52-2.50(14H,m),4.26(1H,m),5.34-5.51(2H,m),6.20(1H,d,J=9.0Hz),7.01 and 7.70(each 2H,each d,J=9.0Hz,),6.98-7.15(2H,m),7.17(1H,t,J=7.5Hz),7.34-7.40(2H,m).

IR(CHCl$_3$):3454,3031,3018,2925,2870,1708,1650,1588,1523,1487/cm.

$[\alpha]_D= +56.2°$ (MeOH,c=1.00,25℃).

10

No.2a-29

$[\alpha]_D=+53.0°$ (MeOH,c=1.03,25℃).

No.2a-30

15   CDCl$_3$ 300MHz

0.97(1H,d,J=10.2Hz),1.10 and 1.23(each 3H,each s),1.52-2.50(14H,m),4.25(1H,m),5.30-5.50(2H,m),6.23(1H,d,J=8.7Hz),6.36(1H,s),7.26-7.39(10H,m),7.60 and 7.68(each 2H,each d,J=8.4Hz,).

IR(CHCl$_3$):3451,3088,3064,3029,3014,2925,2869,1707,1652,1522,1495 /cm.

20    $[\alpha]_D=+54.2°$ (MeOH,c=1.00,25℃).

No.2a-31

CDCl$_3$ 300MHz

0.98(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.50-2.50(14H,m),3.6

25   3(3H,s),4.31(1H,m),5.30-5.50(2H,m),6.26(1H,d,J=8.4Hz),6.90(1H,t,J=7.4Hz),7.13(1H,d,J=8.7Hz),7.29(2H,t,J=8.0Hz),7.67-7.75(5H,m),7.82(1H,s).

IR(Nujol):3380,3244,1723,1638,1601,1578,1535,1495 /cm.

$[\alpha]_D=+73.6°$ (MeOH,c=0.50,26℃).

m.p.133.0-134.0℃

No.2a-32

$[\alpha]_D$=+56.1° (MeOH,c=1.02,26℃).

5   No.2a-33

CDCl$_3$ 300MHz

0.95(1H,d,J=10.2Hz),1.10 and 1.21(each,3H,each s),1.50-2.50(14H,m),4.25

(1H,m),5.13(2H,s),5.30-5.70(3H,m),6.41(1H,d,J=8.2Hz),6.89(1H,s),7.09(1H,

s),7.17 and 7.72(each 2H,each d,J=8.2Hz),7.62(1H,s).

10   IR(CHCl$_3$):3450,3125,3031,3013,2925,2870,2467,1917,1708,1654,1615,1575,

1523,1497 /cm.

$[\alpha]_D$=+55.2° (MeOH,c=1.01,26℃).

No.2a-34

15   $[\alpha]_D$=+72.9° (MeOH,c=1.03,25℃).

No.2a-35

CDCl$_3$ 300MHz

$CDCl_3$ 300MHz

0.83(1H,d,J=10.5Hz),0.95 and 1.18(each 3H,each s),1.44-2.46(14H,m),3.9

2(1H,m),5.34-5.52(3H,m),7.26-7.54(9H,m),7.62(1H,s).

IR($CHCl_3$):3432,3310,3189,3023,3014,2924,2870,1704,1610,1594,1523,1487

5   /cm.

$[\alpha]_D$=+25.3° (MeOH,c=1.00,26℃).

No.2a-38

$[\alpha]_D$=+70.9° (MeOH,c=1.02,25℃).

10

No.2a-39

$[\alpha]_D$=+70.6° (MeOH,c=1.01,25℃).

No.2a-40

15   $[\alpha]_D$=+74.7° (MeOH,c=1.00,25℃).

No.2a-41

$[\alpha]_D$=+72.1° (MeOH,c=1.01,24℃).

20   No.2a-42

$[\alpha]_D$=+69.2° (MeOH,c=1.00,25℃).

No.2a-43

$[\alpha]_D$=+70.8° (MeOH,c=1.00,25℃).

25

No.2a-44

$[\alpha]_D$=+60.4° (MeOH,c=1.00,26℃).

No.2a-45

CDCl$_3$ 300MHz

0.97(1H,d,J=9.9Hz),1.13 and 1.23(each 3H,each s),1.55-2.52(14H,m),4.29(1H,m),5.34-5.54(2H,m),6.33(1H,d,J=9.0Hz),7.10(1H,t,J=7.4Hz),7.34(2H,t,J=7.4Hz),7.52(2H,m),7.68 and 7.75(each 2H,each d,J=8.4Hz),7.80(1H,s),8.

5   10(1H,s),10.09(1H,s).

IR(CHCl$_3$):3393,3195,3093,3033,3013,2925,2870,1698,1656,1598,1537,1498 /cm.

[α]$_D$=+59.4° (MeOH,c=1.01,24℃).

10   No.2a-46

[α]$_D$=+63.5° (MeOH,c=1.00,25℃).

No.2a-47

CDCl$_3$ 300MHz

15   0.97(1H,d,J=9.9Hz),1.12 and 1.23(each 3H,each s),1.54-2.48(14H,m),4.29(1H,m),5.35-5.52(2H,m),6.32(1H,d,J=8.7Hz),7.26(1H,m),7.41(2H,t,J=7.8Hz),7.64(2H,d,J=7.5Hz),7.73 and 7.77(each 2H,each d,J=8.4Hz),7.95(1H,s),9.20(1H,s),10.38(1H,s).

IR(CHCl$_3$):3451,3029,3022,3016,2925,2870,1708,1655,1542,1508,1498,1471, 1459 /cm.

$[\alpha]_D$=+63.5° (MeOH,c=1.02,25℃).

m.p.135.0-137.0℃

5

No.2a-50

$[\alpha]_D$=+68.9° (MeOH,c=1.01,24℃).

No.2a-51

10   d$_6$-DMSO 300MHz

0.87(1H,d,J=9.9Hz),1.10 and 1.17(each 3H,each s),1.40-1.60(3H,m),1.90-2. 40(11H,m),3.98(1H,m),5.35-5.46(2H,m),7.64(1H,s),7.65 and 7.91(each 2H, each d,J=8.7Hz),8.06(1H,d,J=6.0Hz),9.32(1H,brs).

IR(KBr):3385,2962,1734,1707,1632,1529,1498 /cm.

15   $[\alpha]_D$=+68.4° (MeOH,c=1.01,24℃).

No.2a-52

$[\alpha]_D$=+76.2° (MeOH,c=1.01,24℃).

20   No.2a-53

$[\alpha]_D$=+73.9° (MeOH,c=1.02,24℃).

No.2a-54

$[\alpha]_D$=+68.1° (MeOH,c=1.00,24℃).

25

No.2a-55

$[\alpha]_D$=+67.8° (MeOH,c=1.00,24℃).

No.2a-56

$[\alpha]_D$=+65.4° (MeOH,c=1.03,25℃).

No.2a-57

$[\alpha]_D$=+63.4° (MeOH,c=1.01,24℃).

5

No.2a-58

$[\alpha]_D$=+66.6° (MeOH,c=1.01,24℃).

No.2a-59

10 $[\alpha]_D$=+65.5° (MeOH,c=1.00,24℃).

No.2a-60

$[\alpha]_D$=+60.9° (MeOH,c=1.02,25℃).

15 No.2a-61

$CDCl_3$ 300MHz

0.97(1H,d,J=10.0Hz),1.10 and 1.22(each 3H,each s),1.50-2.50(14H,m),4.2

6(1H,m),5.30-5.54(2H,m),6.28(1H,d,J=8.6Hz),6.60 and 6.82(each 1H,each

0.99(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.50-2.50(14H,m),4.29(1H,m),5.36-5.55(2H,m),6.35(1H,d,J=9.1Hz),7.04 and 7.27(each 1H,each d,J=16.5Hz),7.37(2H,d,J=6.6Hz),7.56 and 7.76(each 2H,each d,J=8.4Hz), 8.57(2H,d,J=6.6Hz).

5   IR(CHCl₃):3452,3024,3018,3014,2925,2870,2470,1933,1708,1652,1605,1521, 1496 /cm.

$[\alpha]_D$=+69.2° (MeOH,c=1.01,25℃).

No.2a-64

10   $[\alpha]_D$=+56.9° (MeOH,c=1.24,25℃).

No.2a-65

CDCl₃ 300MHz

0.98(1H,d,J=10.5Hz),1.12 and 1.23(each 3H,each s),1.54-2.46(14H,m),4.2

15   7(1H,m),5.23(2H,s),5.34-5.52(2H,m),6.26(1H,d,J=8.4Hz),7.32-7.45(5H,m),7.64 and 7.71(each 2H,each d,J=8.4Hz),8.15(1H,s).

IR(CHCl₃):3452,3088,3065,3032,3013,2925,2870,1708,1653,1611,1559,1522, 1496 /cm.

$[\alpha]_D$=+61.0° (MeOH,c=0.91,25℃).

20

No.2a-66

$[\alpha]_D$=+76.0° (MeOH,c=1.01,25℃).

No.2a-67

25   CDCl₃ 300MHz

0.98(1H,d,J=10.4Hz),1.14 and 1.24(each 3H,each s),1.54-2.46(14H,m),4.28(1H,m),5.32-5.53(2H,m),6.27(1H,d,J=8.6Hz),6,92-7.31(each 1H,each d,J=16.4Hz),7.02(1H,dd,J=5.8 and 3.6Hz),7.12(1H,d,J=3.6Hz),7.24(1H,d,J=5.8Hz),7.51 and 7.70(each 2H,each d,J=8.4Hz).

IR(CHCl₃):3453,3029,3013,2925,2870,1739,1650,1604,1524,1515,1494 /cm.

$[\alpha]_D$=+76.2° (MeOH,c=1.00,24℃).

m.p.104.0-106.0℃

5　No.2a-68

$[\alpha]_D$=+57.7° (MeOH,c=1.01,25℃).

No.2a-69

CDCl₃ 300MHz

10　0.99(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.54-2.48(14H,m),4.2
8(1H,m),5.34-5.53(2H,m),6.29(1H,d,J=9.0Hz),6,54-6.74(each 1H,each d,J=
12.0Hz),7.02(1H,dd,J=4.8 and 3.3Hz),6.97(1H,dd,J=3.3 and 1.2Hz),7.13(1
H,dd,J=4.8 and 1.2Hz),7.44 and 7.70(each 2H,each d,J=8.7Hz).

IR(CHCl₃):3453,3025,3010,2925,2870,1708,1650,1607,1559,1523,1493 /cm.

15　$[\alpha]_D$=+58.4° (MeOH,c=1.00,25℃).

No.2a-70

$[\alpha]_D$=+48.6° (MeOH,c=1.00,25℃).

$[\alpha]_D$=+51.2° (MeOH,c=1.02,25℃).

No.2a-73

CDCl$_8$ 300MHz

5   0.97(1H,d,J=9.9Hz),1.11 and 1.23(each 3H,each s),1.54-2.48(14H,m),4.27(
1H,m),5.32-5.52(2H,m),6.24(1H,d,J=9.0Hz),6.83-6.94(6H,m),7.65(2H,d,J=9.
0Hz).

IR(CHCl$_8$):3598,3451,3199,3033,3012,2925,2870,1708,1642,1604,1524,1507,
1491 /cm.

10 $[\alpha]_D$=+52.2° (MeOH,c=1.01,25℃).

No.2a-74

$[\alpha]_D$=+51.5° (MeOH,c=0.92,25℃).

15 No.2a-75

CDCl$_8$ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.55-2.46(14H,m),3.8
2(3H,s),4.25(1H,m),5.32-5.52(2H,m),6.19(1H,d,J=8.7Hz),6.89-7.01(6H,m),7.
65-7.68(2H,m).

20 IR(CHCl$_3$):3450,3025,3008,2925,2870,2837,1741,1649,1612,1521,1505,1490
/cm.

$[\alpha]_D$=+51.1° (MeOH,c=1.00,25℃).

No.2a-76

25 $[\alpha]_D$=+60.4° (MeOH,c=0.98,25℃).

No.2a-77

CDCl$_8$ 300MHz

0.99(1H,d,J=10.5Hz),1.15 and 1.24(each 3H,each s),1.54-2.48(14H,m),2.3

4(3H,s),4.29(1H,m),5.32-5.54(2H,m),6.32(1H,d,J=8.4Hz),7.19 and 7.60 (

each 2H,each d,J=8.4Hz),7.63 and 7.79(each 2H,each d,J=8.4Hz).

IR(CHCl₃):3452,3027,3012,2925,2870,1751,1709,1651,1611,1560,1527,1509,

1489 /cm.

5 $[\alpha]_D$=+61.2° (MeOH,c=1.00,25℃).

No.2a-78

$[\alpha]_D$=+67.4° (MeOH,c=1.01,25℃).

10 No.2a-79

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz),1.15 and 1.24(each 3H,each s),1.54-2.54(14H,m),4.3

1(1H,m),5.32-5.54(2H,m),6.36(1H,d,J=8.2Hz),6.93 and 7.48(each 2H,each

d,J=8.6Hz),7.59 and 7.75(each 2H,each d,J=8.4Hz).

15 IR(CHCl₃):3593,3448,3192,3030,3010,2925,2870,1708,1644,1608,1591,1559,

1530,1516,1491 /cm.

$[\alpha]_D$=+65.8° (MeOH,c=1.01,25℃).

No.2a-80

20 $[\alpha]_D$=+66.9° (MeOH,c=1.01,25℃).

No.2a-81

CDCl₃ 300MHz

0.99(1H,d,J=10.5Hz),1.15 and 1.24(each 3H,each s),1.54-2.48(14H,m),3.8

25 6(3H,s),4.29(1H,m),5.34-5.52(2H,m),6.20(1H,d,J=8.7Hz),6.99 and 7.55 (

each 2H,each d,J=9.0Hz),7.61 and 7.77(each 2H,each d,J=8.7Hz).

IR(CHCl₃):3450,3009,2925,2870,2838,1740,1708,1650,1608,1557,1528,1512,

1491 /cm.

[α]_D=+66.8° (MeOH,c=1.01,25℃).

No.2a-82

$[\alpha]_D=+57.7°$ (MeOH,c=1.02,24℃).

5   No.2a-83

$CDCl_3$ 300MHz

0.97(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.54-2.48(14H,m),2.3
3(3H,s),4.26(1H,m),5.32-5.52(2H,m),6.25(1H,d,J=8.7Hz),7.16 and 7.75   (
each 2H,each d,J=8.7Hz).

10   IR($CHCl_3$):3452,3030,3022,3012,2925,2870,1754,1709,1654,1604,1585,1522,
1493 /cm.

$[\alpha]_D=+57.4°$ (MeOH,c=1.01,24℃).

No.2a-84

15   $[\alpha]_D=+57.8°$ (MeOH,c=1.01,24℃).

No.2a-85

$CDCl_3$ 300MHz

0.95(1H,d,J=10.2Hz),1.12 and 1.22(each 3H,each s),1.54-2.48(14H,m),4.2

20   5(1H,m),5.32-5.52(2H,m),6.28(1H,d,J=8.7Hz),6.87 and 7.57(each 2H,each
d,J=9.0Hz).

IR($CHCl_3$):3590,3450,3166,3019,3012,2925,2871,1708,1637,1608,1583,1531,
1498 /cm.

$[\alpha]_D=+56.0°$ (MeOH,c=1.01,24℃).

25

No.2a-86

$[\alpha]_D=+59.3°$ (MeOH,c=1.01,22℃).

No.2a-87

IR(CHCl₃):3437,3033,3022,3014,2925,2870,1739,1708,1655,1595,1520,1472 /cm.

$[\alpha]_D$=+55.0° (MeOH,c=1.00,22℃).

5   No.2a-92

$[\alpha]_D$=+50.3° (MeOH,c=1.00,22℃).

No.2a-93

CDCl₃ 300MHz

10   0.95(1H,d,J=10.5Hz),1.12 and 1.23(each 3H,each s),1.52-2.46(14H,m),4.2
5(1H,m),5.34-5.52(2H,m),6.12(1H,d,J=8.7Hz),7.07(1H,dd,J=3.9 and 5.1Hz),
7.45-7.48(2H,m).

IR(CHCl₃):3450,3023,3011,2925,2870,1739,1708,1645,1531,1501,1471 /cm.

$[\alpha]_D$=+49.1° (MeOH,c=1.02,24℃).

15

No.2a-94

$[\alpha]_D$=+51.5° (MeOH,c=1.00,24℃).

CDCl$_3$ 300MHz

0.98(1H,d,J=10.0Hz),1.13 and 1.23(each 3H,each s),1.54-2.48(14H,m),3.8
5(3H,s),4.25(1H,m),5.32-5.53(2H,m),6.19(1H,d,J=8.8Hz),6.93 and 7.69   (
each 2H,each d,J=9.0Hz).

5　IR(CHCl$_3$):3450,3030,3017,3012,2925,2870,2840,1740,1708,1647,1606,1575,
1525,1496 /cm.

[α]$_D$=+58.2° (MeOH,c=0.99,22℃).

No.2a-88

10　[α]$_D$=+50.9° (MeOH,c=1.02,25℃).

No.2a-89

CDCl$_3$ 300MHz

0.99(1H,d,J=10.2Hz),1.18 and 1.26(each 3H,each s),1.56-2.48(14H,m),4.2
15　9(1H,m),5.36-5.54(2H,m),7.03(1H,d,J=8.7Hz),7.21(1H,s),7.43(2H,m),7.74(1
H,ddd,J=1.8,6.9 and 8.7Hz),8.22(1H,dd,J=1.8 and 8.1Hz).

IR(CHCl$_3$):3443,3087,3023,3014,2925,2870,1708,1685,1658,1630,1517,1466
 /cm.

[α]$_D$=+57.1° (MeOH,c=1.01,22℃).

20　m.p.117.0-118.0℃

No.2a-90

[α]$_D$=+54.1° (MeOH,c=1.01,22℃).

25　No.2a-91

CDCl$_3$ 300MHz

0.97(1H,d,J=10.2Hz),1.13 and 1.23(each 3H,each s),1.52-2.46(14H,m),4.2
4(1H,m),5.34-5.52(2H,m),6.49-6.53(2H,m),7.11(1H,dd,J=0.9 and 3.6Hz),7.4
4(1H,dd,J=0.9 and 1.8Hz).

0.94(1H,d,J=10.2Hz),1.13 and 1.22(each 3H,each s),1.50-1.76(3H,m),1.94-

2.39(11H,m),4.11(1H,m),5.39-5.49(2H,m),7.43-7.51(2H,m),8.05(1H,m).

IR(KBr):3369,3084,2985,2921,2868,1630,1566,1538,1503 /cm.

$[\alpha]_D$=+38.8° (MeOH,c=1.01,22℃).

5

No.2a-97

CD$_3$OD 300MHz

0.93(1H,d,J=9.9Hz),1.13 and 1.22(each 3H,each s),1.48-1.58(3H,m),1.96-2.

36(11H,m),4.10(1H,m),5.35-5.50(2H,m),7.42-7.51(2H,m),8.06(1H,m).

10 IR(KBr):3447,3087,2987,2922,2868,1629,1545,1501 /cm.

$[\alpha]_D$=+52.9° (MeOH,c=1.01,24℃).

No.2a-98

$[\alpha]_D$=+53.2° (MeOH,c=1.02,23℃).

15

No.2a-99

CDCl$_3$ 300MHz

0.97(1H,d,J=10.2Hz),1.12 and 1.22(each 3H,each s),1.26-2.45(24H,m),4.2

No.2a-102

$[\alpha]_D$=+48.8° (MeOH,c=1.01,23℃).

No.2a-103

5 CDCl$_8$ 300MHz

0.94(1H,d,J=10.2Hz),1.12 and 1.22(each 3H,each s),1.52-2.46(14H,m),2.4
8(3H,d,J=0.3Hz),4.20(1H,m),5.32-5.54(2H,m),6.46(1H,brs),7.12(1H,d,J=9.0
Hz).

IR(CHCl$_8$):3415,3144,3029,3011,2926,2871,1708,1671,1598,1538,14564 /cm

10 .

$[\alpha]_D$=+49.6° (MeOH,c=1.01,23℃).

No.2a-104

$[\alpha]_D$=+77.0° (MeOH,c=1.02,23℃).

15

No.2a-105

CDCl$_8$ 300MHz

93(1H,d,J=9.9Hz),1.09 and 1.21(each 3H,each s),1.51-2.44(14H,m),3.90(6
H,s),4.20(1H,m),5.38-5.50(2H,m),5.87(1H,d,J=9.0Hz),6.25 and 7.54

20 (each 1H,each d,J=15.6Hz),6.84(1H,d,J=8.1Hz),7.03(1H,d,J=1.8Hz),7.09(1
H,dd,J=1.8 and 8.1Hz).

IR(CHCl$_8$):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513
/cm.

$[\alpha]_D$=+77.3° (MeOH,c=1.01,23℃).

25

No.2a-106

$[\alpha]_D$=+67.0° (MeOH,c=1.00,25℃).

No.2a-107

$[\alpha]_D$=+66.6° (MeOH,c=1.01,24℃).

m.p.168.0-170.0℃

No.2a-108

5 $[\alpha]_D$=+61.8° (MeOH,c=1.00,22℃).

No.2a-109

CDCl$_8$ 300MHz

0.96(1H,d,J=10.2Hz),1.10 and 1.22(each 3H,each s),1.51-2.45(14H,m),4.2

10 5(1H,m),5.33-5.49(2H,m),6.21(1H,d,J=8.7Hz),7.25 and 7.60(each 2H,each

d,J=8.7Hz),7.33-7.41(5H,s).

IR(CHCl$_8$):3453,3062,3028,3014,2925,2870,1739,1708,1651,1594,1557,1515,

1481 /cm.

$[\alpha]_D$=+61.0° (MeOH,c=1.01,22℃).

15

No.2a-110

CD$_8$OD 300MHz

0.94(1H,d,J=9.9Hz),1.13 and 1.22(each 3H,each s),1.54-2.37(14H,m),4.12(

1H,m),5.38-5.49(2H,m),7.25 and 7.68(each 2H,each d,J=8.7Hz),7.41(5H,s)

20 .

IR(KBr):3435,3058,2986,2920,2866,1635,1595,1562,1521,1482,1439,1411 /c

m.

$[\alpha]_D$=+47.3° (MeOH,c=1.01,23℃).

25 No.2a-111

$[\alpha]_D$=+65.6° (MeOH,c=1.01,24℃).

0.97(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.51-2.46(14H,m),4.2
7(1H,m),5.35-5.50(2H,m),6.22(1H,d,J=8.4Hz),7.40 and 7.66(each 2H,each
d,J=9.0Hz).

IR(CHCl$_3$):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513
/cm.

[α]$_D$=+65.6° (MeOH,c=1.01,22℃).

No.2a-113

[α]$_D$=+59.6° (MeOH,c=1.00,24℃).

No.2a-114

CDCl$_3$ 300MHz

0.98(1H,d,J=10.2Hz),1.12 and 1.24(each 3H,each s),1.52-2.46(14H,m),4.2
9(1H,m),5.35-5.51(2H,m),6.28(1H,d,J=8.4Hz),7.70 and 7.83(each 2H,each
d,J=8.4Hz).

IR(CHCl$_3$):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513
/cm.

[α]$_D$=+60.6° (MeOH,c=1.01,22℃).

No.2a-115

[α]$_D$=+59.7° (MeOH,c=0.99,24℃).

No.2a-116

CDCl$_3$ 300MHz

0.97(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.52-2.46(14H,m),2.3
9(3H,s),4.27(1H,m),5.33-5.51(2H,m),6.24(1H,d,J=9.0Hz),7.23 and 7.62
(each 2H,each d,J=8.4Hz).

IR(CHCl$_3$):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513/
cm.

$[\alpha]_D=+59.7°$ (MeOH,c=0.99,24℃).

No.2a-117

$[\alpha]_D=+56.7°$ (MeOH,c=1.00,23℃).

5

No.2a-118

CDCl$_3$ 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.53-2.44(14H,m),4.2

3(1H,m),5.34-5.51(2H,m),6.02(2H,s),6.13(1H,d,J=8.7Hz),6.83(1H,dd,J=1.2

10 and 7.8Hz),7.22-7.25(2H,m).

IR(CHCl$_3$):3453,3031,3020,3012,2924,2870,1740,1708,1650,1619,1605,1519,

1504,1480 /cm.

$[\alpha]_D=+57.2°$ (MeOH,c=1.02,23℃).

15 No.2a-119

CDCl$_3$ 300MHz

0.96(1H,d,J=10.5Hz),1.07 and 1.23(each 3H,each s),1.51-2.44(14H,m),2.3

2(3H,s),4.26(1H,m),5.37-5.52(2H,m),6.40(1H,d,J=9.0Hz),7.09(1H,m),7.30(1

/cm.

$[\alpha]_D=+46.3°$ (MeOH,c=1.01,21°C).

No.2a-121

5   CDCl$_3$ 300MHz

0.98(1H,d,J=10.2Hz),1.14 and 1.23(each 3H,each s),1.47-2.47(14H,m),3.9
5(3H,s),4.31(1H,m),5.32-5.50(2H,m),6.98(1H,dd,J=0.9 and 8.4Hz),7.09(1H,
ddd,J=0.9,7.7 and 8.4Hz),7.45(1H,m),8.19(1H,dd,J=2.1 and 8.1Hz),8.32(1
H,d,J=9.0Hz).

10   IR(CHCl$_3$):3400,3078,3028,3020,3007,2924,2870,2842,1736,1708,1640,1600,
1536,1483,1470 /cm.

$[\alpha]_D=+38.1°$ (MeOH,c=1.02,23°C).

No.2a-122

15   $[\alpha]_D=+42.3°$ (MeOH,c=0.99,23°C).

No.2a-123

$[\alpha]_D=+38.7°$ (MeOH,c=1.00,21°C).

20   No.2a-124

$[\alpha]_D=+45.0°$ (MeOH,c=1.01,21°C).

m.p.119.0-120.0°C

No.2a-125

25   $[\alpha]_D=+49.8°$ (MeOH,c=1.01,22°C).

No.2a-126

CDCl$_3$ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.52-2.47(14H,m),4.2

6(1H,m),5.34-5.50(2H,m),6.22(1H,d,J=8.7Hz),7.55-7.61(4H,m).

IR(CHCl$_3$):3400,3078,3028,3020,3007,2924,2870,2842,1736,1708,1640,1600,
1536,1483,1470 /cm.

$[\alpha]_D$=+63.0° (MeOH,c=1.01,23℃).

5

No.2a-127

CDCl$_3$ 300MHz

0.91(1H,d,J=10.2Hz),1.10 and 1.20(each 3H,each s),1.50-2.42(14H,m),4.2
3(1H,m),5.31-5.51(2H,m),6.45(1H,d,J=8.4Hz),7.01(1H,t,J=7.4Hz),7.22-7.27(

10   2H,m),7.33-7.40(4H,m),7.53(2H,d,J=9.0Hz),8.30 and 8.48(each 1H,each s)

.

IR(CHCl$_3$):3452,3028,3022,3015,2925,2870,1708,1654,1590,1514,1478 /cm.
$[\alpha]_D$=+59.5° (MeOH,c=1.01,23℃).

15   No.2a-128

d$_6$-DMSO 300MHz

0.84(1H,d,J=9.9Hz),1.06 and 1.19(each 3H,each s),1.37-2.37(14H,m),3.79(
1H,m),5.35-5.51(2H,m),6.08(1H,d,J=8.7Hz),6.85-6.90(1H,m),7.18-7.23(2H,m
),7.35-7.38(2H,m),8.42(1H,s),12.00(1H,s).

20   IR(Nujol):3395,3345,2925,2866,2623,2506,1697,1658,1638,1597,1557 /cm.
$[\alpha]_D$=+26.0° (MeOH,c=1.01,23℃).
m.p.164.0-166.0℃

No.2a-129

25   CDCl$_3$ 300MHz

1.01(1H,d,J=10.0Hz),1.17 and 1.25(each 3H,each s),1.54-2.52(14H,m),4.3
4(1H,m),5.36-5.57(2H,m),6.42(1H,d,J=8.6Hz),7.51-7.60(2H,m),7.77(1H,dd,J
=1.8 and 8.6Hz),7.85-7.96(3H,m),8.24(1H,brs).

IR(CHCl$_3$):3451,3060,3028,3010,2925,2870,1708,1652,1629,1600,1517,1502

/cm.

$[\alpha]_D=+68.6°$ (MeOH,c=1.00,22℃).

No.2a-130

5   CDCl$_3$ 300MHz

1.02(1H,d,J=10.2Hz),1.04 and 1.26(each 3H,each s),1.54-2.52(14H,m),4.4
1(1H,m),5.41-5.58(2H,m),6.14(1H,d,J=9.0Hz),7.43-7.59(4H,m),7.85-7.92(2H,
m),8.27(1H,dd,J=1.8 and 7.2Hz).

IR(CHCl$_3$):3436,3032,3010,2924,2870,2664,1708,1652,1512,1498 /cm.

10   $[\alpha]_D=+93.9°$ (MeOH,c=1.00,22℃)

m.p.94.0-96.0℃

No.2a-131

$[\alpha]_D=+50.2°$ (MeOH,c=0.95,21℃).

15

No.2a-132

$[\alpha]_D=+10.9°$ (MeOH,c=0.92,21℃).

No.2a-133

20   $[\alpha]_D=+60.4°$ (MeOH,c=1.00,21℃).

No.2a-134

$[\alpha]_D=+38.5°$ (MeOH,c=1.01,23℃).

25   No.2a-135

$[\alpha]_D=+52.5°$ (MeOH,c=1.01,23℃).

m.p.180.0-182.0℃

No.2a-136

$[\alpha]_D$=+35.3° (MeOH,c=1.02,23℃).

m.p.79.0-80.0℃

No.2a-137

5 CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.43(3H,t,J=6.9Hz),1.

52-2.44(14H,m),4.03(2H,q,J=6.9Hz),4.26(1H,m),5.33-5.50(2H,m),6.19(1H,d,

J=8.7Hz),6.88-7.00(6H,m),7.65-7.68(2H,m).

IR(CHCl₃):3455,3031,3024,3014,2988,2925,2870,1741,1708,1649,1602,1521,

10 1504,1490 /cm.

$[\alpha]_D$=+52.0° (MeOH,c=1.01,23℃).

No.2a-138

CDCl₃ 300MHz

15 0.97(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.35(6H,d,J=6.0Hz),1.

53-2.46(14H,m),4.25(1H,m),4.51(1H,m),5.33-5.50(2H,m),6.12(1H,d,J=9.0Hz

),6.87-6.99(6H,m),7.65-7.68(2H,m).

IR(CHCl₃):3454,3031,3014,2980,2925,2870,1741,1708,1649,1602,1522,1490

No.2a-140

CDCl$_3$ 300MHz

0.97(1H,d,J=10.2Hz),1.18 and 1.23(each 3H,each s),1.57-2.50(14H,m),4.3
5(1H,m),5.32-5.55(2H,m),6.42(1H,d,J=8.7Hz),6.70(1H,d,J=1.5Hz),7.21-7.24(
2H m),7.46(1H,m),7.76(1H,m),7.86(1H,d,J=3.0Hz),10.20(1H,s).

IR(CHCl$_3$):3465,3010,2924,1739,1604,1546,1504 /cm.

[α]$_D$=+39.4° (MeOH,c=1.01,22℃).

m.p.167.0-168.0℃

No.2a-141

CDCl$_3$ 300MHz

0.99(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.55-2.44(14H,m),3.8
4(3H,s),4.27(1H,m),5.34-5.52(2H,m),6.28(1H,d,J=9.0Hz),6.91 and 7.47
(each 2H,each d,J=9.0Hz),6.98 and 7.14(each 1H,each d,J=16.5Hz),7.54
and 7.70(each 2H,eachd,J=8.7Hz).

IR(CHCl$_3$):3453,3025,3015,2925,2870,2839,1740,1708,1649,1602,1510,1493,
1470 /cm.

[α]$_D$=+73.4° (MeOH,c=1.02,22℃).

m.p.155.0-157.0℃

No.2a-142

CDCl$_3$ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.52-2.45(14H,m),3.7
9(3H,s),4.27(1H,m),5.34-5.50(2H,m),6.24(1H,d,J=9.0Hz),6.49 and 6.62
(each 1H each d,J=12.3Hz),6.77 and 7.16(each 2H,each d,J=8.7Hz),7.32
and 7.59(each 2H,eachd,J=8.1Hz).

IR(CHCl$_3$):3453,3025,3014,2925,2870,2839,1739,1708,1649,1606,1510,
1494 /cm.

$[\alpha]_D$=+60.7° (MeOH,c=0.99,22°C).

No.2a-143

$[\alpha]_D$=+57.3° (MeOH,c=1.01,23°C).

5

No.2a-144

$[\alpha]_D$=+12.2° (MeOH,c=1.00,23°C).

m.p.114.0-116.0°C

10   No.2a-145

CDCl$_3$ 300MHz

0.95(1H,d,J=10.2Hz),1.10 and 1.21(each 3H,each s),1.52-2.44(14H,m),4.2
5(1H,m),5.33-5.49(2H,m),6.37(1H,d,J=8.7Hz),7.45-7.47(3H,m),7.62-7.66(2H,
m),7.69 and 7.80(each 2H,each d,J=7.5Hz,).

15   IR(CHCl$_3$):3449,3058,3027,3012,2925,2870,1708,1655,1513,1481,1043 /cm.

$[\alpha]_D$=+61.0° (MeOH,c=1.01,23°C).

No.2a-146

CDCl$_3$ 300MHz

20   0.95(1H,d,J=10.5Hz),1.09 and 1.21(each 3H,each s),1.50-2.41(14H,m),4.2
5(1H,m),5.33-5.49(2H,m),6.33(1H,d,J=8.4Hz),7.49-7.61(3H,m),7.91-7.92(2H,
m),7.82 and 7.97(each 2H,each d,J=8.7Hz,).

IR(CHCl$_3$):3447,3029,3023,3015,2925,2870,1708,1660,1514,1484,1321,1161
/cm.

25    $[\alpha]_D$=+62.0° (MeOH,c=1.00,22°C).

No.2a-147

CDCl$_3$ 300MHz

0.97(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.52-2.46(14H,m),2.5

1(3H,s),4.26(1H,m),5.34-5.51(2H,m),6.23(1H,d,J=8.4Hz),7.26 and 7.64
(each 2H,each d,J=8.4Hz).

IR(CHCl$_3$):3453,3027,3015,2925,2870,2665,1708,1648,1596,1516,1484 /cm.

[α]$_D$=+67.7° (MeOH,c=0.82,22℃).

5

No.2a-148

[α]$_D$=+72.5° (MeOH,c=1.01,25℃).

No.2a-149

10 [α]$_D$=+67.8° (MeOH,c=0.98,25℃).

No.2a-150

CDCl$_3$ 300MHz

0.94(1H,d,J=10.2Hz),1.10 and 1.23(each 3H,each s),1.52-2.50(14H,m),4.2

15 2(1H,m),5.36-5.55(2H,m),6.48(1H,d,J=8.4Hz),8.35(1H,s),8.90(1H,s).

IR(CHCl$_3$):3443,3374,3091,3024,3012,2925,2871,1709,1652,1525,1494 /cm.

[α]$_D$=+58.1° (MeOH,c=1.01,23℃).

m.p.120.0-122.0℃

20 No.2a-151

[α]$_D$=+40.6° (MeOH,c=1.01,23℃).

No.2a-152

CDCl$_3$ 300MHz

25 0.96(1H,d,J=10.5Hz),1.10 and 1.24(each 3H,each s),1.50-2.50(14H,m),2.7

1(3H,s),4.26(1H,m),5.37-5.51(2H,m),6.02(1H,d,J=9.0Hz),8.73(1H,s).

IR(CHCl$_3$):3463,3435,3087,3025,3014,2925,2870,1708,1649,1523,1503 /cm.

[α]$_D$=+54.1° (MeOH,c=1.02,22℃).

No.2a-153

CDCl$_3$ 300MHz

0.95(1H,d,J=9.9Hz),1.11 and 1.23(each 3H,each s),1.50-2.50(14H,m),2.50(

3H,s),4.26(1H,m),5.36-5.51(2H,m),6.01(1H,d,J=8.4Hz),6.88(1H,d,J=5.1Hz),

5 7.26(1H,d,J=5.1Hz).

IR(CHCl$_3$):3469,3431,3025,3013,2925,2871,2664,1708,1639,1544,1505 /cm.

[α]$_D$=+35.8° (MeOH,c=1.03,22℃).

No.2a-154

10 CDCl$_3$ 300MHz

0.95(1H,d,J=9.9Hz),1.10 and 1.22(each 3H,each s),1.52-2.46(14H,m),2.51(

3H,d,J=1.2Hz),4.26(1H,m),5.34-5.50(2H,m),6.00(1H,d,J=8.4Hz),6.73(1H,dd,

J=5.1 and 3.6Hz),7.29(1H,d,J=3.6Hz).

IR(CHCl$_3$):3450,3431,3026,3011,2925,2869,1739,1708,1639,1547,1508 /cm.

15 [α]$_D$=+50.5° (MeOH,c=1.01,22℃).

No.2a-155

CDCl$_3$ 300MHz

0.99(1H,d,J=10.2Hz),1.19 and 1.25(each 3H,each s),1.53-2.48(14H,m),4.3

20 1(1H,m),5.36-5.51(2H,m),6.79(1H,d,J=9.3Hz),7.29(1H,m),7.41(1H,m),7.48(1

H,s),7.51(1H,m),7.66(1H,d,J=8.1Hz).

IR(CHCl$_3$):3436,3030,3024,3015,2925,2871,2670,1708,1650,1508,1510 /

IR(KBr):3422,3115,2985,2922,2869,2609,1708,1636,1578,1529,1470 /cm.

$[\alpha]_D$=+62.8° (MeOH,c=1.01,22℃).

No.2a-157

5 $[\alpha]_D$=+40.0° (MeOH,c=0.95,22℃).

No.2a-158

CDCl$_8$ 300MHz

1.00(1H,d,J=10.5Hz),1.17 and 1.24(each 3H,each s),1.54-2.50(14H,m),4.3

10 4(1H,m),5.36-5.52(2H,m),7.80(1H,d,J=9.0Hz),9.30(1H,s).

IR(CHCl$_3$):3410,3122,3030,3012,2925,2871,2668,1709,1667,1538,1466 /cm.

$[\alpha]_D$=+44.9° (MeOH,c=0.99,22℃).

No.2a-159

15 CDCl$_3$ 300MHz

0.97(1H,d,J=10.2Hz),1.13 and 1.22(each 3H,each s),1.55-2.43(14H,m),3.0

3(6H,s),4.23(1H,m),5.32-5.51(2H;m),6.16(1H,d,J=8.7Hz),6.87 and 7.63

(each 2H,each d,J=8.7Hz).

IR(CHCl$_3$):3457,3028,3006,2924,2870,2654,1739,1709,1637,1608,1608,1534,

20 1501 /cm.

$[\alpha]_D$=+64.8° (MeOH,c=1.01,22℃).

No.2a-160

d$_6$-DMSO 300MHz

25 0.83(1H,d,J=9.9Hz),1.02 and 1.19(each 3H,each s),1.38-1.61(3H,m),1.90-2.

32(11H,m),3.90(1H,m),5.41-5.44(2H,m),7.32(1H,dd,J=0.9 and 7.2Hz),7.45-

7.60(2H,m),7.77(1H,dd,J=0.9 and 7.8Hz),8.03(1H,d,J=6.9Hz),12.40(1H,s).

IR(Nujol):3315,2924,2856,2656,2535,1737,1703,1637,1598,1581,1541 /cm.

$[\alpha]_D$=+78.5° (MeOH,c=1.01,24℃).

m.p.161.0-162.0℃

No.2a-161

$[\alpha]_D$=+65.3° (MeOH,c=1.00,22℃).

5

No.2a-162

CDCl$_3$ 300MHz

0.99(1H,d,J=10.2Hz),1.13 and 1.25(each 3H,each s),1.53-2.45(14H,m),4.3

0(1H,m),5.36-5.51(2H,m),6.32(1H,d,J=8.4Hz),7.88 and 8.28(each 2H,each

10   d,J=9.0Hz).

IR(CHCl$_3$):3448,3029,3016,2925,2870,1708,1664,1602,1527,1484,1347 /cm.

$[\alpha]_D$=+72.7° (MeOH,c=1.02,22℃).

No.2a-163

15 CDCl$_3$ 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.55-2.51(14H,m),4.2

6(1H,m),5.36-5.57(2H,m),6.68(1H,d,J=7.8Hz),7.41(1H,dd,J=4.8 and 8.1Hz),

8.20(1H,d,J=8.1Hz),8.66(1H,d,J=4.8Hz),9.00(1H,s).

IR(CHCl₃):3447,3346,3028,3016,2925,2870,2538,1941,1708,1662,1556,1516 /cm.

$[\alpha]_D$=+75.4° (MeOH,c=1.01,22℃).

5 No.2a-166

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.51-2.44(14H,m),2.9
5(6H,s),4.25(1H,m),5.33-5.50(2H,m),6.19(1H,d,J=8.7Hz),6.77 and 6.97
(each 2H,each d,J=8.4Hz),6.94 and 7.65(each 2H,each d,J=9.0Hz).

10 IR(CHCl₃):3453,3024,3016,2924,2871,2806,1739,1708,1647,1612,1604,1515,
1490 /cm.

$[\alpha]_D$=+53.1° (MeOH,c=1.02,23℃).

m.p.104.0-105.5℃

15 No.2a-167

CDCl₃ 300MHz

1.01(1H,d,J=9.9Hz),1.19 and 1.26(each 3H,each s),1.56-2.53(14H,m),4.37(
1H,m),5.35-5.55(2H,m),6.47(1H,d,J=8.4Hz),7.61-7.71(2H,m),7.79(2H,s),7.89
-7.97(2H,m),8.27(1H,d,J=2.1Hz),8.66-8.73(2H,m).

20 IR(CHCl₃):3450,3024,3014,2925,2870,2667,1707,1650,1531,1509 /cm.

$[\alpha]_D$=+70.5° (MeOH,c=1.00,22℃).

No.2a-168

CDCl₃ 300MHz

25 1.02(1H,d,J=10.2Hz),1.20 and 1.26(each 3H,each s),1.56-2.50(14H,m),4.3
8(1H,m),5.36-5.56(2H,m),6.51(1H,d,J=8.4Hz),7.61-7.93(7H,m),8.74(1H,d,J=
8.4Hz),9.15(1H,s).

IR(CHCl₃):3517,3451,3060,3028,3011,2925,2870,2664,1709,1651,1519,1498/
cm.

$[\alpha]_D$=+54.4° (MeOH,c=1.00,23℃).

No.2a-169

CDCl$_3$ 300MHz

5   0.96(1H,d,J=10.5Hz),1.09 and 1.21(each 3H,each s),1.50-2.44(14H,m),3.8

5(3H,s),4.24(1H,m),5.32-5.48(2H,m),6.19(1H,d,J=8.4Hz),6.94 and 7.45   (

each 2H,each d,J=9.0Hz),7.11 and 7.45(each 2H,each d,J=8.7Hz).

IR(CHCl$_3$):3516,3453,3029,3009,2925,2870,2840,2665,1708,1650,1593,1515,

1493,1482 /cm.

10   $[\alpha]_D$=+57.8° (MeOH,c=1.00,23℃).

No.2a-170

CDCl$_3$ 300MHz

0.98(1H,d,J=10.2Hz),1.15 and 1.24(each 3H,each s),1.52-2.50(14H,m),4.2

15   8(1H,m),5.33-5.54(2H,m),6.25(1H,d,J=8.2Hz),7.38-7.44(2H,m),7.74(1H,s),7.

81-7.86(2H,m).

IR(CHCl$_3$):3517,3448,3427,3024,3013,2925,2870,2669,1708,1650,1562,1535,

1500 /cm.

$[\alpha]_D$=+61.6° (MeOH,c=1.00,23℃).

20

No.2a-171

CDCl$_3$ 300MHz

CDCl$_3$ 300MHz

0.96(1H,d,J=10.2Hz),1.09 and 1.28(each 3H,each s),1.50-2.40(14H,m),2.6
9(3H,s),4.24(1H,m),5.35-5.51(2H,m),5.96(1H,d,J=8.7Hz),7.03 and 7.07 (
each 1H,each d,J=5.4Hz).

5   IR(CHCl$_3$):3451,3031,3013,2925,2870,2666,1708,1647,1542,1497 /cm.
$[\alpha]_D$=+51.2° (MeOH,c=1.00,23℃).

No.2a-173

CDCl$_3$ 300MHz

10   0.95(1H,d,J=10.2Hz),1.10 and 1.23(each 3H,each s),1.50-2.45(14H,m),4.2
2(1H,m),5.35-5.49(2H,m),6.05(1H,d,J=8.4Hz),7.26 and 7.75(each 1H,each
 d,J=1.5Hz).
IR(CHCl$_3$):3451,3011,3029,3011,2925,2870,1708,1652,1538,1500 /cm.
$[\alpha]_D$=+50.6° (MeOH,c=1.01,23℃).

15

No.2a-174

CDCl$_3$ 300MHz

0.96(1H,d,J=10.2Hz),1.13 and 1.23(each 3H,each s),1.52-2.50(14H,m),4.2
9(1H,m),5.35-5.51(2H,m),7.02(1H,d,J=8.4Hz),7.32 and 8.16(each 1H,each
20   d,J=3.9Hz).
IR(CHCl$_3$):3417,3115,3023,3014,2925,2870,1708,1645,1530 /cm.
$[\alpha]_D$=+48.8° (MeOH,c=1.02,23℃).

No.2a-175

25   CDCl$_3$ 300MHz

0.97(1H,d,J=10.2Hz),1.14 and 1.23(each 3H,each s),1.50-2.52(14H,m),2.5
2(3H,s),4.29(1H,m),5.34-5.51(2H,m),7.78(1H,d,J=9.0Hz),7.24 and 7.52   (
each 1H,each d,J=5.4Hz).
IR(CHCl$_3$):3329,3093,3023,3015,2924,2871,1708,1640,1526 /cm.

$[\alpha]_D$=+45.0° (MeOH,c=1.01,23℃).

No.2a-176

CDCl$_3$ 300MHz

5 0.95(1H,d,J=10.5Hz),1.09 and 1.23(each 3H,each s),1.52-2.46(14H,m),2.4
0(3H,d,J=0.9Hz),4.24(1H,m),5.35-5.51(2H,m),6.05(1H,d,J=8.7Hz),6.95(1H,
m),7.57(1H,d,J=3.3Hz).

IR(CHCl$_3$):3517,3444,3103,3024,3013,2926,2870,1739,1708,1649,1636,1507/
cm.

10 $[\alpha]_D$=+54.8° (MeOH,c=1.01,23℃).

m.p.97.0-99.0℃

No.2a-177

CDCl$_3$ 300MHz

15 0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.52-2.45(14H,m),3.9
3(3H,s),4.27(1H,m),5.34-5.50(2H,m),6,35(1H,d,J=3.3Hz),7.80(1H,d,J=8.7Hz
),8.10(1H,d,J=3.3Hz).

IR(CHCl$_3$):3395,3121,3031,3019,3012,2925,2871,1739,1709,1640,1557,1533
/cm.

20 $[\alpha]_D$=+22.8° (MeOH,c=1.01,23℃).

m.p.109.0-112.0℃

No.2a-178

CDCl$_3$ 300MHz

25 0.96(1H,d,J=10.5Hz),1.10 and 1.23(each 3H,each s),1.51-2.45(14H,m),4.2
4(1H,m),5.35-5.50(2H,m),6.09(1H,d,J=8.4Hz),7.17-7.31(6H,m),7.95(1H,d,J=
1.5Hz).

IR(CHCl$_3$):3510,3451,3060,3021,3020 ... 300

$[\alpha]_D$=+47.9° (MeOH,c=1.01,25℃).

No.2a-179

CDCl$_3$ 300MHz

5   0.96(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.52-2.48(14H,m),4.3
0(1H,m),5.36-5.52(2H,m),6.73(1H,d,J=9.0Hz),6.26 and 7.37(each 1H,each
   d,J=6.0Hz).

IR(CHCl$_3$):3509,3429,3115,3094,3025,3014,2925,2871,2666,1708,1649,1529,
1510 /cm.

10 $[\alpha]_D$=+51.0° (MeOH,c=1.02,25℃).

No.2a-180

CDCl$_3$ 300MHz

0.95(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.52-2.46(14H,m),3.8

15 9(3H,s),4.21(1H,m),5.35-5.50(2H,m),6.05(1H,d,J=8.4Hz),6.46 and 7.04   (
 each 1H,each d,J=1.8Hz).

IR(CHCl$_3$):3516,3450,3114,3031,3010,2925,2871,1708,1648,1546,1511,1477
 /cm.

$[\alpha]_D$=+49.1° (MeOH,c=1.01,25℃).

20

No.2a-181

CDCl$_3$ 300MHz

0.97(1H,d,J=10.2Hz),1.14 and 1.23(each 3H,each s),1.52-2.48(14H,m),2.4
2(3H,s),4.31(1H,m),5.34-5.52(2H,m),8.07(1H,d,J=9.3Hz),7.27 and 8.17   (

25 each 1H,each d,J=3.3Hz).

IR(CHCl$_3$):3510,3301,3112,3023,3007,2924,2871,2663,1708,1636,1534 /cm.
$[\alpha]_D$=+41.0° (MeOH,c=0.96,25℃).

No.2a-182

CDCl$_3$ 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.53-2.46(14H,m),2.5
1(3H,s),4.21(1H,m),5.35-5.51(2H,m),6.05(1H,d,J=8.1Hz),7.26 and 7.78 (
each 1H,each d,J=1.8Hz).

5　IR(CHCl$_3$):3509,3450,3109,3024,3012,2925,2870,2666,1708,1650,1535,1
498,1471 /cm.

[α]$_D$=+52.9° (MeOH,c=0.95,25℃).

No.2a-183

10　CDCl$_3$ 300MHz

0.96(1H,d,J=10.5Hz),1.12 and 1.22(each 3H,each s),1.52-2.46(14H,m),4.2
5(1H,m),5.33-5.51(2H,m),6.17(1H,d,J=8.7Hz),7.01-7.05(3H,m).7.14 and 7.6
2(each 2H,each d,J=8.7Hz),7.27-7.34(2H,m).

IR(CHCl$_3$):3428,3026,3015,2925,2870,2666,1739,1708,1643,1613,1594,1526,

15　1499 /cm.

[α]$_D$=+64.8° (MeOH,c=1.02,23℃).

No.2a-184

CDCl$_3$ 300MHz

20　1.01(1H,d,J=10.2Hz),1.18 and 1.26(each 3H,each s),1.55-2.50(14H,m),4.3

4(1H,m),5.35-5.54(2H,m),6.36(1H,d,J=8.7Hz),7.37(1H,t,J=7.4Hz),7.50(1H,m

),7.57-7.59(2H,m),7.79(1H,dd,J=1.8 and 8.1Hz),7.99(1H,d,J=7.8Hz),8.39(1

H,d,J=1.8Hz).

IR(CHCl$_3$):3451,3030,3020,2870,2665,1708,1652,1632,1603,1586,1514,1469,

5   1448 /cm.

[α]$_D$=+59.4° (MeOH,c=1.01,24℃).

No.2a-186

CDCl$_3$ 300MHz

10   1.00(1H,d,J=10.5Hz),1.17 and 1.25(each 3H,each s),1.54-2.50(14H,m),4.3

3(1H,m),5.35-5.54(2H,m),6.37(1H,d,J=8.7Hz),7.37(1H,t,J=7.4Hz),7.51(1H,t,

J=7.8Hz),7.56(1H,m),7.70(1H,dd,J=1.2 and 8.4Hz),7.97(3H,m).

IR(CHCl$_3$):3451,3030,3014,2924,2870,2671,1739,1708,1652,1577,1517,1488,

1471 /cm.

15   [α]$_D$=+72.2° (MeOH,c=1.00,24℃).

No.2a-187

CDCl$_3$ 300MHz

1.00(1H,d,J=9.8Hz),1.18 and 1.25(each 3H,each s),1.54-2.53(14H,m),4.07(

20   3H,s),4.37(1H,m),5.30-5.54(2H,m),7.34(1H,m),7.47(1H,s),7.47-7.60(2H,m),7.

93(1H,d,J=7.8Hz),8.43(1H,s),8.49(1H,d,J=9.0Hz).

IR(CHCl$_3$):3397,3074,3027,3020,3009,2924,1738,1708,1647,1633,1534,1465,

1453 /cm.

[α]$_D$=+43.7° (MeOH,c=1.01,25℃).

25

No.2a-188

CDCl$_3$ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.53-2.50(14H,m),4.2

3(1H,m),5.37-5.50(2H,m),6.10(1H,d,J=9.0Hz),6.20(1H,m),6.51(1H,m),6.97(1

H,m),10.81(1H,brs).

IR(CHCl₃):3450,3236,3112,3029,3015,2925,2871,2645,1701,1616,1558,1516 /cm.

$[\alpha]_D$=+50.6° (MeOH,c=1.01,24℃).

5

No.2a-189

CDCl₃ 300MHz

0.94(1H,d,J=9.9Hz),1.11 and 1.23(each 3H,each s),1.50-2.46(14H,m),3.93(3H,s),4.18(1H,m),5.35-5.52(2H,m),6.03(1H,d,J=9.3Hz),6.09(1H,m),6.48(1H,

10   m),6.73(1H,m).

IR(CHCl₃):3452,3102,3028,3007,2925,2871,2666,1739,1708,1650,1536,1499, 1471 /cm.

$[\alpha]_D$=+49.8° (MeOH,c=1.01,23℃).

m.p.101.5-103.5℃

15

No.2a-190

CDCl₃ 300MHz

0.94(1H,d,J=10.2Hz),1.11 and 1.21(each 3H,each s),1.54-2.47(14H,m),4.2 3(1H,m),5.33-5.52(2H,m),6.06(1H,d,J=9.0Hz),6.34(1H,m),6.75(1H,m),6.36(1

20   H,m),9.71(1H,brs).

IR(CHCl₃):3470,3215,3030,3020,3010,2925,2871,2664,1709,1613,1564,1510/ cm.

$[\alpha]_D$=+43.3° (MeOH,c=1.01,24℃).

IR(CHCl₃):3452,3031,3018,3006,2925,2871,2662,1736,1710,1634,1609,1556, 1498 /cm.

$[\alpha]_D$=+43.1° (MeOH,c=1.01,23℃).

5   No.2a-192

CDCl₃ 300MHz

0.96(1H,d,J=10.5Hz),1.11 and 1.21(each 3H,each s),1.43(3H,t,J=7.5Hz),1. 54-2.44(14H,m),3.93(2H,q,J=7.5Hz),4.21(1H,m),5.33-5.51(2H,m),5.94(1H,d, J=8.4Hz),6.27(1H,dd,J=1.8 and 2.7Hz),6.62(1H,t,J=2.7Hz),7.26(1H,t,J=1.8

10   Hz).

IR(CHCl₃):3630,3452,3032,3018,3006,2925,2871,2661,1735,1710,1633,1610, 1555,1497 /cm.

$[\alpha]_D$=+40.1° (MeOH,c=1.00,23℃).

15   No.2a-193

CDCl₃ 300MHz

0.95(1H,d,J=10.2Hz),1.10 and 1.22(each 3H,each s),1.53-2.49(14H,m),2.5 8(3H,s),4.21(1H,m),5.35-5.54(2H,m),6.15(1H,d,J=8.1Hz),6.52(1H,dd,J=1.8 and 3.6Hz),7.29(1H,t,J=3.6Hz),7.94(1H,t,J=1.8Hz).

20   IR(CHCl₃):3516,3450,3410,3152,3027,3015,2925,2871,2670,1732,1648,1574, 1509 /cm.

$[\alpha]_D$=+45.0° (MeOH,c=1.01,25℃).

No.2a-194

25   CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz),1.11 and 1.24(each 3H,each s),1.52-2.53(14H,m),4.3 4(1H,m),5.33-5.57(2H,m),6.21(1H,d,J=8.6Hz),7.35-7.50(2H,m),7.83(1H,s),7. 86(1H,m),.8.31(1H,m).

IR(CHCl₃):3443,3067,3013,2925,2870,2665,1708,1651,1515,1493 /cm.

$[\alpha]_D$=+55.7° (MeOH,c=1.01,23℃).

No.2a-195

CDCl$_3$ 300MHz

5   1.01(1H,d,J=10.0Hz),1.06 and 1.26(each 3H,each s),1.50-2.64(14H,m),2.6
8(3H,s),4.40(1H,m),5.36-5.61(2H,m),6.02(1H,d,J=9.4Hz),7.30-7.42(2H,m),7.
73-7.86(2H,m).

IR(CHCl$_3$):3510,3434,3062,3029,3014,2924,2871,2669,1708,1650,1563,1539,
1500 /cm.

10   $[\alpha]_D$=+72.4° (MeOH,c=1.00,23℃).

m.p.111.0-112.0℃

No.2a-196

CDCl$_3$ 300MHz

15   0.42 and 1.04(each 3H,each s),0.80(1H,d,J=10.0Hz),1.11-2.48(14H,m),2.2
4(3H,s),4.02(1H,m),5.23-5.44(2H,m),5.53(1H,d,J=8.8Hz),7.27-7.31(2H,m),7.
42-7.48(3H,m),7.93(1H,s).

IR(CHCl$_3$):3419,3114,3025,3006,2924,2871,2662,1737,1709,1636,1540,1519
/cm.

No.2a-198

CDCl$_3$ 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.50-2.44(14H,m),4.2
4(1H,m),4.42(2H,s),5.35-5.49(2H,m),6.25(1H,d,J=8.1Hz),7.33(1H,m),7.43(1
H,dd,J=1.5and 7.5Hz),7.49(1H,d,J=8.1Hz),7.60-7.63(1H,m),7.68(1H,dd,J=1.
8 and 7.8Hz),8.02(1H,d,J=1.8Hz),8.19(1H,dd,J=1.5 and 8.1Hz).

IR(CHCl$_3$):3448,3030,3012,2925,2870,1739,1708,1671,1588,1559,1514,1472
/cm.

[α]$_D$=+56.9° (MeOH,c=1.01,24℃).

No.2a-199

CDCl$_3$ 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.51-2.46(14H,m),3.4
0(1H,m),3.76(1H,m),4.24(1H,m),5.33-5.51(3H,m),6.25(1H,m),7.16(1H,m),7.2
4-7.33(2H,m),7.46(1H,d,J=7.5Hz),7.52-7.60(2H,m),7.85(1H,dd,J=1.8 and 4.
5Hz).

IR(CHCl$_3$):3583,3447,3062,3028,3013,2924,2871,2663,1708,1651,1600,1557,
1514,1471 /cm.

[α]$_D$=+54.8° (MeOH,c=1.00,23℃).

No.2a-200

CDCl$_3$ 300MHz

0.96(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.51-2.46(14H,m),4.2
5(1H,m),5.34-5.51(2H,m),6.25(1H,d,J=8.4Hz),7.02 and 7.10(each,1H,each
d,J=12.3Hz),7.23-7.33(4H,m),7.50(1H,m),7.64(1H,dd,J=1.8 and 7.8Hz),7.8
2(1H,d,J=1.8Hz).

IR(CHCl$_3$):3450,3060,3025,3014,2925,2871,2662,1708,1653,1596,1542,1513,
1473 /cm.

[α]$_D$=+62.5° (MeOH,c=1.00,24℃).

No.2a-201

CDCl$_3$ 300MHz

0.95(1H,d,J=9.9Hz),1.15 and 1.22(each 3H,each s),1.55-2.60(14H,m),4.26(

5   1H,m),5.35-5.63(2H,m),7.14(1H,d,J=9.9Hz),7.34 and 7.40(each,1H,each d,

J=12.9Hz),7.62-7.73(4H,m),8.25-8.30(2H,m),8.72(1H,d,J=1.5Hz).

IR(CHCl$_3$):3443,3389,3297,3061,3030,3016,2925 2870,1726,1708 1652,160

3,1521,1483,1472,1309 /cm.

[α]$_D$=+61.1° (MeOH,c=1.01,23℃).

10

No.2a-202

CDCl$_3$ 300MHz

0.96(1H,d,J=10.2Hz),1.09 and 1.22(each 3H,each s),1.52-2.43(14H,m),2.6

3(3H,s),4.25(1H,m),5.33-5.49(2H,m),6.19(1H,d,J=8.4Hz),7.10 and 7.58   (

15   each,2H,each d,J=9.0Hz),7.21(1H,m),7.30-7.32(2H,m),7.46(1H,d,J=7.5Hz)

IR(CHCl$_3$):3511,3453,3062,3032,3014,2925 2870,1739,1708,1650,1595,1556,

1516,1482,1471 /cm.

[α]$_D$=+60.2° (MeOH,c=1.01,25℃).

$[\alpha]_D = +25.6°$ (MeOH,c=1.01,23℃).

No.2b-2

$[\alpha]_D = +38.9°$ (MeOH,c=1.01,24℃).

5

No2c-1

$[\alpha]_D = +60.5°$ (MeOH,c=1.01,22℃).

No.2c-2

10 $[\alpha]_D = +55,8°$ (MeOH,c=0.92,22℃).

No.2c-3

$[\alpha]_D = +54,7°$ (MeOH,c=1.01,22℃).

15 No.2d-1

$[\alpha]_D = -6.2°$ (MeOH,c=1.00,21℃).

No.2d-2

$[\alpha]_D = +15.8°$ (MeOH,c=0.34,22℃).

20

No.2d-3

$[\alpha]_D = +31.6°$ (MeOH,c=1.01,22℃).

No.2e-1

25 $[\alpha]_D = -9.4°$ (MeOH,c=1.00,22℃).

No.2e-2

$[\alpha]_D = -1.8°$ (MeOH,c=1.02,23℃).

No.2e-3

$[\alpha]_D$= -6.7° (MeOH,c=1.01,23℃).

No.2f-1

5 $[\alpha]_D$= +6.8° (MeOH,c=1.01,23℃).

No.2f-2

$[\alpha]_D$= -2.6° (MeOH,c=1.00,22℃).

10 No.2f-3

$[\alpha]_D$= -3.5° (MeOH,c=1.01,22℃).

No.2g-1

$[\alpha]_D$= +54,6° (MeOH,c=1.01,24℃).

15

No.3a-2

$CDCl_3$ 300MHz

0.98-2.15(14H,m),2.31(2H,t,J=7.2Hz),2.35-2.40(1H,m),3.10-3.20(1H,m),

5.00(1H,d,J=6.9Hz),5.30-5.48(2H,m),6.75(1H,d,J=10.2Hz),7.38-7.52(6H,m).

20 IR(CDCl₃) 3366,3098,3054,2874,1700,1690,1448,1412,1313,1141,059,8884

/cm.

$[\alpha]_D = +2.3 \pm 0.4\,°(CHCl_3, c=1.03, 22℃)$.

mp.65-66.5℃

5   No.3a-4

$CDCl_3$ 300MHz

0.93-2.05(14H,m),2.15-2.22(1H,m),2.31(2H,t,J=7.2Hz),3.01-3.10(1H,m),

5.18-5.31(3H,m),7.38-7.52(3H,m),7.58-7.66(2H,m),7.69-7.76(2H,m),7.92-

7.98(2H,m)

10   $IR(CHCl_3)$:3374,3260,3020,2948,2868,1708,1594,1479,1396,1319,1156,1095,

1052,891/cm.

$[\alpha]_D = +13.1 \pm 0.5\,°(CHCl_3, c=1.16, 24℃)$.

No.3a-6

15   CD_3OD 300MHz

1.04-1.95(14H,m),2.07(2H,t,J=7.8Hz),2.14-2.22(1H,m),2.94-3.00(1H,m),

5.04-5.25(2H,m),7.36-7.52(3H,m),7.66-7.71(2H,m),7.78-7.85(2H,m),7.91-

7.97(2H,m).

IR(KBr):3421,3278,2951,2872,1562,1481,1409,1317,1156,1097,1057,895/cm

20   .
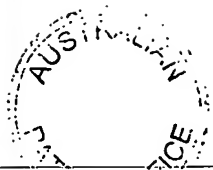
$[\alpha]_D = -15.3 \pm 0.5\,°(CHCl_3, c=1.06, 23℃)$.

mp.105-112℃

No.3a-11

25   $CDCl_3$ 300MHz

0.90-2.04(14H,m),2.08-2.19(1H,m),2.35(2H,t,J=7.2Hz),2.95-3.04(1H,m),

5.17-5.32(3H,m),7.56-7.63(2H,m),7.83-7.95(2H,m).

$IR(CHCl_3)$:3260,3020,2948,2868,1707,1569,1456,1383,1325,1268,1160,1088,

1053,1006,892/cm.

$[\alpha]_D=+8.3\pm0.5\,°(CHCl_3,c=1.00,22℃).$

No.3a-16

CDCl$_3$ 300MHz

5   0.80-1.90(14H,m),1.98-2.04(1H,m),2.27(2H,t,J=7.2Hz),2.88(6H,s),2.90-

2.98(1H,m),4.88-5.00(2H,m),5.13(1H,d,J=7.2Hz),7.18(1H,d,J=7.5Hz),7.48-

7.60(2H,m),8.25-8.33(2H,m),8.53(1H,d,J=8.7Hz).

IR(CHCl$_3$):3272,3020,2946,2866,2782,1708,1573,1455,1407,1311,1229,1160,

1142,1070,942,891/cm.

10   $[\alpha]_D=-19.7\pm0.6\,°(CHCl_3,c=1.08,23.5℃).$

No.3a-31

CDCl$_3$ 300MHz

0.80-1.85(14H,m),2.02-2.08(1H,m),2.20(2H,t,J=7.2Hz),2.85-2.95(1H,m),

15   3.68(3H,s),4.80-4.92(2H,m),4.96(1H,d,J=6.9Hz),7.50-7.70(3H,m),7.92-

7.98(1H,m),8.07(1H,d,J=8.4Hz),8.29(1H,dd,J=1.5&7.5Hz),8.65(1H,d,J=8.7H

z).

IR(CHCl$_3$):3374,3016,2946,2868,1727,1506,1435,1318,1160,1133,1105,1051,

984,890/cm.

No.3a-33

CD$_3$OD 300MHz

0.94-1.84(14H,m),1.96-2.08(3H,m),2.77-2.84(1H,m),4.67-4.84(2H,m),7.55-

7.75(3H,m),8.02(1H,d,J=7.8Hz),8.12-8.26(2H,m),8.74(1H,d,J=8.7Hz).

IR(KBr):3432,3298,2951,2872,1564,1412,1315,1159,1134,1107,1082,1058,

986/cm.

$[\alpha]_D$=-79.9±1.2 °(CH$_3$OH,c=1.00,23℃).

No.3a-34

CDCl$_3$ 300MHz

0.97-1.91(14H,m),2.13-2.20(1H,m),2.42(2H,t,J=7.2Hz),3.00-3.07(1H,m),

5.06-5.24(2H,m),5.33(1H,d,J=6.9Hz),7.57-7.68(2H,m),7.82-8.00(4H,m),

8.45(1H,d,J=1.2Hz)

IR(CHCl$_3$):3260,3020,2948,1708,1408,1319,1154,1129,1073,953,893/cm.

$[\alpha]_D$=+20.7±0.6 °(CHCl$_3$,c=1.07,22℃).

No.3a-35

CD$_3$OD 300MHz

1.03-2.20(m,17H),2.97(m,1H),5.02(m,2H),7.64(m,2H),8.00(m,4H),8.43

(S,1H).

IR(KBr):3360,3285,1562,1407,1316,1153,1130,1075/cm.

$[\alpha]_D$≒0

$[\alpha]_{365}$=+20.9±0.6 °(CH$_3$OH,c=1.04,23℃).

No.3d-1

CDCl$_3$ 300MHz

0.93-2.55(m,17H),3.02(m,1H),5.24(m,2H),6.48(m,1H),7.35-7.60(m,3H),7.85-

8.00(m,2H)

IR(Nujol): 3275,1548,1160,1094,758,719,689,591,557/cm.

$[\alpha]_D = +19.0 \pm 0.6°$ $(CH_8OH, c=1.010, 26.5℃)$.

Elemental analysis $(C_{20}H_{26}NO_4S \ 1/2Ca \ 1.0 \ H_2O)$

   Calcd.: C, 57.94; H, 6.82; N, 3.38; Ca, 4.83; H_2O, 4.35

5   Found: C, 57.80; H, 6.68; N, 3.68; Ca, 5.06; H_2O, 4.50

No.3d-6

$[\alpha]_D = -20.7 \pm 0.6°$ $(CHCl_8, c=1.00, 24℃)$.

10   No.3d-7

$[\alpha]_D = -3.2 \pm 0.4°$ $(CHCl_3:c=1.03, 22℃)$.

mp.65-67℃

No.3d-8

15   $[\alpha]_D = -14.5 \pm 0.5°$ $(CHCl_8, c=1.07, 24℃)$.

No.3d-9

$[\alpha]_D = +12.2 \pm 0.5°$ $(CH_8OH, c=1.00, 23℃)$.

mp.119-125℃

20

No.3d-10

$[\alpha]_D = +39.7 \pm 0.8°$ $(CHCl_8, c=1.07, 22℃)$.

No.3d-11

25   $[\alpha]_D = +29.2 \pm 0.7°$ $(CHCl_3, c=1.06, 22℃)$.

No.3d-12

$[\alpha]_D = +76.4 \pm 1.1°$ $(CH_8OH, c=1.03, 24℃)$.

No.3d-14

$[\alpha]_D = -20.6 \pm 0.6 °(CHCl_3, c=1.07, 22℃).$

No.3d-15

5   $[\alpha]_{365} = -28.0 \pm 0.7 °(CH_3OH, c=1.03, 24.5℃).$

No.3d-16

$[\alpha]_D = -8.7 \pm 0.5 °(CHCl_3, c=1.06, 22℃).$

10   No.3d-17

$CDCl_3$ 300MHz

0.80-2.15(m,24H),2.32(t,J=7Hz,2H),2.68(t,J=7Hz,2H),3.02(m,1H),2.15

(m,24H),2.32(t,J=7Hz,2H),2.68(t,J=7Hz,2H),3.02(m,1H),5.22(m,2H),5.38(d,

J=7Hz,1H),7.30(A2B2q-Apart,J=8Hz,2H),7.81(A2B2qBpart,J=8Hz,2H),

15   9.86 (brs,1H).

$[\alpha]_D \fallingdotseq 0$

$[\alpha]_{365} = -9.7 \pm 0.5°$ $(CHCl_3, c=1.03, 22℃).$

No.3d-24

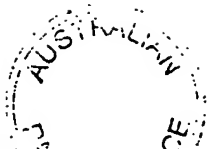20 $[\alpha]_D = +19.2 \pm 0.6 °(CHCl_3, c=1.05, 23℃).$

No.3d-26

CD_3OD 300MHz

0.90-2.20(20H,m),2.88(1H,m),3.07(2H,q,J=7.0Hz),5.00-5.40(2H,m),7.20-

25   7.60(4H,m),7.95(1H,m).

IR(KBr):3415,3254,1698,1564,1314,1154/cm.

No.3d-28

CD_3OD 300MHz

0.90-2.20(20H,m),2.73(2H,q,J=7.0Hz),2.93(1H,m),5.00-5.30(2H,m),7.40-7.50(2H,m),7.60-7.77(2H,m).

IR(KBr):3435,3280,1562,1323,1304,1151/cm.

5   No.3d-30

Elemental analysis ($C_{20}H_{26}BrNO_4SNa$)

Calcd.: C50.21;H5.27;Br16.70;N2.93;S6.70;Na4.81

Found: C50.22;H5.40;Br15.57;N2.88;S6.41;Na5.10

IR(KBr):3425,3280,3085,1697,1570,1410,1321,1165,1155/cm.

10

No.3e-1

CD_3OD 300MHz

0.71(1H,d,J=10.2Hz),1.04(3H,s),1.12(3H,s),1.35-2.28(14H,m),

2.42(3H,s),3.17-3.25(1H,m),5.18-

15   5.39(2H,m),7.37(2H,d,J=8.4Hz),7.75(2H,d,J=8.4Hz).

IR($CHCl_3$):3400,3289,2986,2924,2870,1559,1424,1322,1305,1160,1095,1075,

1030/cm.

$[\alpha]_D$=+25.9±0.7 °(CH_3OH,c=1.00,23℃).

Compounds pr pared in Examples above were t sted for the in vivo and in vitro activity according to the method shown in Experimental xampl s b low.

5   Experiment 1 Binding to PGD$_2$ Receptor

Material and Method

(1)   Preparation of Human Platelet Membrane Fraction

Blood sample was obtained using a plastic syringe containing 3.8 % sodium citrate from a venous of healthy

10   volunteers (adult male and female), put into a plastic test tube and mixed gently by inversion. The sample was then centrifuged at 1800 rpm, 10 min at room temperature, and supernatant containing PRP (platelet rich plasma) was collected. The PRP was re-centrifuged at 2300 rpm, 22 min at

15   room temperature to obtain platelets. The platelets were homogenized using a homogenizer (Ultra-Turrax) followed by centrifugation 3 times at 20,000 rpm, 10 min at 4°C to obtain platelet membrane fraction. After protein determination, the membrane fraction was adjusted to 2 mg/ml and preserved in a

20   refrigerator at -80°C until use.

(2) Binding to PGD$_2$ Receptor

To a binding-reaction solution (50 mM Tris/HCl, pH 7.4, 5 mM MgCl$_2$) (0.2 ml) were added human platelet membrane fraction (0.1 mg) and 5 nM [^{3}H]PGD$_2$ (115Ci/mmol), and reacted at

25   4°C for 90 min. After the reaction completed, the reaction mixture was filtered through the glass fiber filter paper, washed several times with cooled saline, and measured

radioactivity retained on th filt r paper. Th sp cific

binding was calculated by subtracting the non-specific binding

(the binding in the presence of 10 μM PGD_2) from the total

binding. The binding-inhibitory activity of each compound was

5   expressed as concentration required for 50 % inhibition (IC_{50}),

which was determined by depicting a substitution curve by

plotting the binding ratio (%) in the presence of each compound,

where the binding ratio in the absence of a test compound is

100 %. The results are shown in Table below.

10   <u>Compound number   Activity (μM) compound number activity (μM)</u>

Compound number	Activity (μM)	compound number	activity (μM)
3a-4	0.6	2a-4	0.54
1a-115	8.6	2a-17	0.12
1a-28	0.045	2a-21	5.2
1a-47	0.0086	2a-28	0.046
1a-100	0.56	2a-95	1.6
1a-176	0.047	2a-109	0.003
1a-2	0.13	1a-162	0.027

20   Experiment 2 Evaluation of Antagonistic Activity Against PGD

10^8/ml was warmed at 37°C, and then subjected to th pre-treatm nt with 3-isobutyl-1-m thylxanthine (0.5mM) for 5 min. To the suspension was add d a test compound diluted at various concentration. Ten-minute later, the reaction was induced by

5 the addition of 0.1 -2.0 µM PGD_2 and, 15-minute later, stopped by the addition of HCl. The platelet was destroyed with an ultrasonic homogenizer. After centrifugation, the cAMP in the supernatant was determined by radioassay. PGD_2 receptor antagonism of a drug was evaluated as follows. The inhibition

10 rate regarding cAMP increased by the addition of PGD_2 was determined at individual concentration, and then the concentration of the drug required for 50 % inhibition (IC_{50}). was calculated. The results are shown in Table below.

Compound number	Inhibition of Increase of Human Platelet cAMP (IC_{50}) (µM)
3a-16	0.37
1a-12	12.11
1a-28	0.30
1a-47	2.09
2a-2	0.77
2a-4	0.94
2a-35	1.52
2a-75	0.71

Experiment 3   Experiment Using Nasal Occlusion Model

The method used for measuring the nasal cavity

30 resistance and evaluating the anti-nasal occlusion using a guinea pig are described below.

237

A 1% ovalbumin (OVA) solution was tr ated with
ultrasonic nebulizer to obtain an aerosol. Hartley male guinea
pig was sensitized by inhaling twice the aerosol for 10 min at
one-week interval. Seven-day after the sensitization, the

5   guinea pig was exposed to an antigen to initiate the reaction.
Then the trachea was incised under the anesthesia with
pentobarbital (30 mg/kg, i.p.) and cannulas were inserted into
the trachea at the pulmonary and nasal cavity sides. The canal
inserted at the pulmonary side was connected with an artificial

10   respirator that provides 4 ml air 60 times/min. After
arresting the spontaneous respiration of a guinea pig with
Garamin (2 mg/kg, i.v.), air was supplied to the snout side
with an artificial respirator at the frequency of 70 times/min,
and the flow rate of 4 ml air/time, and the atmospheric

15   pressure required for the aeration was measured by the use of a
transducer fitted at th branch. The measurement was used as a
parameter of the nasal cavity resistance. The exposure of an
antigen was carried out by generating aerosol of 3 % OVA
solution for 3 min between the respirator and nasal cavity

Compound number	Inhibition Rate (%) 1 mg/kg (i.v.)	Remarks
1a-28	44	
1a-98	69	
1a-100	50	
1a-115	66	
1a-116	48	
1a-120	58	3mg/kg (i.v.)
1a-2	82	
1a-162	80	
1a-176	60	
1a-267	62	
2a-4	60	
2a-21	52	
2a-28	54	
2a-95	77	
2a-96	77	10mg/kg(p.o.)
2a-109	73	
2a-110	66	10mg/kg(p.o.)
22a-194	79	

Formulation 1 Preparation of Tablets

Tablets each containing 40 mg of active ingredient were prepared in a conventional manner. The ingredients for 40 mg tablet are as follows:

Calcium (+)-(Z)-7-[(1R,2S,3S,4S)-3-benzenesulfonamidobicyclo[2.2.1]hept-2-yl]-5-heptenoate dihydrate   40.0 mg

Hydroxypropyl cellulose   3.6 mg

Magnesium stearate   0.4mg

Cornstarch   18.0 mg

Lactose   58.0 mg

Total   120.0 mg
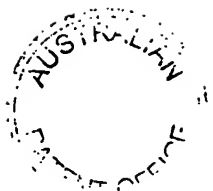
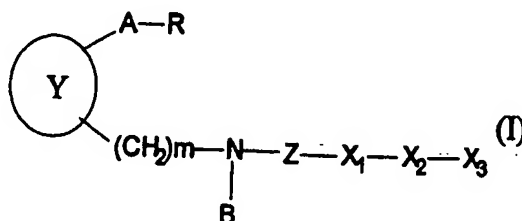Formulation 2 Preparation of Granules

Ingredients:

Calcium (+)-(Z)-7-[(1R,2S,3S,4S)-3-

5   benzenesulfonamidobicyclo[2.2.1]hept-2-yl]-

5-heptenoate dihydrate	100.0 mg
Hydroxypropyl cellulose	30.0 mg
Carmellose Calcium	30.0 mg
Talc	10.0 mg
Poloxamer 188	20.0 mg
Crystalline cellulose	70.0 mg
Cornstarch	300.0 mg
Lactose	440.0 mg
Total	**1000.0 mg**

Throughout this specification and the claims which follow, unless the context requires otherwise, the word "comprise", and variations such as "comprises" and "comprising", will be understood to imply the inclusion of a stated integer or step or group of integers or steps but not the exclusion of any other integer or step or group of integers or steps

THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:

1. A compound of the general formula (I) below or its salt or a hydrate thereof as an active ingredient:
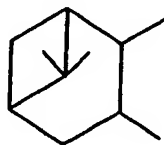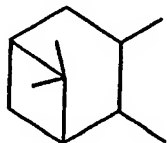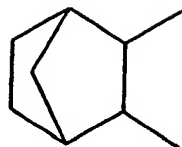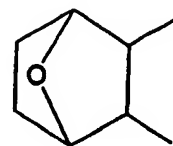

wherein

is

A is alkylene which optionally:

(i) is intervened by hetero atom or phenylene,

(ii) contains a carbonyl group, and/or

(iii) has one or more double- or triple- bonds at any one or more positions on the chain;

B is hydrogen, alkyl, aralkyl or acyl;

R is $COOR_1$, CH_2OR_2 or $CON(R_3)R_4$;

R_1 is hydrogen or alkyl;

R_2 is hydrogen or alkyl;

R_3 and R_4 each are independently hydrogen, alkyl, hydroxy or alkylsulfonyl;

X_1 is a single bond, phenylene, naphtyl ne, thiophenediyl,

indol diyl, or oxazolediyl;

X_2 is a single bond, -N=N-, -N=CH-, -CH=N-, -CH=N-N-, -CH=N-O-,

-C=NNHCSNH-, -C=NNHCONH-, -CH=CH-, -CH(OH)-, -C(Cl)=C(Cl)-, -

$(CH_2)n$-, ethynylene, $-N(R_5)$-, $-N(R_{51})CO$-, $-N(R_{52})SO_2$-, -

$N(R_{53})CON(R_{54})$-, $-CON(R_{55})$- $-SO_2N(R_{56})$-, -O-, -S-, -SO-, $-SO_2$-, -CO-,

oxadiazolediyl, thiadiazolediyl or tetrazolediyl;

X_3 is alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclic group,

cycloalkyl, cycloalkenyl, thiazolinylidenemethyl,

thiazolidinylidenemethyl, $-CH=NR_6$ or $-N=C(R_7)R_8$;

R_5, R_{51}, R_{52}, R_{53}, R_{54}, R_{55} and R_{56} each are hydrogen or alkyl;

R_6 is hydrogen, alkyl, hydroxy, alkoxy, carbamoyloxy,

thiocarbamoyloxy, ureido or thioureido;

R_7 and R_8 each are independently alkyl, alkoxy, or aryl;

n is 1 or 2;

Z is $-SO_2$- or -CO-; and

m is 0 or 1;

wherein a cyclic substituent may has one to three substituents

selected from the group consisting of nitro, alkoxy, sulfamoyl,

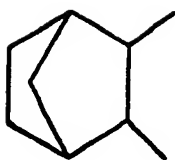substituted- or unsubstituted-amino, acyl, acyloxy, hydroxy,

halogen, alkyl, alkynyl, carboxy, alkoxycarbonyl,

aralkoxycarbonyl, aryloxycarbonyl, mesyloxy, cyano, alkenyloxy,

hydroxyalkyl, trifluorom thyl, alkylthio, $-N=PPh_3$, oxo, thioxo,

hydroxyimino, alkoxyimino, phenyl and alkylenedioxy, when used as a PGD$_2$ antagonist.

is

;

m is 0;   Z is SO_2, both X_1 and X_2 are a single bond; X_3 is alkyl, phenyl, naphthyl, stylyl, quinolyl or thienyl; and a cyclic substituent among these substituents optionally has one to three substituents selected from a group consisting of nitro, alkoxy, substituted- or unsubstituted-amino, halogen, alkyl and hydroxyalkyl, or its salt or hydrate thereof.
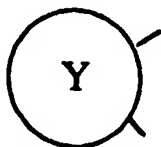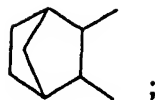
3.   The compound of claim 1 wherein
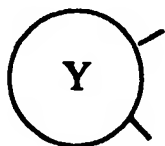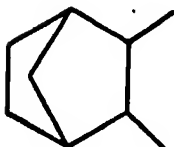
is

;

when m is 1, both X_1 and X_2 are a single bond; and X_3 is phenyl optionally substituted with halogen, or its salt or hydrate thereof.
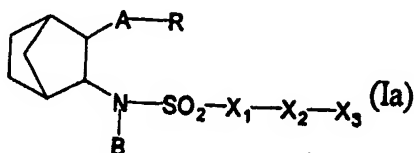
4.   The compound of claim 1 wherein

is

;

when m is 1, X_1 is phenylene, X_2 is -CH_2- or -N=N- and X_3 is phenyl, or its salt or hydrate thereof.

5

5.   The compound of claim 1 which is the active ingredient in a drug for treating nasal occlusion.

6.   A compound of the formula (Ia):

10

wherein A is alkylene which optionally:

(i)   is intervened by hetero atom or phenylene,

15   (ii)   contains a carbonyl group, and/or

(iii)   has one or more double- or triple- bonds at any one or more positions on the chain;

B is hydrogen, alkyl, aralkyl or acyl;

R is $COOR_1$, CH_2OR_2 or $CON(R_3)R_4$;

20   R_1 is hydrogen or alkyl;

R_2 is hydrogen or alkyl;

R_3 and R_4 each are independently hydrogen, alkyl, hydroxy or alkylsulfonyl;

25   X_1 is a single bond, phenylene, naphtylene, thiophenediyl, indolediyl, or oxazolediyl;

X_2 is a singl bond, -N=N-, -N=CH-, -CH=N-, -CH=N-N-, -CH=N-O-, -C=NNHCSNH-, -C=NNHCONH-, -CH=CH-, -CH(OH)-, -C(Cl)=C(Cl)-, -(CH_2)n-, ethynyl n , -N(R_5)-, -N(R_{51})CO-, -N(R_{52})SO_2-, -

30   N(R_{53})CON(R_{54})-, -CON(R_{55})- -SO_2N(R_{56})-, -O-, -S-, -SO-, -SO_2-, -CO-, oxadiazol diyl, thiadiazol diyl or tetrazolediyl.

X_3 is alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclic group, cycloalkyl, cycloalkenyl, thiazolynylidenemethyl, thiazolydinyliden methyl, $-CH=NR_6$ or $-N=C(R_7)R_8$;

R_5, R_{51}, R_{52}, R_{53}, R_{54}, R_{55} and R_{56} each are hydrogen or alkyl;

5   R_6 is hydrogen, alkyl, hydroxy, alkoxy, carbamoyloxy, thiocarbamoyloxy, ureido or thioureido;

R_7 and R_8 each are independently alkyl, alkoxy or aryl; and

n is 1 or 2;

wherein a cyclic substituent may has one to three substituents

10   selected from the group consisting of nitro, alkoxy, sulfamoyl, substituted- or unsubstituted-amino, acyl, acyloxy, hydroxy, halogen, alkyl, alkynyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, aryloxycarbonyl, mesyloxy, cyano, alkenyloxy, hydroxyalkyl, trifluoromethyl, alkylthio, $-N=PPh_3$, oxo, thioxo, hydroxyimino,

15   alkoxyimino, phenyl and alkylenedioxy, or its salt or hydrate thereof, provided that those wherein (1) X_1 and X_2 are a single bond, and X_3 is substituted- or unsubstituted-phenyl, or naphthyl; (2) A is 5-heptenylene, R is $COOR_1$ (R_1 is hydrogen or methyl), X_1 is 1,4-phenylene, X_2 is a single bond, and X_3 is unsubstituted phenyl;

20   (3) X_1 and X_2 are a single bond, X_3 is methyl, n-hexyl, 2-cyclohexylethyl, benzyl, phenethyl, or substituted- or unsubstituted-alkenyl; and (4) X_1 and X_2 are a single bond, and X_3 is pyridyl are excluded.

7.   The compound of claim 6, its salt or hydrate

25   thereof, wherein X_1 and X_2 are a single bond, X_3 is isoxazolyl, thiadiazolyl, isothiazolyl, morpholyl, indolyl, benzofuryl, dibenzofuryl, dibenzodioxynyl, benzothienyl, dibenzothienyl, carbazolyl, xanthenyl, phenanthridinyl, dibenzoxepinyl,

dibenzothiepinyl, cinnolyl, chromenyl, benzimidazolyl, dihydrobenzothiepinyl, or dibenzopyranyl.

8, The compound of claim 6, its salt or hydrate thereof,

wherein X_2 is a singl bond, X_1 is phenylene, X_3 is alkenyl, alkynyl, $-CH=NR_6$ or $-N=C(R_7)R_8$.

9.   The compound of claim 6, its salt or hydrate thereof, wherein R is $COOR_1$, X_1 is phenylene, thiophenediyl or indolediyl, X_2 is a single bond, $-N=N-$, $-CH=CH-$, $-CONH-$, $-NHCO-$, ethynylene, $-N=CH-$, $-(CH_2)n-$, $-N(R_5)-$,

$-O-$, $-S-$, $-SO_2-$, $-CO-$, oxadiazolediyl or tetrazolediyl; and X_3 is phenyl, thiazolinylidenemethyl, thiazolidinylidenemethyl, thienyl, cyclohexyl, 1-cyclohexenyl, n-hexyl, indolyl or benzoxazolyl.
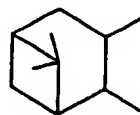
10.   A compound of the formula (Ib):

(Ib)

wherein

20 is
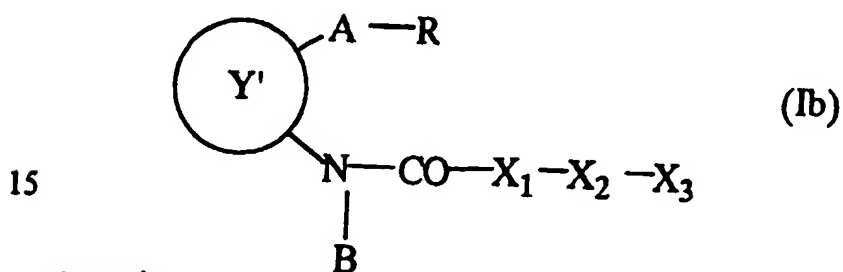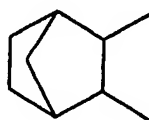
  or   ;

wherein A is alkylene which optionally:

(i)   is intervened by hetero atom or phenylene,

(ii)   contains a carbonyl group, and/or

(iii)   has one or more double- or triple- bonds at any one or more positions on the chain;

B is hydrogen, alkyl, aralkyl or acyl;

R is $COOR_1$, CH_2OR_2 or $CON(R_3)R_4$;

R_1 is hydrogen or alkyl;

R_2 is hydrogen or alkyl;

R_3 and R_4 each ar independently hydrogen, alkyl, hydroxy or alkylsulfonyl;

5   X_1 is a single bond, phenylene, naphtylene, thiophenediyl, indolediyl, or oxazolediyl;

X_2 is a single bond, $-N=N-$, $-N=CH-$, $-CH=N-$, $-CH=N-N-$, $-CH=N-O-$, $-C=NNHCSNH-$, $-C=NNHCONH-$, $-CH=CH-$, $-CH(OH)-$, $-C(Cl)=C(Cl)-$, $-(CH_2)n-$, ethynylene, $-N(R_5)-$, $-N(R_{51})CO-$, $-N(R_{52})SO_2-$, $-$

10     $N(R_{53})CON(R_{54})-$, $-CON(R_{55})-$ $-SO_2N(R_{56})-$, $-O-$, $-S-$, $-SO-$, $-SO_2-$, $-CO-$, oxadiazolediyl, thiadiazolediyl or tetrazolediyl;

X_3 is alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclic group, cycloalkyl, cycloalkenyl, thiazolinylidenemethyl, thiazolidinylidenemethyl, $-CH=NR_6$ or $-N=C(R_7)R_8$;

15   R_5, R_{51}, R_{52}, R_{53}, R_{54}, R_{55} and R_{56} each are hydrogen or alkyl;

R_6 is hydrogen, alkyl, hydroxy, alkoxy, carbamoyloxy, thiocarbamoyloxy, ureido or thioureido;

R_7 and R_8 each are independently alkyl, alkoxy or aryl; and

n is 1 or 2;

20   wherein a cyclic substituent may has one to three substituents selected from the group consisting of nitro, alkoxy, sulfamoyl, substituted- or unsubstituted-amino, acyl, acyloxy, hydroxy, halogen, alkyl, alkynyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, aryloxycarbonyl, mesyloxy, cyano, alkenyloxy, hydroxyalkyl,

25   trifluoromethyl, alkylthio, $-N=PPh_3$, oxo, thioxo, hydroxyimino, alkoxyimino, phenyl and alkylenedioxy, or its salt or hydrate ther of, provided that those wher in X_1 and X_2 are a singl bond, and X_3 is unsubstituted ph nyl, and wherein X_1 is a single bond, X_2
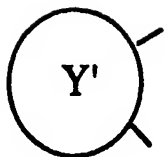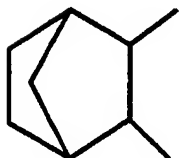
is -O-, and X, is benzyl ar   excluded.

11.   The compound of claim 10, its salt or hydrate th reof,

wh r in

is

5

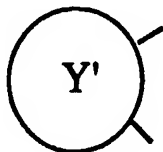12.   The compound of claim 11, its salt or hydrate thereof, wherein R is COOR$_1$.

13.   The compound of claim 11, its salt or hydrate thereof, wherein X$_1$ is phenylene or thiophenediyl, X$_2$ is a single bond, –

10   N=N–, –CH=CH–, ethynylene, –O–, –S–, –CO–, –CON(R$_{55}$)–

–N(R$_{51}$)CO–   and X$_3$ is phenyl
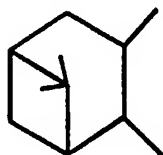
or thienyl.

14.   The compound of claim 10, its salt or hydrate

thereof, wherein

15

is

15.   Th compound of claim 14, its salt or hydrat th reof,

20   wher in B is hydrogen, both X$_1$ and X$_2$ ar a single bond, X$_3$ is thi nyl,

pyridyl, benzofuryl, benzimidazolyl, benzothienyl, dibenzofuryl, dibenzothienyl, quinolyl or indolyl.
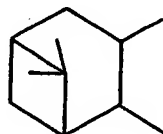
16.   The compound of claim 14, its salt or hydrate thereof, wherein X_1 is phenylene,
5 thiophenediyl, indolediyl or oxazolediyl, X_2 is a single bond, $-N=N-$, $-CH=CH-$, ethynylene, $-S-$, or $-O-$, and X_3 is aryl or heterocyclic group.

17.   The compound of claim 10, its salt or hydrate thereof, wherein

10

is

15
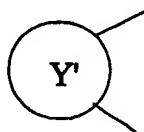
A is alkylene which optionally: (i) contains a carbonyl group and/or (ii) has one or more double- or triple- bonds at any one or more positions on the chain; B is hydrogen; R is COOH or CH_2OH; X_1 is a single bond; X_2 is a single bond; and X_3 is substituted- or unsubstituted-benzothienyl.

20

18.   A compound according to claim 1 substantially as hereinbefore described with reference to any one of the Examples.

19.   A compound according to claim 6 substantially as hereinbefore described with
25 reference to any one of the Examples.

20.   A method of treating diseases involving mast cell dysfunction due to excessive production of PGD_2 including the step of administering to a subject in need thereof an effective amount of a compound according to claim 1.

5 21.   Use of a compound according to claim 1 in the preparation of a medicament for the treatment of a disease involving mast cell dysfunction due to excessive production of PGD_2.

DATED this 28TH day of SEPTEMBER, 1999

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